



PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification⁶ : A01N 43/653, C07D 241/08, 249/08, 249/12, 275/02, 285/08, 417/04</p>	<p>A1</p>	<p>(11) International Publication Number: WO 98/23155 (43) International Publication Date: 4 June 1998 (04.06.98)</p>
<p>(21) International Application Number: PCT/US96/18916 (22) International Filing Date: 26 November 1996 (26.11.96) (71) Applicant: E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US). (72) Inventors: BROWN, Richard, James; 225 North Star Road, Newark, DE 19711-2939 (US). CHAN, Dominic, Ming-Tak; 4655 Dartmoor Drive, Wilmington, DE 19803-4807 (US). HOWARD, Michael, Henry, Jr.; 908 Montchanin Road, Rockland, DE 19732-0407 (US). DANIEL, Dilon, Jancey; Apartment 1, 97 Aspinwall Avenue, Brookline, MA 02146-6401 (US). CLARK, David, Alan; English Village Apartments, Martin Hall #9, Newark, DE 19711 (US). SELBY, Thomas, Paul; 116 Hunter Court, Wilmington, DE 19808-1978 (US). (74) Agent: HEISER, David, E.; E.I. du Pont de Nemours and Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).</p>		<p>(81) Designated States: JP, KR, European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published <i>With international search report.</i></p>
<p>(54) Title: ARTHROPODICAL AND FUNGICIDAL CYCLIC AMIDES (57) Abstract Compounds, compositions and methods of use of Formulae (I, IA and IB), their N-oxides and agriculturally suitable salts, as arthropodocides are disclosed. Compounds of Formula (II), useful as intermediates in the preparation of fungicides and arthropodocides, are also disclosed.</p> <p style="text-align: center; font-size: 2em; font-weight: bold;">BEST AVAILABLE COPY</p>		

12/1

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece			TR	Turkey
BG	Bulgaria	HU	Hungary	ML	Mali	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MN	Mongolia	UA	Ukraine
BR	Brazil	IL	Israel	MR	Mauritania	UG	Uganda
BY	Belarus	IS	Iceland	MW	Malawi	US	United States of America
CA	Canada	IT	Italy	MX	Mexico	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NE	Niger	VN	Viet Nam
CG	Congo	KE	Kenya	NL	Netherlands	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NO	Norway	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	NZ	New Zealand		
CM	Cameroon	KR	Republic of Korea	PL	Poland		
CN	China	KZ	Kazakhstan	PT	Portugal		
CU	Cuba	LC	Saint Lucia	RO	Romania		
CZ	Czech Republic	LI	Liechtenstein	RU	Russian Federation		
DE	Germany	LK	Sri Lanka	SD	Sudan		
DK	Denmark	LR	Liberia	SE	Sweden		
EE	Estonia			SG	Singapore		

TITLE

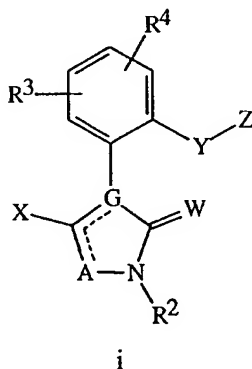
ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES

BACKGROUND OF THE INVENTION

This invention relates to certain cyclic amides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides and arthropodocides.

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumers. The control of arthropod pests is also extremely important in achieving high crop efficiency. Arthropod damage to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of arthropod pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health is also important. Many products are commercially available for these purposes, but the need continues for new compounds, which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

WO 95/14009 discloses cyclic amides of Formula i as fungicides:



20

wherein

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

25

W is O or S;

X is OR¹; S(O)_mR¹; or halogen;

R¹, R², and R⁵ are each independently, in part, H or C₁-C₆ alkyl;

R^3 and R^4 are each independently, in part, H; halogen; cyano; nitro; C_1 - C_6 alkyl;

C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; or C_1 - C_6 haloalkoxy;

Y is, in part, -O-; $-CR^6=CR^6$ -; $-C\equiv C$ -; $-CHR^6O$ -; $-OCHR^6$ -; $-CHR^6O-N=C(R^7)$ -;
 $-(R^7)C=N-OCH(R^6)$ -; $-C(R^7)=N-O$ -; $-O-N=C(R^7)$ -; or a direct bond;

5 R^6 is independently H or C_1 - C_3 alkyl;

R^7 is, in part, H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or C_1 - C_6 alkoxy;

Z is, in part, an optionally substituted phenyl, 3 to 14-membered nonaromatic heterocyclic ring system or 5 to 14-membered aromatic heterocyclic ring system;

10 R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl; and

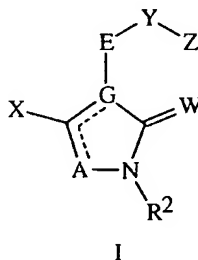
m is 0, 1 or 2.

This publication does not disclose use of the compounds as arthropodicides.

Furthermore, this publication does not disclose compounds where the optional
 15 substituents on Z are themselves substituted with C_2 - C_6 alkenyl, C_2 - C_6 haloalkenyl, C_2 - C_6 alkynyl, C_2 - C_6 haloalkynyl, C_3 - C_6 alkenyloxy, C_3 - C_6 haloalkenyloxy, C_1 - C_4 alkylthio, C_1 - C_4 haloalkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylsulfonyl, C_3 - C_6 alkenylthio, C_3 - C_6 haloalkenylthio, or SF_5 .

SUMMARY OF THE INVENTION

20 This invention involves compounds of Formula I including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof:



wherein

25 E is selected from:

- i) 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; and
- 30 iii) a ring system selected from 5 to 12-membered monocyclic and fused

- bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;
- A is O; S; N; NR⁵; or CR¹⁴;
- G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A;
- W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);
- X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;
- R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;
- R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;
- R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅

alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;

R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxy-

5

alkoxycarbonyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-; -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-; -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-; -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-; -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-; -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-; -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-; -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-; -CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-; -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-; -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-; -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-; -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-; -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-; -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a

10

15

20

25

Z¹ is H or -A³-Z;

W¹ is O or S;

30

A¹ is O; S; NR¹⁵; or a direct bond;

A² is O; NR¹⁵; or a direct bond;

A³ is -C(=O)-; -S(O)₂-; or a direct bond;

each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆

cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄

35

alkoxycarbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH;

(C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
1-2 halogen; cyano; or nitro;

each R⁷ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₁-C₆
haloalkylthio; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₂-C₆
alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆
cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; halogen; cyano;
nitro; hydroxy; amino; NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; or morpholinyl;

each Z is independently selected from:

- i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, and C₂-C₁₀ alkynyl each substituted with R⁹
and optionally substituted with one or more R¹⁰;
- ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl and phenyl each substituted with R⁹
and optionally substituted with one or more R¹⁰;
- iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic
and fused tricyclic nonaromatic heterocyclic ring systems and 5 to
14-membered monocyclic, fused bicyclic and fused tricyclic aromatic
heterocyclic ring systems, each heterocyclic ring system containing 1 to 6
heteroatoms independently selected from the group nitrogen, oxygen, and
sulfur, provided that each heterocyclic ring system contains no more than 4
nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each
nonaromatic or aromatic heterocyclic ring system substituted with R⁹ and
optionally substituted with one or more R¹⁰;
- iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic
and fused-tricyclic ring systems which are an aromatic carbocyclic ring
system, a nonaromatic carbocyclic ring system, or a ring system containing
one or two nonaromatic rings that each include one or two Q as ring
members and one or two ring members independently selected from C(=O)
and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each
multicyclic ring system substituted with R⁹ and optionally substituted with
one or more R¹⁰; and
- v) adamantyl substituted with R⁹ and optionally substituted with one or more
R¹⁰;

each Q is independently selected from the group -CHR¹³-, -NR¹³-, -O-, and
-S(O)_p-;

R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆

alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;
 C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl);
 N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;

R⁹ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
 5 haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆
 alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;
 C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl);
 N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅; SiR²²R²³R²⁴; or
 GeR²²R²³R²⁴; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl,
 10 pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each
 optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy;
 nitro; or cyano; or

when R⁹ and an R¹⁰ are attached to adjacent atoms on Z, R⁹ and said adjacently
 15 attached R¹⁰ can be taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂
 group of said taken together R⁹ and R¹⁰ optionally substituted with 1-2
 halogen; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is
 -CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -O-CH₂CH₂O-N=C(R⁷)-,
 20 -CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CH=N-N=C(R⁷)-, -CHR¹⁵N(R¹⁵)-N=C(R⁷)- or
 -CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be
 taken together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or
 -CH₂N(R¹⁶)-; each CH₂ group of said J optionally substituted with 1 to 2
 25 CH₃;

R¹¹ and R¹² are each independently 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl;
 C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆
 alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀
 tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄
 30 haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy;
 C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy;
 C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄
 alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄
 haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆
 35 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅;
 Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶;

- $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$;
 $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$;
 $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$;
 $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$;
 $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl,
 5 benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally
 substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4
 haloalkoxy, nitro or cyano;
- each R^{13} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or phenyl optionally
 10 substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4
 haloalkoxy, nitro or cyano;
- R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl;
 C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl;
- each R^{15} is independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl,
 15 each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl,
 C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano; or
- when Y is $-CHR^{15}N(R^{15})C(=O)N(R^{15})-$, the two R^{15} attached to nitrogen atoms
 on said group can be taken together as $-(CH_2)_5-$; or
- when Y is $-CHR^{15}O-N=C(R^7)NR^{15}-$, R^7 and the adjacently attached R^{15} can be
 20 taken together as $-CH_2-(CH_2)_5-$; $-O-(CH_2)_5-$; $-S-(CH_2)_5-$; or
 $-N(C_1-C_3 \text{ alkyl})-(CH_2)_5-$; with the directionality of said linkage defined such
 that the moiety depicted on the left side of the linkage is bonded to the
 carbon and the moiety on the right side of the linkage is bonded to the
 nitrogen;
- 25 R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or
 phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl,
 C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
- R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , and R^{24} are each independently C_1 - C_6 alkyl; C_2 - C_6
 alkenyl; C_1 - C_4 alkoxy; or phenyl;
- 30 each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4
 alkoxy; or phenyl;
- each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6
 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl
 or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4
 35 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

5 m, n and p are each independently 0, 1 or 2;

r is 0 or 1; and

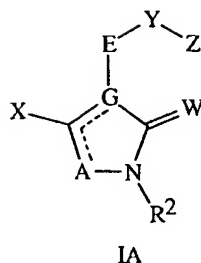
s is 2 or 3.

This invention provides a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula I including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, provided that:

- (i) when E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; X is OR^1 , $S(O)_mR^1$ or halogen; Y is $-O-$, $-S(O)_n-$, $-NR^{15}-$, $-C(=O)-$, $-CH(OR^{15})-$, $-CHR^6-$, $-CHR^6CHR^6-$, $-CR^6=CR^6-$, $-C\equiv C-$, $-CHR^{15}O-$, $-OCHR^{15}-$, $-CHR^{15}S(O)_n-$, $-S(O)_nCHR^{15}-$, $-CHR^{15}O-N=C(R^7)-$, $-(R^7)C=N-OCH(R^{15})-$, $-C(R^7)=N-O-$, $-O-N=C(R^7)-$, $-CHR^{15}OC(=O)N(R^{15})-$ or a direct bond; and R^9 is $SiR^{22}R^{23}R^{24}$ or $GeR^{22}R^{23}R^{24}$; then Z is other than phenyl or a 5 to 14-membered aromatic heterocyclic ring system each substituted with R^9 and optionally substituted with one or more R^{10} ;
- (ii) when E is a naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; R^3 or R^4 is $Si(R^{25})_3$ or $Ge(R^{25})_3$; and Y is $-O-$, $-S(O)_n-$, $-C(=O)-$, $-CHR^6-$, $-CHR^6CHR^6-$, $-CR^6=CR^6-$, $-C\equiv C-$, $-OCHR^{15}-$, $-S(O)_nCHR^{15}-$ or a direct bond; then Z is other than C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each substituted with R^9 and optionally substituted with one or more R^{10} ; and
- (iii) when E is a naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; R^3 or R^4 is $Si(R^{25})_3$ or $Ge(R^{25})_3$; and Y is $-S(O)_n-$, $-C(=O)-$, $-C\equiv C-$ or a direct bond; then Z is other than phenyl substituted with R^9 and optionally substituted with one or more R^{10} .

This invention also provides selected compounds of Formula I which are considered particularly effective fungicides and arthropodicides. Specifically, this invention provides compounds of Formula IA including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, and agricultural compositions containing them and their use as fungicides and arthropodicides:

9



wherein

E is 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O or N;

5 G is C or N; provided that when G is C, then A is O and the floating double bond is attached to G; and when G is N, then A is N and the floating double bond is attached to A;

W is O;

X is OR¹;

10 R¹ is C₁-C₃ alkyl;

R² is H or C₁-C₂ alkyl;

R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl;

C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆

haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆

15 alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl;

C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O);

(C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃;

(R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰;

20 Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-; -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;

25 Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl;

1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; and pyrazinyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰;

R⁹ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy;

C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆

30 haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl;

C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂;

$-C(R^{18})=NOR^{17}$; cyano; nitro; SF_5 ; $SiR^{22}R^{23}R^{24}$; or $GeR^{22}R^{23}R^{24}$; or R^9 is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; provided that when Z is pyrazinyl, then R^9 is other than H or C_1-C_6 haloalkyl;

5 each R^{10} is independently halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_1-C_4 alkoxy; nitro; or cyano; or

when R^9 and an R^{10} are attached to adjacent atoms on Z, R^9 and said adjacently attached R^{10} can be taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2

10 group of said taken together R^9 and R^{10} optionally substituted with 1-2 halogen;

R^{11} and R^{12} are each independently 1-2 halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_2-C_6 alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10}

15 tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; C_3-C_6 alkenyloxy; C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_2-C_6 alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_4 alkylthio; C_1-C_4 haloalkylthio; C_1-C_4 alkylsulfinyl; C_1-C_4 haloalkylsulfinyl; C_1-C_4 alkylsulfonyl; C_1-C_4

20 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6 haloalkenylthio; C_2-C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; $N(R^{26})_2$; SF_5 ; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si-C\equiv C-$; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{26}$; $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4

30 haloalkoxy, nitro or cyano;

R^{15} is H; C_1-C_3 alkyl; or cyclopropyl;

R^{17} and R^{18} are each independently H; C_1-C_3 alkyl; C_3-C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

35 R^{22} , R^{23} , and R^{24} are each independently C_1-C_6 alkyl; C_2-C_6 alkenyl; C_1-C_4 alkoxy; or phenyl;

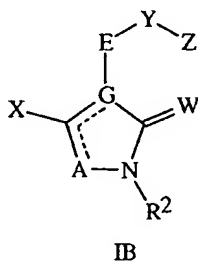
each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄ alkoxy; or phenyl;

each R²⁶ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

each R²⁷ is independently C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; and

n is 0, 1 or 2.

This invention also provides certain compounds of Formula I which are useful as fungicides and arthropodicides. Specifically, this invention provides compounds of Formula IB including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, and agricultural compositions containing them and their use as fungicides and arthropodicides:



wherein

E is selected from:

- i) 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R³, R⁴, or both R³ and R⁴; and
- iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one

nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;

R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or

when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;

R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

- Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-;
 -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-;
 -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-;
 -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-;
 5 -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-;
 -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-;
 -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-;
 -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-;
 -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-;
 10 -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-;
 -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-;
 -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-;
 -CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-;
 -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-;
 15 -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-;
 -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-;
 -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-;
 -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-;
 -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a
 20 direct bond; and the directionality of the Y linkage is defined such that the
 moiety depicted on the left side of the linkage is bonded to E and the moiety
 on the right side of the linkage is bonded to Z;
 Z¹ is H or -A³-Z;
 W¹ is O or S;
 25 A¹ is O; S; NR¹⁵; or a direct bond;
 A² is O; NR¹⁵; or a direct bond;
 A³ is -C(=O)-; -S(O)₂-; or a direct bond;
 each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆
 cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄
 30 alkoxycarbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH;
 (C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
 1-2 halogen; cyano; or nitro;
 each R⁷ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
 haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₁-C₆
 35 haloalkylthio; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₂-C₆
 alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆

cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; halogen; cyano; nitro; hydroxy; amino; NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; or morpholinyl; each Z is independently selected from:

- 5 i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each substituted with R⁹ and optionally substituted with one or more R¹⁰;
- ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl or phenyl each substituted with R⁹ and optionally substituted with one or more R¹⁰;
- 10 iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each
- 15 nonaromatic or aromatic heterocyclic ring system substituted with R⁹ and optionally substituted with one or more R¹⁰;
- iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing
- 20 one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system substituted with R⁹ and optionally substituted with one or more R¹⁰, and
- 25 v) adamantyl substituted with R⁹ and optionally substituted with one or more R¹⁰;

each Q is independently selected from the group -CHR¹³-, -NR¹³-, -O-, and -S(O)_p-;

30 R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;

35 R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each substituted with R¹¹ and optionally substituted with R¹²;

each R^{10} is independently halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_1 - C_4 alkoxy; nitro; or cyano; or

when R^9 and an R^{10} are attached to adjacent atoms on Z, R^9 and said adjacently attached R^{10} can be taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2 group of said taken together R^9 and R^{10} optionally substituted with 1-2 halogen; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is

$-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-$, $-\text{O}-\text{N}=\text{C}(\text{R}^7)-$, $-\text{O}-\text{CH}_2\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$,
 $-\text{CHR}^{15}\text{O}-\text{C}(\text{R}^{15})=\text{C}(\text{R}^7)-$, $-\text{CH}=\text{N}-\text{N}=\text{C}(\text{R}^7)-$, $-\text{CHR}^{15}\text{N}(\text{R}^{15})-\text{N}=\text{C}(\text{R}^7)-$ or
 $-\text{CHR}^{15}\text{N}(\text{COCH}_3)-\text{N}=\text{C}(\text{R}^7)-$, R^7 and said adjacently attached R^{10} can be
 taken together as $-(\text{CH}_2)_r-\text{J}-$ such that J is attached to Z;

J is $-\text{CH}_2-$; $-\text{CH}_2\text{CH}_2-$; $-\text{OCH}_2-$; $-\text{CH}_2\text{O}-$; $-\text{SCH}_2-$; $-\text{CH}_2\text{S}-$; $-\text{N}(\text{R}^{16})\text{CH}_2-$; or
 $-\text{CH}_2\text{N}(\text{R}^{16})-$; each CH_2 group of said J optionally substituted with 1 to 2 CH_3 ;

R^{11} is C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_2 - C_6 alkoxyalkyl; C_2 - C_6 alkylthioalkyl; C_3 - C_6 alkoxyalkynyl; C_7 - C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_3 - C_6 alkenyloxy; C_3 - C_6 haloalkenyloxy; C_3 - C_6 alkynyloxy; C_3 - C_6 haloalkynyloxy; C_2 - C_6 alkoxyalkoxy; C_5 - C_9 trialkylsilylalkoxyalkoxy; C_2 - C_6 alkylthioalkoxy; C_1 - C_4 alkylthio; C_1 - C_4 haloalkylthio; C_1 - C_4 alkylsulfinyl; C_1 - C_4 haloalkylsulfinyl; C_1 - C_4 alkylsulfonyl; C_1 - C_4 haloalkylsulfonyl; C_3 - C_6 alkenylthio; C_3 - C_6 haloalkenylthio; C_2 - C_6 alkylthioalkylthio; thiocyanato; hydroxy; $\text{N}(\text{R}^{26})_2$; SF_5 ; $(\text{R}^{25})_3\text{Si}-\text{C}\equiv\text{C}-$; $\text{OSi}(\text{R}^{25})_3$; $\text{OGe}(\text{R}^{25})_3$; $\text{C}(=\text{O})\text{R}^{26}$; $\text{C}(=\text{S})\text{R}^{26}$; $\text{C}(=\text{O})\text{OR}^{26}$; $\text{C}(=\text{S})\text{OR}^{26}$; $\text{C}(=\text{O})\text{SR}^{26}$; $\text{C}(=\text{S})\text{SR}^{26}$; $\text{C}(=\text{O})\text{N}(\text{R}^{26})_2$;
 $\text{C}(=\text{S})\text{N}(\text{R}^{26})_2$; $\text{OC}(=\text{O})\text{R}^{26}$; $\text{OC}(=\text{S})\text{R}^{26}$; $\text{SC}(=\text{O})\text{R}^{26}$; $\text{SC}(=\text{S})\text{R}^{26}$;
 $\text{N}(\text{R}^{26})\text{C}(=\text{O})\text{R}^{26}$; $\text{N}(\text{R}^{26})\text{C}(=\text{S})\text{R}^{26}$; $\text{OC}(=\text{O})\text{OR}^{27}$; $\text{OC}(=\text{O})\text{SR}^{27}$;
 $\text{OC}(=\text{O})\text{N}(\text{R}^{26})_2$; $\text{SC}(=\text{O})\text{OR}^{27}$; $\text{SC}(=\text{O})\text{SR}^{27}$; $\text{S}(\text{O})_2\text{OR}^{26}$; $\text{S}(\text{O})_2\text{N}(\text{R}^{26})_2$;
 $\text{OS}(\text{O})_2\text{R}^{27}$; $\text{N}(\text{R}^{26})\text{S}(\text{O})_2\text{R}^{27}$; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

R^{12} is 1-2 halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_2 - C_6 alkoxyalkyl; C_2 - C_6 alkylthioalkyl; C_3 - C_6 alkoxyalkynyl; C_7 - C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; C_3 - C_6 alkenyloxy; C_3 - C_6 haloalkenyloxy; C_3 - C_6 alkynyloxy; C_3 - C_6 haloalkynyloxy; C_2 - C_6

- alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy;
- 5 N(R²⁶)₂; SF₅; Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶; C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- 10 each R¹³ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- 15 R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;
- 20 each R¹⁵ is independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; or
- when Y is -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-, the two R¹⁵ attached to nitrogen atoms on said group can be taken together as -(CH₂)₅-; or
- 25 when Y is -CHR¹⁵O-N=C(R⁷)NR¹⁵-, R⁷ and the adjacently attached R¹⁵ can be taken together as -CH₂-(CH₂)₅-; -O-(CH₂)₅-; -S-(CH₂)₅-; or -N(C₁-C₃ alkyl)-(CH₂)₅-; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;
- 30 R¹⁶ is H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- R¹⁹, R²⁰, and R²¹ are each independently C₁-C₆ alkyl; C₂-C₆ alkenyl; C₁-C₄ alkoxy; or phenyl;
- 35 each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄ alkoxy; or phenyl;

each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

5 each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

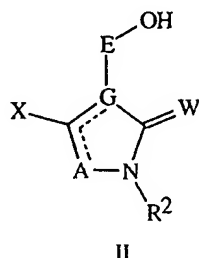
m, n and p are each independently 0, 1 or 2;

10 r is 0 or 1; and

s is 2 or 3.

This invention also provides compounds of Formula II including all geometric and stereoisomers which are useful as intermediates for the preparation of the fungicides and arthropodocides of Formula I where Y is oxygen:

15



wherein

E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

A is O; S; N; NR^5 ; or CR^{14} ;

20 G is C or N; provided that when G is C, then A is O, S or NR^5 and the floating double bond is attached to G; and when G is N, then A is N or CR^{14} and the floating double bond is attached to A;

W is O; S; NH; $N(C_1-C_6 \text{ alkyl})$; or $NO(C_1-C_6 \text{ alkyl})$;

X is OR^1 ; $S(O)_m R^1$; or halogen;

25 R^1 is C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl; or C_2 - C_4 alkoxycarbonyl;

R^2 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl; C_2 - C_4 alkoxycarbonyl; hydroxy; C_1 - C_2 alkoxy; or acetyloxy;

30

- R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆
 haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆
 alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl;
 5 C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O);
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃;
 (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each
 substituted with R⁸ and optionally substituted with one or more R¹⁰; or
 when R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together
 10 as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅
 haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;
 R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄
 alkoxycarbonyl;
 15 R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
 haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆
 alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;
 C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl);
 N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;
 20 each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy;
 nitro; or cyano;
 R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl;
 C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;
 R¹⁹, R²⁰ and R²¹ are each independently C₁-C₆ alkyl; C₂-C₆ alkenyl; C₁-C₄
 25 alkoxy; or phenyl;
 each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄
 alkoxy; or phenyl; and
 m is 0, 1 or 2.

DETAILS OF THE INVENTION

- 30 In the above recitations, the term "alkyl", used either alone or in compound words
 such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as,
 methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The
 term "1-2 CH₃" indicates that the substituent can be methyl or, when there is a hydrogen
 attached to the same atom, the substituent and said hydrogen can both be methyl.
 35 "Alkenyl" includes straight-chain or branched alkenes such as vinyl, 1-propenyl,
 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also

includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkylene" denotes a straight-chain alkanediyl. Examples of "alkylene" include $\text{CH}_2\text{CH}_2\text{CH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$. "Alkenylene" denotes a straight-chain alkenediyl containing one olefinic bond. Examples of "alkenylene" include $\text{CH}_2\text{CH}=\text{CH}$, $\text{CH}_2\text{CH}_2\text{CH}=\text{CH}$, $\text{CH}_2\text{CH}=\text{CHCH}_2$ and $\text{CH}_2\text{CH}=\text{CHCH}_2\text{CH}_2$. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. "Alkoxyalkoxy" denotes alkoxy substitution on alkoxy. "Alkenyloxy" includes straight-chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$ and $\text{CH}_2=\text{CHCH}_2\text{CH}_2\text{O}$. "Alkynyloxy" includes straight-chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include $\text{HC}\equiv\text{CCH}_2\text{O}$, $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{O}$ and $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{CH}_2\text{O}$. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "alkylthioalkyl" include CH_3SCH_2 , $\text{CH}_3\text{SCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{SCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{SCH}_2$ and $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2$. "Alkylthioalkylthio" denotes alkylthio substitution on alkylthio. Analogously, "alkylthioalkoxy" denotes alkylthio substitution on alkoxy. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include $\text{CH}_3\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})$, $(\text{CH}_3)_2\text{CHS}(\text{O})$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include $\text{CH}_3\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Alkenylthio" is defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. "Cycloalkenyl" includes groups such as cyclopentenyl and cyclohexenyl as well as groups with more than one double bond such as 1,3- and 1,4-cyclohexadienyl. "Trialkylsilylalkoxyalkoxy" denotes trialkylsilylalkoxy substitution on alkoxy. Examples of "trialkylsilylalkoxyalkoxy" includes, for example, $(\text{CH}_3)_3\text{SiCH}_2\text{CH}_2\text{OCH}_2\text{O}$. The term "aromatic carbocyclic ring system" includes fully aromatic carbocycles and carbocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term

“nonaromatic carbocyclic ring system” denotes fully saturated carbocycles as well as partially or fully unsaturated carbocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The term “aromatic heterocyclic ring system” includes fully aromatic heterocycles and heterocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term “nonaromatic heterocyclic ring system” denotes fully saturated heterocycles as well as partially or fully unsaturated heterocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The heterocyclic ring systems can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides.

The term “halogen”, either alone or in compound words such as “haloalkyl”, includes fluorine, chlorine, bromine or iodine. The term “1-2 halogen” indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as “haloalkyl”, said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of “haloalkyl” include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms “haloalkenyl”, “haloalkynyl”, “haloalkoxy”, and the like, are defined analogously to the term “haloalkyl”. Examples of “haloalkenyl” include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of “haloalkynyl” include $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of “haloalkoxy” include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O . Examples of “haloalkylthio” include CCl_3S , CF_3S , CCl_3CH_2S and $ClCH_2CH_2CH_2S$. Examples of “haloalkylsulfinyl” include $CF_3S(O)$, $CCl_3S(O)$, $CF_3CH_2S(O)$ and $CF_3CF_2S(O)$. Examples of “haloalkylsulfonyl” include $CF_3S(O)_2$, $CCl_3S(O)_2$, $CF_3CH_2S(O)_2$ and $CF_3CF_2S(O)_2$.

The total number of carbon atoms in a substituent group is indicated by the “ C_i-C_j ” prefix where *i* and *j* are numbers from 1 to 10. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl. Examples of “alkylcarbonyl” include $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ and $C(O)CH(CH_3)_2$. Examples of “alkoxycarbonyl” include $CH_3OC(=O)$, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)$, $(CH_3)_2CHOC(=O)$ and the different butoxy- or pentoxycarbonyl isomers. In the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R⁹ or R¹³, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula I, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a phenol.

Preferred methods for reasons of better activity and/or ease of synthesis are:

Preferred 1. Methods for controlling arthropods using compounds of Formula I above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-,

- 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 1*H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl; 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7- and 7,8-quinoxalinediyl; 1,8-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-, 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl; pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl; thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl; 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl; 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-, 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl; 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-, 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl; 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl;

and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

- 5 W is O;
 R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;
 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;
 R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio;
 10 C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxy carbonyl;
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or
 phenylsulfonyl;
 Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-;
 -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-;
 15 -CH₂O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; or a
 direct bond;
 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio;
 C₂-C₆ alkenyl; C₂-C₆ alkynyl; C₃-C₆ cycloalkyl; halogen; or cyano;
 or
 20 when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is
 -CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken
 together as -(CH₂)_r-J- such that J is attached to Z;
 Z is selected from the group C₁-C₁₀ alkyl; C₃-C₈ cycloalkyl; phenyl;
 naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl;
 25 thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl;
 isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl;
 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl;
 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl;
 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl;
 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl;
 30 pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl;
 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl;
 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl;
 quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl;
 35 quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl;
 1,2,3,4-tetrahydronaphthalenyl;

- 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl;
 5,6,7,8,9,10-hexahydrobenzocyclooctenyl;
 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl;
 2,3-dihydro-2-oxobenzofuranyl;
 5 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl;
 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl;
 2-oxo-2*H*-1-benzopyranyl;
 10 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl;
 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl;
 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl;
 1,2,3,4-tetrahydro-1,3-dioxoisoquinolyl;
 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl;
 15 2-oxo-1,3-benzodioxyl;
 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl;
 azulenyl; and thiazolo[2,3-*c*]-1,2,4-triazolyl; each group substituted
 with R⁹ and optionally substituted with one or more R¹⁰; and
 R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.
- 20 Preferred 2. Methods of Preferred 1 wherein:
 E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and
 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and
 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl;
 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and
 25 benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl;
 each aromatic ring system optionally substituted with one of R³, R⁴,
 or both R³ and R⁴;
- Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl;
 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl;
 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted
 30 with R⁹ and optionally substituted with one or more R¹⁰;
- R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio;
 C₂-C₆ alkenyl; C₂-C₆ alkynyl; cyclopropyl; halogen; or cyano; or
 when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is
 35 -CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken
 together as -(CH₂)_r-J- such that J is attached to Z;

25

J is -CH₂- or -CH₂CH₂-; and

r is 1.

Preferred 3. Methods of Preferred 2 wherein:

E is 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O or N;

X is OR¹;

R¹ is C₁-C₃ alkyl;

R² is H or C₁-C₂ alkyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-; -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-; or a direct bond;

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl;

1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; and 1,3,4-thiadiazolyl; each

group substituted with R⁹ and optionally substituted with R¹⁰; and

R¹⁵ is H; C₁-C₃ alkyl; or cyclopropyl.

Preferred 4. Methods of Preferred 3 wherein:

R¹ is methyl;

R² is methyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; or a direct bond; and

R⁹ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl;

CO₂(C₁-C₆ alkyl); -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅;

SiR²²R²³R²⁴; or GeR²²R²³R²⁴; or R⁹ is phenyl, benzyl, phenoxy, pyridinyl, thienyl, furanyl, or pyrimidinyl each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

Preferred 5. Methods of Preferred 4 wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; and

1,2,4-thiadiazolyl; each group substituted with R⁹ and optionally substituted with R¹⁰; and

Y is -O-; and

R⁹ is phenyl optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

Most preferred are methods of Preferred 5 where the compound is selected from the group:

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one; and

5 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one.

Preferred compounds of Formula IA for reasons of better arthropodicidal or fungicidal activity and/or ease of synthesis are:

10 Preferred 1A. Compounds of Formula IA above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

R¹ is methyl;

R² is methyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; or a direct bond; and

15 R⁹ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; CO₂(C₁-C₆ alkyl); -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅; SiR²²R²³R²⁴; or GeR²²R²³R²⁴; or R⁹ is phenyl, benzyl, phenoxy, pyridinyl, thienyl, furanyl, or pyrimidinyl each optionally substituted
20 with one of R¹¹, R¹², or both R¹¹ and R¹².

Preferred 2A. Compounds of Preferred 1A wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl;

25 1,2,4-thiadiazolyl; and pyrazinyl; each group substituted with R⁹ and optionally substituted with R¹⁰; and

Y is -O-; and

R⁹ is phenyl optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

Most preferred are compounds of Preferred 1A selected from the group:

30 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

35 4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

- 4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 4-[2-[[3-(3,4-dichlorophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 5 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one;
- 4-[2-[[3-(4-bromophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-methyl-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one; and
- 10 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[6-[4-(trifluoromethyl)phenyl]-2-pyrazinyl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one.

This invention also relates to fungicidal compositions comprising fungicidally effective amounts of the compounds of Formula IA and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds of Formula IA.

This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compounds of Formula IA and the compositions described herein. The preferred methods of use are those involving the above preferred compounds of Formula IA.

This invention also relates to arthropodicidal compositions comprising arthropodically effective amounts of the compounds of Formula IA and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds of Formula IA.

This invention also relates to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of the compounds of Formula IA and the compositions described herein. The preferred methods of use are those involving the above preferred compounds of Formula IA.

Preferred compounds of Formula IB for reasons of better fungicidal or arthropodicidal activity and/or ease of synthesis are:

Preferred IB. Compounds of Formula IB above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

- 35 E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and

- 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl;
1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and
1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and
4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and
4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl;
4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl;
1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl;
1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and
3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl;
2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl;
1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-,
3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-,
3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl;
benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-,
4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-,
3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 1*H*-benzimidazole-1,4-, 1,5-, 1,6-,
1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl;
1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-,
2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl;
1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl;
2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-,
2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-,
5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-,
3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and
7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-,
5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and
7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-,
6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7-
and 7,8-quinoxalinediyl; 1,8-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-,
3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl;
pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl;
thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl;
2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl;
1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl;
2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-,
5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-,

2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl;
 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-,
 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-,
 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-,
 5 and 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-,
 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl;
 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-,
 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and
 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-,
 10 4,5-, 5,6- and 6,7-benzofurandiyl;
 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl;
 and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-,
 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic
 ring system optionally substituted with one of R³, R⁴, or both R³
 15 and R⁴;

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;

R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl;

20 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio;
 C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxy carbonyl;
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or
 phenylsulfonyl;

25 Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-;
 -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-;
 -CH₂O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; or a
 direct bond;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio;
 C₂-C₆ alkenyl; C₂-C₆ alkynyl; C₃-C₆ cycloalkyl; halogen; or cyano;
 30 or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken
 together as -(CH₂)_r-J- such that J is attached to Z;

Z is selected from the group C₁-C₁₀ alkyl; C₃-C₈ cycloalkyl; phenyl;
 35 naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl;
 thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl;

isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl;
 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl;
 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl;
 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl;
 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl;
 pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl;
 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl;
 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl;
 quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl;
 quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl;
 1,2,3,4-tetrahydronaphthalenyl;
 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl;
 5,6,7,8,9,10-hexahydrobenzocyclooctenyl;
 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl;
 2,3-dihydro-2-oxobenzofuranyl;
 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl;
 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl;
 2-oxo-2*H*-1-benzopyranyl;
 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl;
 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl;
 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl;
 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl;
 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl;
 2-oxo-1,3-benzodioxyl;
 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl;
 azulenyl; and thiazolo[2,3-*c*]-1,2,4-triazolyl; each group substituted
 with R⁹ and optionally substituted with one or more R¹⁰; and
 R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.

Preferred 2B. Compounds of Preferred 1B wherein:

E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and
 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and
 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl;
 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and
 benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl;

each aromatic ring system optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl;

1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl;

5 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R^9 and optionally substituted with one or more R^{10} ;

R^7 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 alkylthio;

C_2 - C_6 alkenyl; C_2 - C_6 alkynyl; cyclopropyl; halogen; or cyano; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is

10 $-CH_2O-N=C(R^7)-$, R^7 and said adjacently attached R^{10} can be taken together as $-(CH_2)_r-J-$ such that J is attached to Z;

J is $-CH_2-$ or $-CH_2CH_2-$; and

r is 1.

Preferred 3B. Compounds of Preferred 2B wherein:

15 E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

A is O or N;

X is OR^1 ;

R^1 is C_1 - C_3 alkyl;

20 R^2 is H or C_1 - C_2 alkyl;

Y is $-O-$; $-S(O)_n-$; $-NR^{15}-$; $-C(=O)-$; $-CH(OR^{15})-$; $-CH_2-$; $-CH_2CH_2-$; $-CH=CH-$; $-C\equiv C-$; $-CH_2O-$; $-OCH_2-$; $-CH_2S(O)_n-$; $-S(O)_nCH_2-$; or a direct bond;

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl;

25 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; and 1,3,4-thiadiazolyl; each group substituted with R^9 and optionally substituted with R^{10} ; and

R^{15} is H; C_1 - C_3 alkyl; or cyclopropyl.

Preferred 4B. Compounds of Preferred 3B wherein:

R^1 is methyl;

30 R^2 is methyl;

Y is $-O-$; $-S(O)_n-$; $-NR^{15}-$; $-C(=O)-$; $-CH(OR^{15})-$; $-CH_2-$; or a direct bond; and

R^9 is phenyl, benzyl, phenoxy, pyridinyl, thienyl, furanyl, or pyrimidinyl each substituted with R^{11} and optionally substituted with R^{12} .

Preferred 5B. Compounds of Preferred 4B wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; and
1,2,4-thiadiazolyl; each group substituted with R⁹ and optionally
substituted with R¹⁰; and

5 Y is -O-; and

R⁹ is phenyl substituted with R¹¹ and optionally substituted with R¹².

Most preferred are compounds of Preferred 5B selected from the group:

4-[2-[[3-(3-ethynylphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-
methoxy-2-methyl-3H-1,2,4-triazol-3-one; and

10 [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-
yl)phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] trifluoromethanesulfonate.

This invention also relates to fungicidal compositions comprising fungicidally
effective amounts of the compounds of Formula IB and at least one of a surfactant, a
solid diluent or a liquid diluent. The preferred compositions of the present invention are
15 those which comprise the above preferred compounds of Formula IB.

This invention also relates to a method for controlling plant diseases caused by
fungal plant pathogens comprising applying to the plant or portion thereof, or to the
plant seed or seedling, a fungicidally effective amount of the compounds of Formula IB
and the compositions described herein. The preferred methods of use are those involving
20 the above preferred compounds of Formula IB.

This invention also relates to arthropodicidal compositions comprising
arthropodically effective amounts of the compounds of Formula IB and at least one of
a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present
invention are those which comprise the above preferred compounds of Formula IB.

25 This invention also relates to a method for controlling arthropods comprising
contacting the arthropods or their environment with an arthropodically effective
amount of the compounds of Formula IB and the compositions described herein. The
preferred methods of use are those involving the above preferred compounds of
Formula IB.

30 Preferred intermediates for the preparation of the fungicides and arthropodicides of
Formula I where Y is oxygen are:

Preferred 1C. Compounds of Formula II above wherein:

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

35 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl; and

R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio;
 C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl;
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or
 phenylsulfonyl.

Preferred 2C. Compounds of Preferred 1C wherein:

A is O or N;

X is OR¹ or halogen;

R¹ is C₁-C₃ alkyl;

R² is H or C₁-C₂ alkyl; and

R³ and R⁴ are each independently halogen; C₁-C₃ alkyl; C₁-C₃ alkoxy; or
 C₁-C₃ alkylthio.

Preferred 3C. Compounds of Preferred 2C wherein:

A is N;

R¹ is methyl;

R² is methyl; and

R³ and R⁴ are each independently halogen or methyl.

Most preferred are compounds of Preferred 3C selected from the group:

2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3H-1,2,4-
 triazol-3-one;

5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3H-1,2,4-triazol-
 3-one; and

5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one.

Of note are embodiments where X is other than H; embodiments where R² is H,
 C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆
 haloalkynyl, C₃-C₆ cycloalkyl, C₂-C₄ alkylcarbonyl or C₂-C₄ alkoxycarbonyl;

embodiments where Y is -O-, -S(O)_n-, -NR¹⁵-, -C(=O)-, -CH(OR¹⁵)-, -CHR⁶-,

-CHR⁶CHR⁶-, -CR⁶=CR⁶-, -C≡C-, -CHR¹⁵O-, -OCHR¹⁵-, -CHR¹⁵S(O)_n-,

-S(O)_nCHR¹⁵-, -CHR¹⁵O-N=C(R⁷)-, -(R⁷)C=N-OCH(R¹⁵)-, -C(R⁷)=N-O-,

-O-N=C(R⁷)-, -CHR¹⁵OC(=O)N(R¹⁵)-, -CHR¹⁵OC(=S)N(R¹⁵)-,

-CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-, -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-,

-CHR¹⁵O-N=C(R⁷)NR¹⁵-, -CHR¹⁵O-N=C(R⁷)OCH₂-, -CHR¹⁵O-N=C(R⁷)-N=N-,

-CHR¹⁵O-N=C(R⁷)-C(=O)-, -CHR¹⁵S-C(R⁷)=N-, -C(R⁷)=N-NR¹⁵-,

-CH=N-N=C(R⁷)-, -CHR¹⁵N(COCH₃)-N=C(R⁷)-, -OC(=S)NR¹⁵C(=O)-,

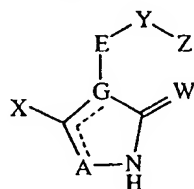
-CHR⁶-C(=W¹)-A¹-, -CHR⁶CHR⁶-C(=W¹)-A¹-, -CR⁶=CR⁶-C(=W¹)-A¹-,

- C≡C-C(=W¹)-A¹-, -N=CR⁶-C(=W¹)-A¹- or a direct bond; embodiments where R⁷ is H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylthio, C₁-C₆ alkylsulfinyl, C₁-C₆ alkylsulfonyl, C₁-C₆ haloalkylthio, C₁-C₆ haloalkylsulfinyl, C₁-C₆ haloalkylsulfonyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ cycloalkyl, C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl, halogen, cyano or morpholinyl; embodiments where Z is other than C₃-C₈ cycloalkenyl and adamantyl each substituted with R⁹ and optionally substituted with one or more R¹⁰; embodiments where, when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is -CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -CH=N-N=C(R⁷)- or
- 10 -CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ are taken together as -(CH₂)_r-J- such that J is attached to Z; embodiments where R¹¹ and R¹² are each independently halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₃-C₆ alkenyloxy, C₃-C₆ haloalkenyloxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₃-C₆ alkenylthio, C₃-C₆ haloalkenylthio, nitro, cyano, SF₅, Si(R²⁵)₃ or Ge(R²⁵)₃; embodiments where R¹⁹, R²⁰, R²¹, R²², R²³, and R²⁴ are each independently C₁-C₆ alkyl, C₁-C₄ alkoxy or phenyl; embodiments where each R²⁵ is independently C₁-C₄ alkyl or phenyl; embodiments where R³ and R⁴ are each independently halogen, cyano, nitro, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylsulfonyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, (C₁-C₄ alkyl)NHC(O), (C₁-C₄ alkyl)₂NC(O), benzoyl or phenylsulfonyl; embodiments where Z is selected from the group 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl and 1,3,4-thiadiazolyl, each group substituted with R⁹; embodiments where R¹¹ is C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ alkenyloxy, C₃-C₆ haloalkenyloxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₃-C₆ alkenylthio, C₃-C₆ haloalkenylthio or SF₅; embodiments where R¹² is halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₃-C₆ alkenyloxy, C₃-C₆ haloalkenyloxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₃-C₆ alkenylthio, C₃-C₆ haloalkenylthio, nitro, cyano, SF₅, Si(R²⁵)₃ or Ge(R²⁵)₃; embodiments where Z is selected from the group phenyl, naphthalenyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, pyridinyl and pyrimidinyl, each group substituted with R⁹ and optionally substituted with one or more R¹⁰; and embodiments where Z is

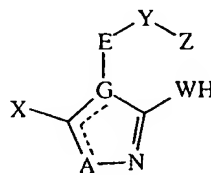
selected from the group 1,2,4-oxadiazolyl and 1,2,4-thiadiazolyl, each group substituted with R⁹.

The compounds of Formula I can be prepared by one or more of the following methods and variations as described in Schemes 1-33. One skilled in the art will recognize that compounds of Formula IA and IB are encompassed by Formula I and, therefore, can be prepared by these procedures. The definitions of E, A, G, W, X, R¹-R²⁷, Y, Z¹, W¹, A¹-A³, Z, Q, J, m, n, p, r and s in the compounds of Formulae 1-58 below are as defined above in the Summary of the Invention. Compounds of Formulae Ia-Im are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-Im are as defined above for Formula I.

One skilled in the art will recognize that some compounds of Formula I can exist in one or more tautomeric forms. For example, a compound of Formula I wherein R² is H may exist as tautomer Ia or Ib, or both Ia and Ib. The present invention comprises all tautomeric forms of compounds of Formula I.



Ia



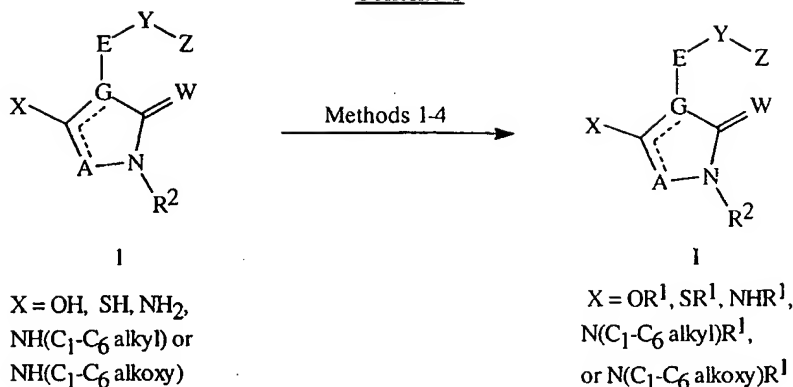
Ib

The compounds of Formula I can be prepared as described below in Procedures 1) to 5). Procedures 1) to 4) describe syntheses involving construction of the amide ring after the formation of the aryl moiety (E-Y-Z). Procedure 5) describes syntheses of the aryl moiety (E-Y-Z) with the amide ring already in place.

20 1) Alkylation Procedures

The compounds of Formula I are prepared by treating compounds of Formula 1 with an appropriate alkyl transfer reagent in an inert solvent with or without additional acidic or basic reagents or other reagents (Scheme 1). Suitable solvents are selected from the group consisting of polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

36

Scheme 1

Method 1: U-CH=N_2 (U = H or $(\text{CH}_3)_3\text{Si}$)
2

Method 2: $\text{Cl}_3\text{C-C(=NH)OR}^1$; Lewis acid
3

Method 3: $(\text{R}^1)_3\text{O}^+ \text{BF}_4^-$
4

Method 4: $(\text{R}^1)_2\text{SO}_4$; $\text{R}^1\text{OSO}_2\text{V}$; or $\text{R}^1\text{-hal}$;
optional base
(hal = F, Cl, Br, or I)
(V = $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, or $4\text{-CH}_3\text{-C}_6\text{H}_4$)

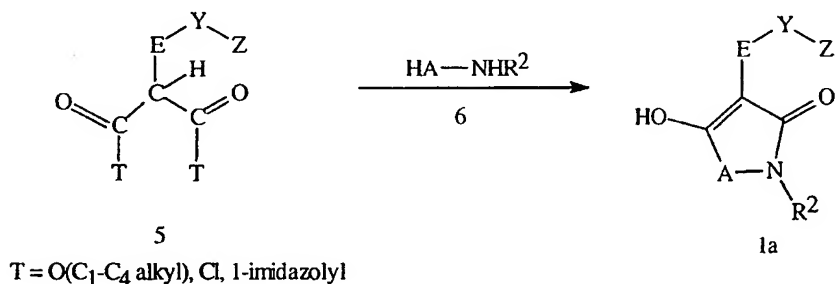
For example, compounds of Formula I can be prepared by the action of diazoalkane reagents of Formula 2 such as diazomethane (U = H) or trimethylsilyldiazomethane (U = $(\text{CH}_3)_3\text{Si}$) on dicarbonyl compounds of Formula 1 (Method 1). Use of trimethylsilyldiazomethane requires a protic cosolvent such as methanol. For examples of these procedures, see *Chem. Pharm. Bull.*, (1984), 32, 3759.

As indicated in Method 2, compounds of Formula I can also be prepared by contacting carbonyl compounds of Formula 1 with alkyl trichloroacetimidates of Formula 3 and a Lewis acid catalyst. Suitable Lewis acids include trimethylsilyl triflate and tetrafluoroboric acid. The alkyl trichloroacetimidates can be prepared from the appropriate alcohol and trichloroacetonitrile as described in the literature (J. Danklmaier and H. Hönig, *Synth. Commun.*, (1990), 20, 203).

Compounds of Formula I can also be prepared from compounds of Formula 1 by treatment with a trialkyloxonium tetrafluoroborate (i.e., Meerwein's salt) of Formula 4 (Method 3). The use of trialkyloxonium salts as powerful alkylating agents is well known in the art (see U. Schöllkopf, U. Groth, C. Deng, *Angew. Chem., Int. Ed. Engl.*, (1981), 20, 798).

- Other alkylating agents which can convert carbonyl compounds of Formula 1 to compounds of Formula I are dialkyl sulfates such as dimethyl sulfate, haloalkyl sulfonates such as methyl trifluoromethanesulfonate, and alkyl halides such as iodomethane and propargyl bromide (Method 4). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. See R. E. Benson, T. L. Cairns, *J. Am. Chem. Soc.*, (1948), 70, 2115 for alkylation examples using agents of this type.
- Compounds of Formula 1a (compounds of Formula 1 wherein G = C, W = O and X = OH) can be prepared by condensation of malonates or malonate derivatives of Formula 5 with an ambident nucleophile of Formula 6 (Scheme 2). The nucleophiles of Formula 6 are *N*-substituted hydroxylamines (HO-NHR²) and substituted hydrazines (HN(R⁵)-NHR²). Examples of such nucleophiles are *N*-methylhydroxylamine and methylhydrazine. The malonate esters of Formula 5 can be prepared by methods described hereinafter. The esters of Formula 5 can also be activated by first hydrolyzing the ester to form the corresponding carboxylic acid, and then converting the acid into the acid chloride (T = Cl) using thionyl chloride or oxalyl chloride, or into the acyl imidazole (T = 1-imidazolyl) by treating with 1,1'-carbonyldiimidazole.

Scheme 2

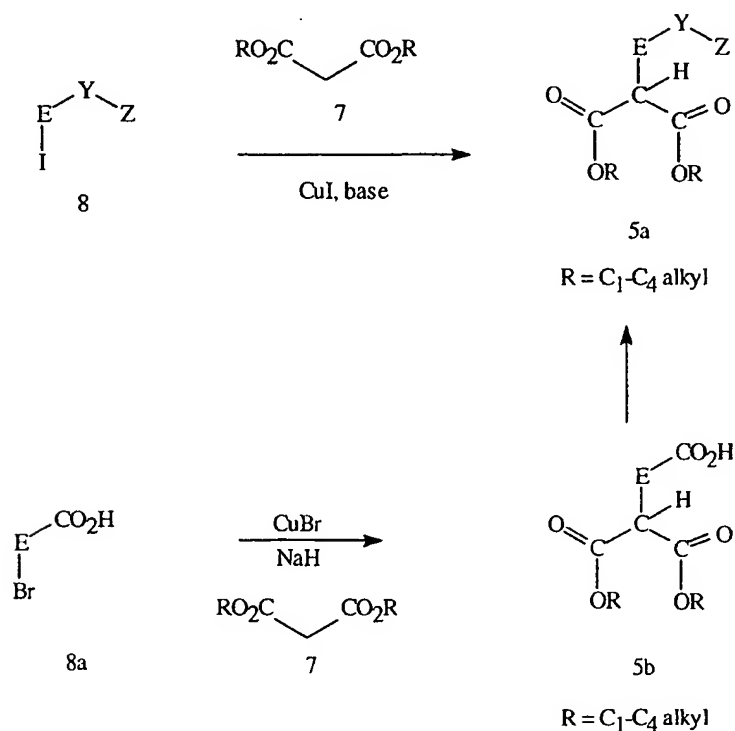


- Esters of Formula 5a can be prepared from copper (I)-catalyzed reaction of malonate esters of Formula 7 with substituted aryl halides of Formula 8 according to methods adapted from A. Osuka, T. Kobayashi and H. Suzuki, *Synthesis*, (1983), 67 and M. S. Malamas, T. C. Hohman, and J. Millen, *J. Med. Chem.*, 1994, 37, 2043-2058, and illustrated in Scheme 3. Procedures to prepare compounds of Formula 8 are described below (see Scheme 32).

- Malonate esters of Formula 5a can also be prepared from diester carboxylic acids of Formula 5b after modification of the carboxylic acid functional group to the

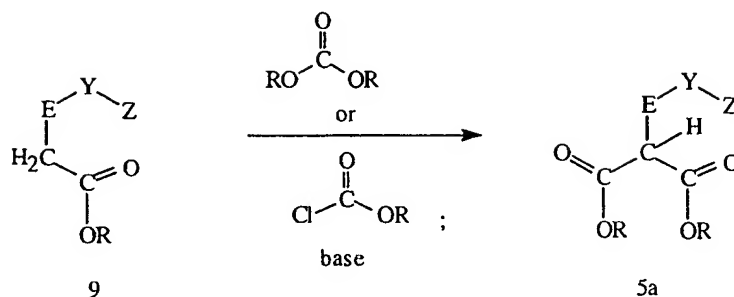
- appropriate Y and Z group. A copper (I)-catalyzed coupling of malonates of Formula 7 with orthobromocarboxylic acids of Formula 8a (see A. Bruggink, A. McKillop, *Tetrahedron*, (1975), 31, 2607) can be used to prepare compounds of Formula 5b as shown in Scheme 3. Methods to prepare compounds of Formula 8a are common in the art (see P. Beak, V. Snieckus, *Acc. Chem. Res.*, (1982), 15, 306 and *Org. React.*, (1979), 26, 1 and references therein).

Scheme 3



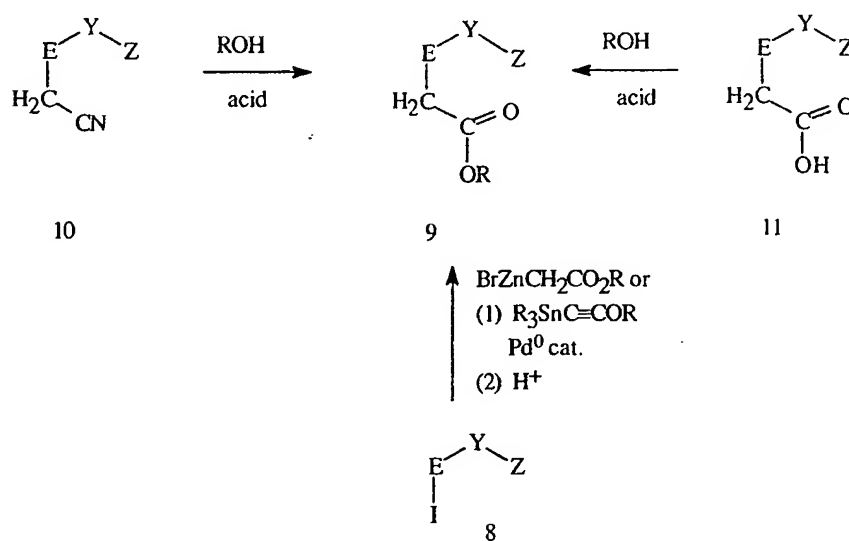
- 10 Additionally, the malonate esters of Formula 5a can be prepared by treating aryl acetic acid esters of Formula 9 with a dialkyl carbonate or alkyl chloroformate in the presence of a suitable base such as, but not limited to, sodium metal or sodium hydride (Scheme 4). For example, see *J. Am. Chem. Soc.*, (1928), 50, 2758.

39

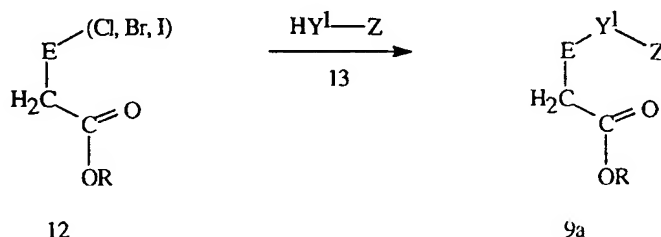
Scheme 4R = C₁-C₄ alkyl

Esters of Formula 9 can be prepared from acid-catalyzed alcoholysis of aryl acetonitriles of Formula 10 or esterification of aryl acetic acids of Formula 11 as illustrated in Scheme 5 (see *Org. Synth.*, Coll. Vol. I, (1941), 270).

Additionally, esters of formula 9 can be prepared by palladium (0)-catalyzed cross coupling reaction of aryl iodides of Formula 8 with a Reformatsky reagent or an alkoxy(trialkylstannyl)acetylene followed by hydration (Scheme 5). For example, see T. Sakamoto, A. Yasuhara, Y. Kondo, H. Yamanaka, *Synlett*, (1992), 502, and J. F. Fauvarque, A. Jutard, *J. Organometal. Chem.*, (1977), 132, C17.

Scheme 5R = C₁-C₄ alkyl

Aryl acetic acid esters of Formula 9a can also be prepared by copper (I)-catalyzed condensation of aryl halides of Formula 12 with compounds of Formula 13 as described in EP-A-307,103 and illustrated below in Scheme 6.

Scheme 6

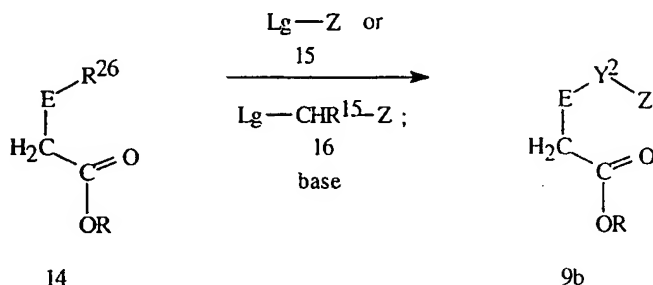
R = C₁-C₄ alkyl

Y¹ = O, S, OCHR¹⁵, SCHR¹⁵, O-N=C(R⁷), NR¹⁵

5

Some esters of Formula 9 (Formula 9b) can also be prepared by forming the Y² bridge using conventional nucleophilic substitution chemistry (Scheme 7). Displacement of an appropriate leaving group (Lg) in electrophiles of Formula 15 or 16 with a nucleophilic ester of Formula 14 affords compounds of Formula 9b. A base, for example sodium hydride, is used to generate the corresponding alkoxide or thioalkoxide of the compound of Formula 14.

10

Scheme 7

R = C₁-C₄ alkyl

R²⁶ = OH, SH, CHR¹⁵OH, CHR¹⁵SH, NHR¹⁵

Y² = O, S, OCHR¹⁵, SCHR¹⁵, CHR¹⁵O, CHR¹⁵S, NR¹⁵

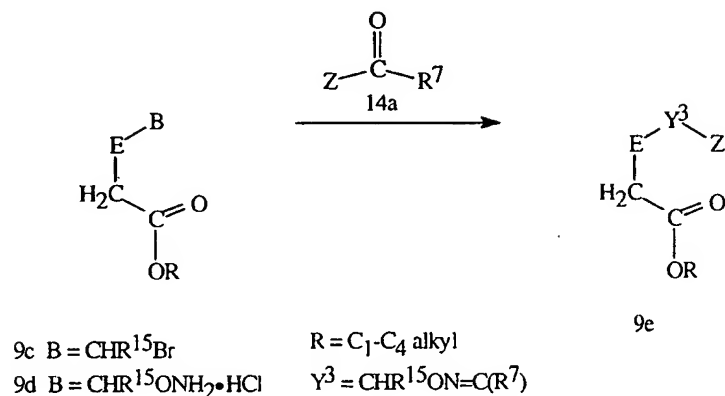
Lg = Br, Cl, I, OSO₂CH₃, OSO₂(4-Me-Ph)

15

Some esters of Formula 9 (Formula 9e) can also be prepared by forming the Y³ bridge from substituted hydroxylamine 9d and carbonyl compounds 14a. The

hydroxylamine 9d is in turn prepared from esters 9c. This method has been described in EP-A-600,835 and illustrated in Scheme 8.

Scheme 8



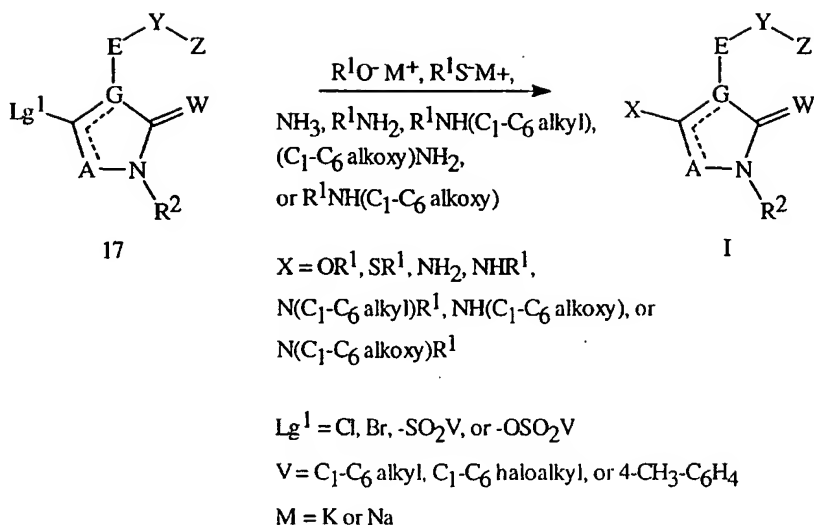
5

2) Displacement and Conjugate Addition/Elimination Procedures

Compounds of Formula I can also be prepared by reaction of Formula 17 compounds with alkali metal alkoxides (R¹O-M⁺), alkali metal thioalkoxides (R¹S-M⁺), or an amine derivative in a suitable solvent (Scheme 9). The leaving group Lg¹ in the amides of Formula 17 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine, and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethyl sulfoxide, dimethoxyethane methanol.

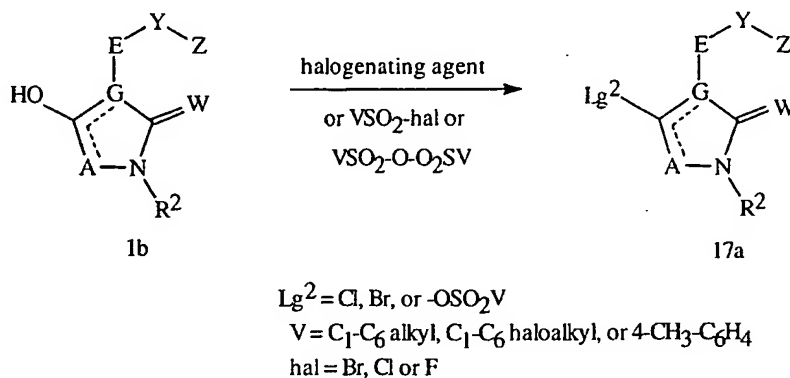
15

Scheme 9



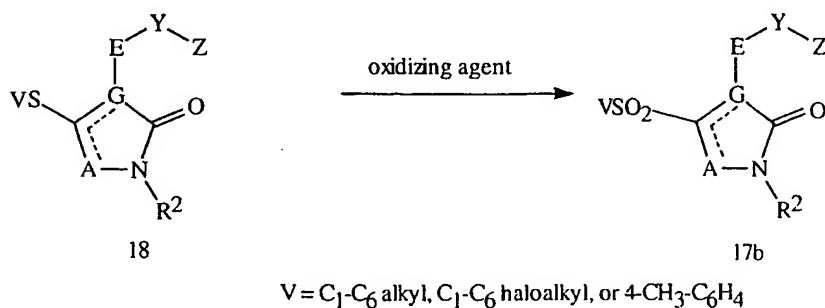
- Compounds of Formula 17a can be prepared from compounds of Formula 1b (compounds of Formula 1 wherein X is OH) by reaction with halogenating agents such as thionyl chloride or phosphorus oxybromide to form the corresponding β -halo-substituted derivatives (Scheme 10). Alternatively, compounds of Formula 1b can be treated with an alkylsulfonyl halide or haloalkylsulfonyl anhydride, such as methanesulfonyl chloride, *p*-toluenesulfonyl chloride, and trifluoromethanesulfonyl anhydride, to form the corresponding β -alkylsulfonate of Formula 17a. The reaction with the sulfonyl halides may be performed in the presence of a suitable base (e.g., triethylamine).

Scheme 10



As illustrated in Scheme 11, sulfonyl compounds of Formula 17b can be prepared by oxidation of the corresponding thio compound of Formula 18 using well-known methods for the oxidation of sulfur (see Schrenk, K. In *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Suitable oxidizing reagents include meta-chloro-peroxybenzoic acid, hydrogen peroxide and Oxone[®] (KHSO₅).

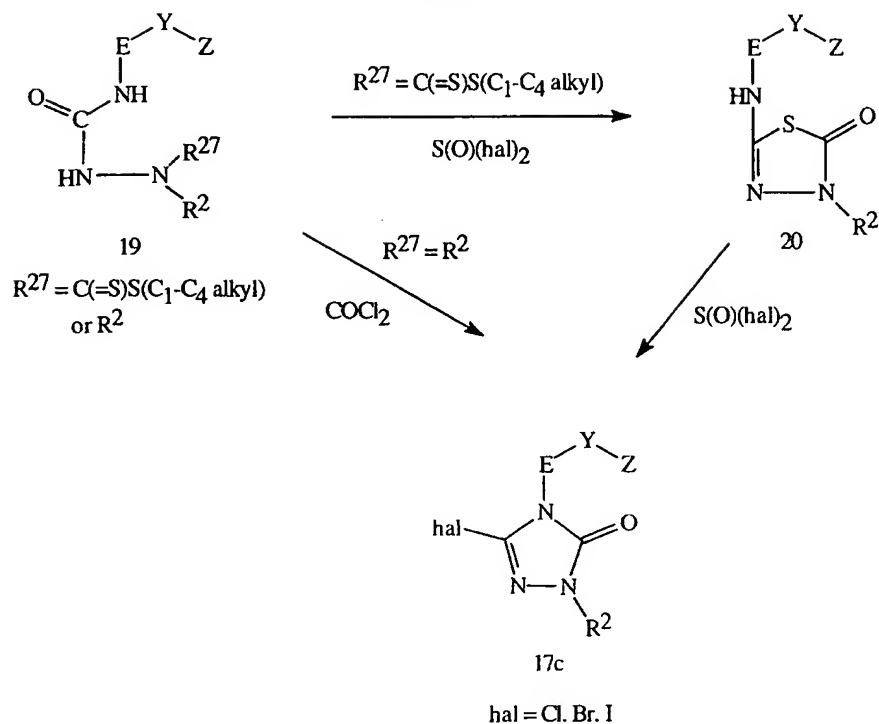
Scheme 11



Alternatively, halo-compounds of Formula 17c (compounds of Formula 17a wherein A = N, G = N, and W = O) can be prepared from hydrazides of Formula 19 as illustrated in Scheme 12. When R²⁷ = C(=S)S(C₁-C₄ alkyl), the diacyl compound of Formula 19 is treated with excess thionyl halide, for example excess thionyl chloride. The product formed first is the ring-closed compound of Formula 20 which can be isolated or converted *in situ* to the compound of Formula 17c; see P. Molina, A. Tárraga, A. Espinosa, *Synthesis*, (1989), 923 for a description of this process.

Alternatively, when R²⁷ = R² as defined above, the hydrazide of Formula 19 is cyclized with phosgene to form the cyclic urea of Formula 17c wherein hal = Cl. This procedure is described in detail in *J. Org. Chem.*, (1989), 54, 1048.

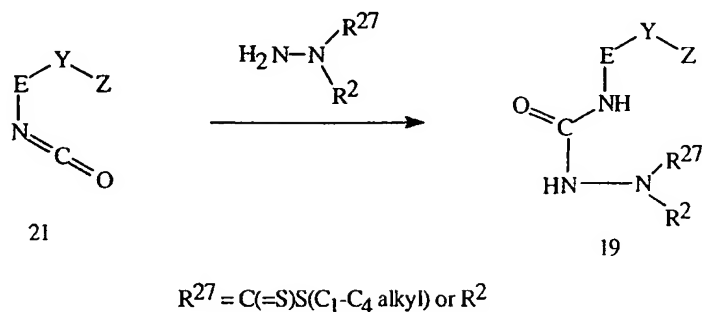
44

Scheme 12

The hydrazides of Formula 19 can be prepared as illustrated in Scheme 13.

Condensation of the isocyanate of Formula 21 with the hydrazine of

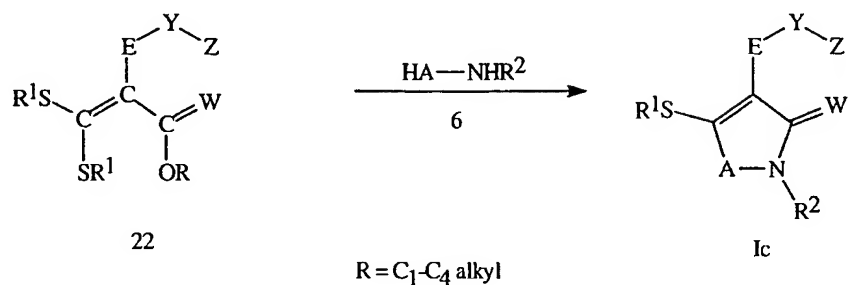
- 5 Formula $\text{H}_2\text{NNR}^2\text{R}^{27}$ in an inert solvent such as tetrahydrofuran affords the hydrazide.

Scheme 133) Conjugate Addition/Cyclization Procedures

- 10 In addition to the methods disclosed above, compounds of Formula I wherein $\text{X} = \text{SR}^1$ and $\text{G} = \text{C}$ (Formula Ic) can be prepared by treating a ketenedithioacetal of

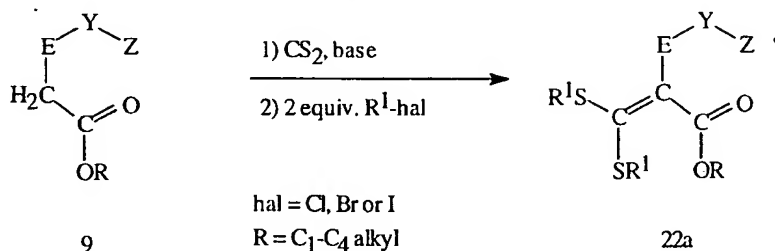
Formula 22 with an ambident nucleophile of Formula 6 (Scheme 14). The nucleophiles of Formula 6 are described above.

Scheme 14



- 5 Ketene dithioacetals of Formula 22a can be prepared by condensing arylacetic acid esters of Formula 9 with carbon disulfide in the presence of a suitable base, followed by reaction with two equivalents of an R^1 -halide, such as iodomethane or propargyl bromide (Scheme 15).

Scheme 15

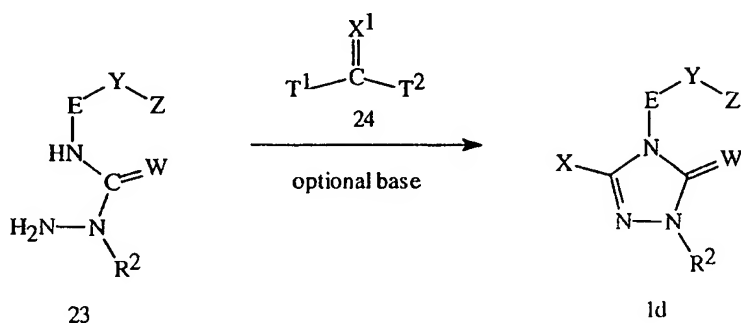


10

- Compounds of Formula 1d (compounds of Formula 1 wherein $A = N$, $G = N$) can be prepared by condensation of *N*-amino-ureas of Formula 23 with a carbonylating agent of Formula 24 (Scheme 16). The carbonylating agents of Formula 24 are carbonyl or thiocarbonyl transfer reagents such as phosgene, thiophosgene, diphosgene (15 $ClC(=O)OCCl_3$), triphosgene ($Cl_3COC(=O)OCCl_3$), *N,N'*-carbonyldiimidazole, *N,N'*-thiocarbonyldiimidazole, and 1,1'-carbonyldi(1,2,4-triazole). Alternatively, the compounds of Formula 24 can be alkyl chloroformates or dialkyl carbonates. Some of these carbonylating reactions may require the addition of a base to effect reaction.
- 20 Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, tertiary amines such as triethylamine and triethylenediamine, pyridine, or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). Suitable solvents include polar aprotic solvents such as acetonitrile,

- dimethylformamide, or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0°C and 150°C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

Scheme 16



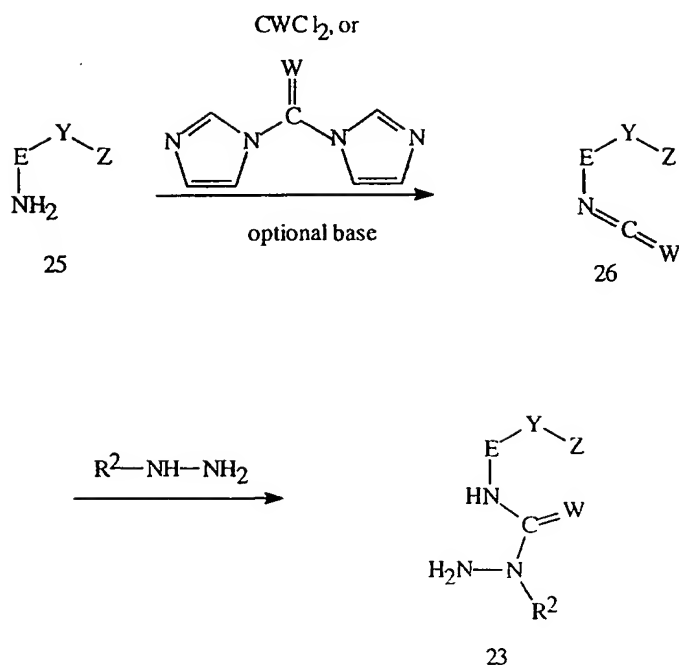
T^1 and T^2 are independently Cl, $OC(=O)R$, $O(C_1-C_4 \text{ alkyl})$, 1-imidazolyl, 1,2,4-triazolyl
 $X = OH$ or SH
 $X^1 = O$ or S

N-Amino-ureas of Formula 23 can be prepared as illustrated in Scheme 17.

- 10 Treatment of an arylamine of Formula 25 with phosgene, thiophosgene, *N,N'*-carbonyldiimidazole, or *N,N'*-thiocarbonyldiimidazole produces the isocyanate or isothiocyanate of Formula 26. A base can be added for reactions with phosgene or thiophosgene. Subsequent treatment of the iso(thio)cyanate with an R^2 -substituted hydrazine produces the *N*-amino-urea of Formula 23.

47

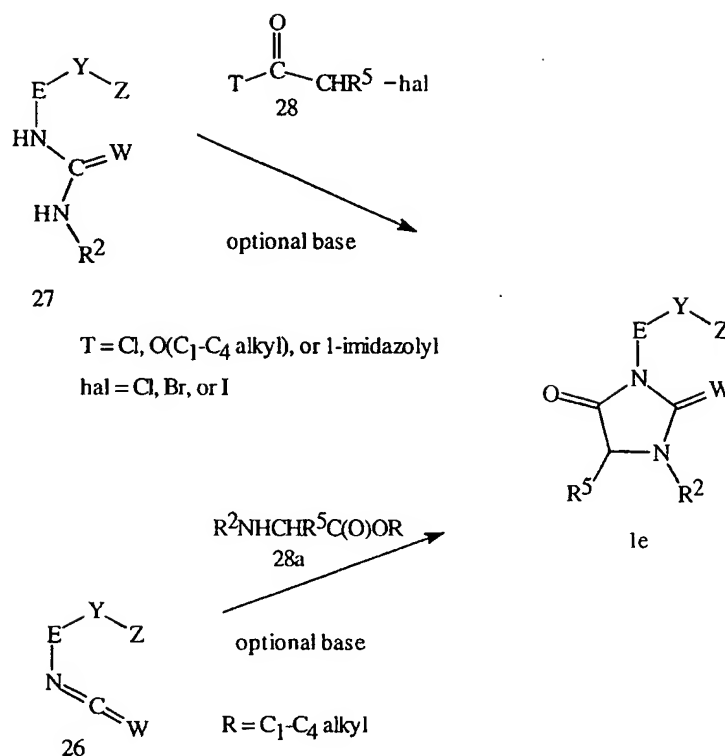
Scheme 17



- Compounds of Formula 1e (compounds of Formula 1 wherein A = CR⁵, G = N, and X = O) can be prepared by either method illustrated in Scheme 18. Ureas of
- 5 Formula 27 are reacted with activated 2-halocarboxylic acid derivatives such as 2-halocarboxylic acid chlorides, 2-halocarboxylic acid esters or 2-haloacyl imidazoles. The initial acylation on the arylamino nitrogen is followed by an intramolecular displacement of the 2-halo group to effect cyclization. Base may be added to accelerate the acylation and/or the subsequent cyclization. Suitable bases include triethylamine and
- 10 sodium hydride. Alternatively, Formula 1e compounds can be prepared by reaction of Formula 26 isocyanates with Formula 28a esters. As described above, base may be added to accelerate the reaction and subsequent cyclization to Formula 1e compounds.

48

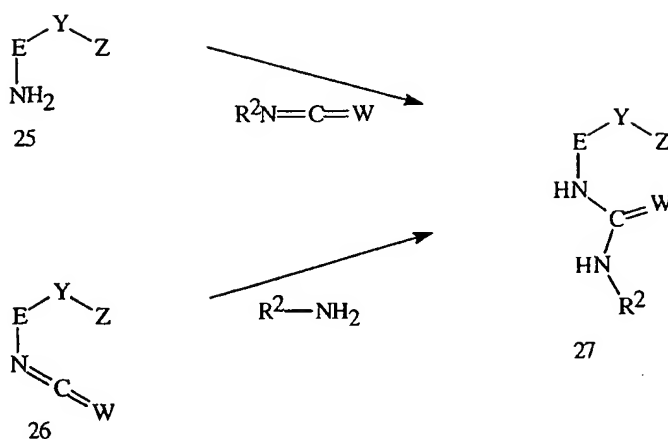
Scheme 18



- The ureas of Formula 27 can be prepared by either of the methods illustrated in Scheme 19. The arylamine of Formula 25 can be contacted with an isocyanate or isothiocyanate of Formula $\text{R}^2\text{N}=\text{C}=\text{W}$ as described above. Alternatively, an isocyanate or isothiocyanate of Formula 26 can be condensed with an amine of Formula $\text{R}^2\text{-NH}_2$ to form the urea. The arylamine and iso(thio)cyanates of Formulae 25 and 26, respectively, are commercially available or prepared by well-known methods. For example, isothiocyanates can be prepared by methods described in *J. Heterocycl. Chem.*, (1990), 27, 407. Isocyanates can be prepared as described in March, *J. Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 944, 1166 and also in *Synthetic Communications*, (1993), 23(3), 335 and references therein. For methods describing the preparation of arylamines of Formula 25 that are not commercially available, see M. S. Gibson In *The Chemistry of the Amino Group*; Patai, S., Ed.; Interscience Publishers, 1968; p 37 and *Tetrahedron Lett.* (1982), 23(7), 699 and references therein.

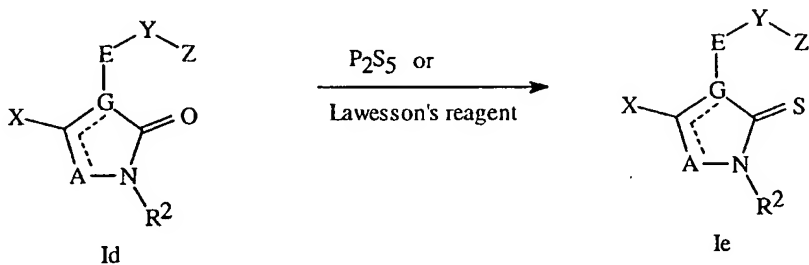
49

Scheme 19

4) Thionation Procedures

- Compounds of Formula Ie, compounds of Formula I wherein W = S, can be prepared by treating compounds of Formula Id (I wherein W = O) with thionating reagents such as P₂S₅ or Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide) as illustrated in Scheme 20 (see *Bull. Soc. Chim. Belg.*, (1978), 87, 229; and *Tetrahedron Lett.*, (1983), 24, 3815).

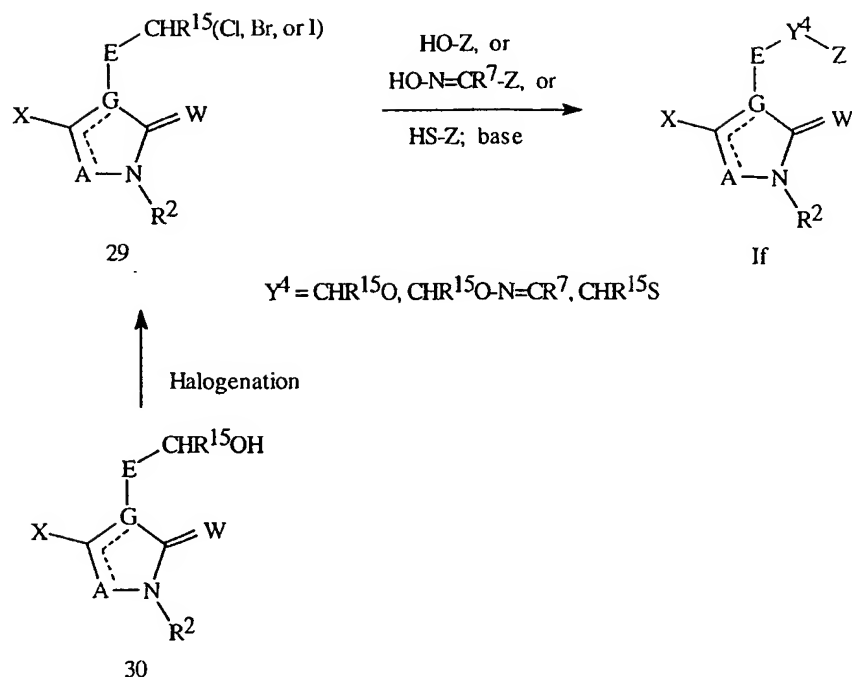
Scheme 20

5) Aryl Moiety (E-Y-Z) Synthesis Procedures

- Compounds of Formula If (compounds of Formula I wherein Y is CHR¹⁵O, CHR¹⁵S, or CHR¹⁵O-N=CR⁷) can be prepared by contacting halides of Formula 29 with various nucleophiles (Scheme 21). The appropriate alcohol or thiol is treated with a base, for example sodium hydride, to form the corresponding alkoxide or thioalkoxide which acts as the nucleophile.

50

Scheme 21

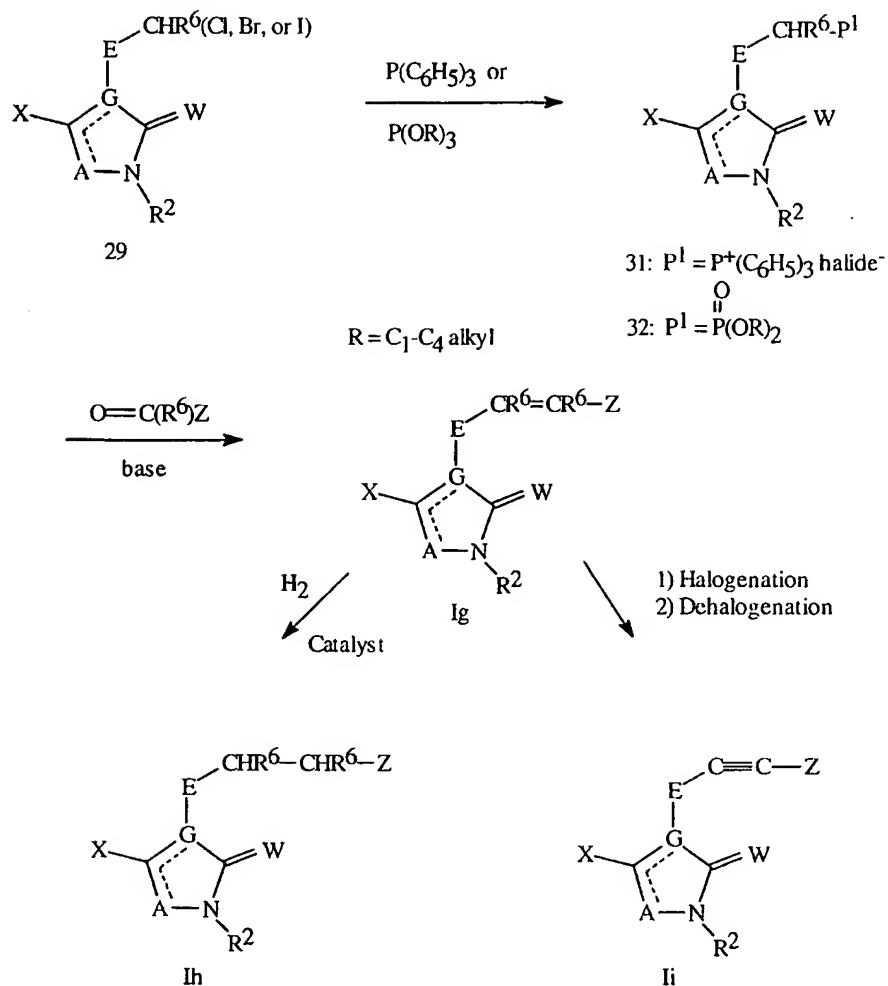


Some aryl halides of Formula 29 can be prepared by radical halogenation of the corresponding alkyl compound (i.e., H instead of halogen in Formula 29), or by acidic cleavage of the corresponding methylether (i.e., OMe instead of halogen in Formula 29). Other aryl halides of Formula 29 can be prepared from the appropriate alcohols of Formula 30 by well known halogenation methods in the art (see Carey, F. A.; Sundberg, R. J. *Advanced Organic Chemistry*; 3rd ed., Part B, Plenum: New York, (1990), p 122).

Compounds of Formula I wherein Y is $\text{CR}^6=\text{CR}^6$ or $\text{CHR}^6\text{-CHR}^6$ (Formula Ig and Ih, respectively) can be prepared as illustrated in Scheme 22. Treatment of the halides of Formula 29 with triphenylphosphine or a trialkylphosphite produces the corresponding phosphonium salt (Formula 31) or phosphonate (Formula 32), respectively. Condensation of the phosphorus compound with a base and a carbonyl compound of Formula $\text{Z(R}^6\text{)C=O}$ affords the olefin of Formula Ig.

51

Scheme 22



- The olefins of Formula Ig can be converted to the saturated compounds of
- 5 Formula 1h by hydrogenation over a metal catalyst such as palladium on carbon as is well-known in the art (Rylander, *Catalytic Hydrogenation in Organic Synthesis*; Academic: New York, 1979).

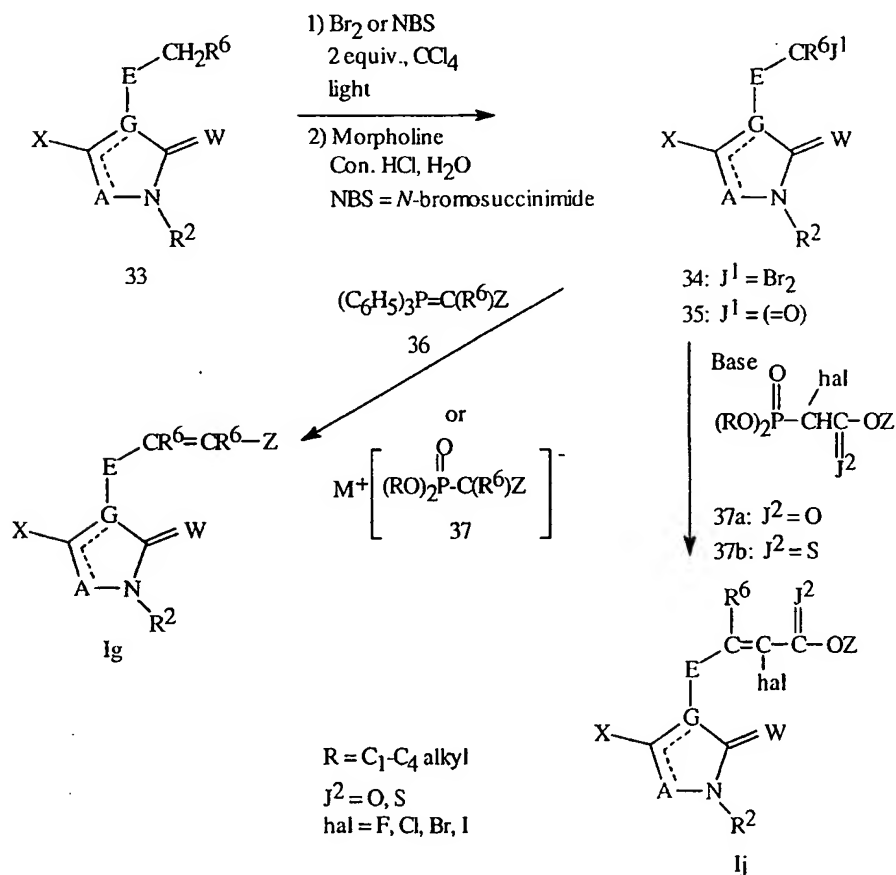
- Formula 1i alkynes can be prepared by halogenation/dehalogenation of Formula Ig olefins using procedures well-known in the art (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 924). Additionally, Formula 1i alkynes can be
- 10 prepared by well-known reaction of aryl halides with alkyne derivatives in the presence of catalysts such as nickel or palladium (see *J. Organomet. Chem.*, (1975), 93 253-257).

The olefin of Formula Ig can also be prepared by reversing the reactivity of the reactants in the Wittig or Horner-Emmons condensation. For example, 2-alkylaryl

- derivatives of Formula 33 can be converted into the corresponding dibromo-compound of Formula 34 as illustrated in Scheme 23 (see *Synthesis*, (1988), 330). The dibromo-compound can be hydrolyzed to the carbonyl compound of Formula 35, which in turn can be condensed with a phosphorus-containing nucleophile of Formula 36 or 37 to afford the olefin of Formula Ig. Additionally, compounds of Formula 35 can be prepared by oxidation of the corresponding alcohols of Formula 30.

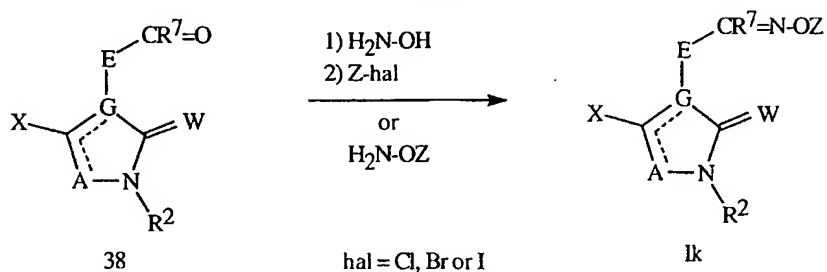
- Vinylhalides of Formula Ij can be prepared by reacting phosphorus reagents of Formulae 37a or 37b with carbonyl compounds of Formula 35 (Scheme 23). The preparations of halides of Formula 37a from the appropriate diethylphosphonoacetate are described by McKenna and Khawli in *J. Org. Chem.*, (1986), 51, 5467. The thiono esters of Formula 37b can be prepared from esters of Formula 37a by converting the carbonyl oxygen of the ester to a thiocarbonyl (see *Chem. Rev.*, (1984), 84, 17 and *Tetrahedron Lett.*, (1984), 25, 2639).

Scheme 23



- Oximes of Formula Ik (Formula I wherein Y is $C(R^7)=N-O$) can be prepared from carbonyl compounds of Formula 38 by condensation with hydroxylamine, followed by *O*-alkylation with electrophiles of Formula Z-(Cl, Br, or I) (Scheme 24). Alternatively, the *O*-substituted hydroxylamine can be condensed with the carbonyl compound of Formula 38 to yield oximes of Formula Ik directly.

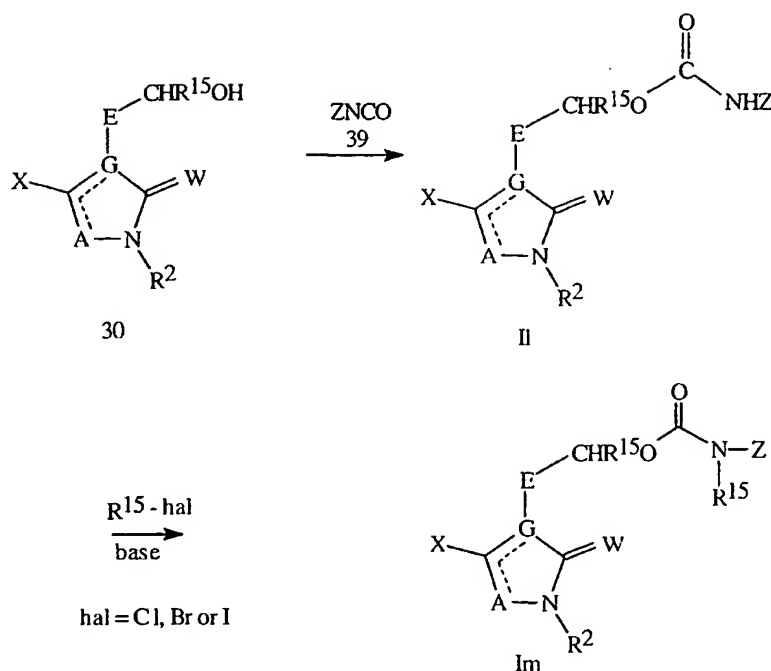
Scheme 24



- Carbamates of Formula II can be prepared by reacting aryl alcohols of Formula 30 with isocyanates of Formula 39 (Scheme 25). A base such as triethylamine can be added to catalyze the reaction. As shown, carbamates of Formula II can be further alkylated to provide the carbamates of Formula Im.

54

Scheme 25



Compounds of Formula I wherein Y is $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{C}(=\text{N}-\text{A}^2-\text{Z}^1)-\text{A}^1-$, $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{A}^3-$ or $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{Z}^1)-$ can be prepared by methods known in the art or obvious modifications (see, for example, WO 95/18789, WO 95/21153, and references therein) together with the methods disclosed herein.

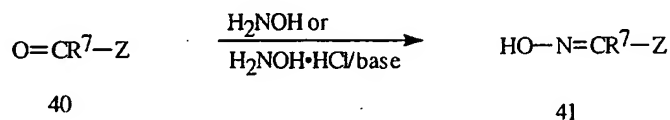
Compounds of Formula I wherein Y is $-\text{CHR}^{15}\text{OC}(=\text{O})\text{O}-$, $-\text{CHR}^{15}\text{OC}(=\text{S})\text{O}-$, $-\text{CHR}^{15}\text{OC}(=\text{O})\text{S}-$, $-\text{CHR}^{15}\text{OC}(=\text{S})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{N}(\text{R}^{15})-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{N}(\text{R}^{15})-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{O}-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{O}-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{NR}^{15})\text{S}-$ or $-\text{CHR}^{15}\text{N}(\text{R}^{15})\text{C}(=\text{O})\text{N}(\text{R}^{15})-$ can be prepared by methods known in the art or obvious modifications (see, for example, U.S. 5,416,110, EP 656,351 and references therein) together with the methods disclosed herein.

The compounds of the present invention are prepared by combinations of reactions as illustrated in the Schemes 1-25 in which Z is a moiety as described in the summary. Preparation of the compounds containing the radical Z as described in the summary, substituted with L (defined as any group attached to Z as depicted in each of the individual schemes) can be accomplished by one skilled in the art by the appropriate combination of reagents and reaction sequences for a particular Z-L. Such reaction sequences can be developed based on known reactions available in the chemical art. For

a general reference, see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985) and references therein. See the following paragraphs for some examples of how L is defined in individual schemes, and the preparation of representative Z-L examples.

- 5 Compounds of Formula 41 in Scheme 26 can be prepared from compounds of Formula 40 by reaction with hydroxylamine or hydroxylamine salts. See Sandler and Karo, "Organic Functional Group Preparations," Vol. 3 Academic Press, New York, (1972) 372-381 for a review of methods. Compounds of Formula 41 correspond to compounds of Formula 13 in Scheme 6 when $Y^1 = O-N=C(R^7)$ and in Scheme 21, reagent $HO-N=CR^7$.

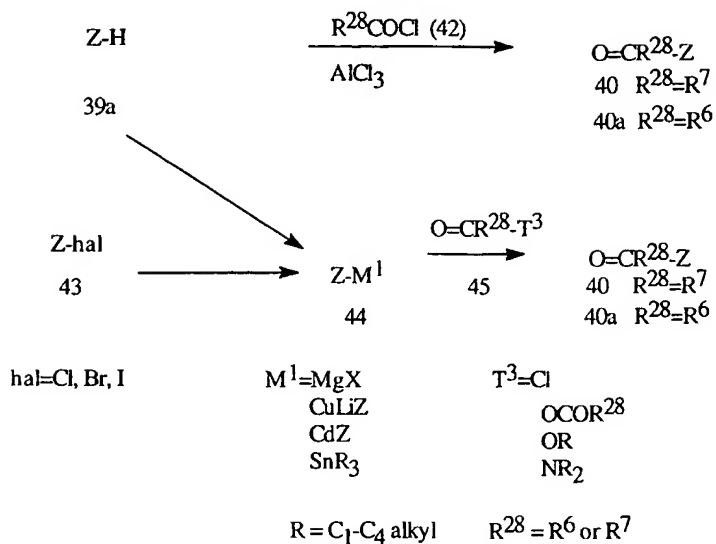
Scheme 26



- Compounds of Formula 40 can be prepared from compounds of Formula 39a (Scheme 27) by Friedel-Crafts acylation with compounds of Formula 42. (See Olah, G. "Friedel-Crafts and Related Reactions," Interscience, New York (1963-1964) for a general review). Compounds of Formula 40 may also be prepared by reaction of acyl halides, anhydrides, esters, or amides of Formula 45 with organometallic reagents of Formula 44. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 433-435 and references therein.) The organometallic compounds of Formula 44 may be prepared by reductive metallation or halogen-metal exchange of a halogen-containing compound of Formula 43 using, for example, magnesium or an organolithium reagent, or by deprotonation of compounds of Formula 39a using a strong base such as a lithioamide or an organolithium reagent, followed by transmetallation. Compound 40 corresponds to Compound 14a in Scheme 8, while compound 40a corresponds to $O=C(R^6)Z$ in Scheme 22.

56

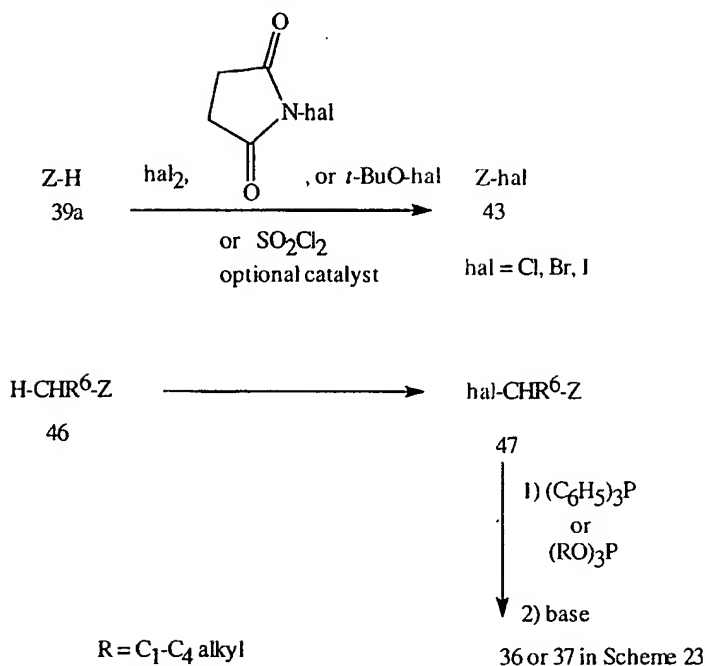
Scheme 27



- Compounds of Formula 43 may be prepared by reaction of compounds of Formula 39a (Scheme 28) with, for example, bromine or chlorine, with or without additional catalysts, under free-radical or aromatic electrophilic halogenation conditions, depending on the nature of Z. Alternative sources of halogen, such as *N*-halosuccinimides, *tert*-butyl hypohalites or SO_2Cl_2 , may also be used. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 476-479, 620-626, and references therein.) For a review of free-radical halogenation, see Huyser, in Patai, "The Chemistry of the Carbon-Halogen Bond," Part 1, Wiley, New York (1973) pp 549-607. For electrophilic substitutions, see de la Mare, "Electrophilic Halogenation," Cambridge University Press, London (1976). Compounds of Formula 43 correspond to compounds of Formula 15 in Scheme 7 where $Lg = Br, Cl, \text{ or } I$ and reagent Z-hal in Scheme 24. Compounds of Formula 47 can be prepared from compounds of Formula 46 by similar procedures. Compounds of Formula 47 correspond to compounds of Formula 16 in Scheme 7 where $Lg = Br, Cl, \text{ or } I$. Compounds of Formula 36 or 37 in Scheme 23 can be prepared by reaction of compounds of Formula 47 with triphenylphosphine or trialkyl phosphites, respectively, followed by deprotonation with base. See Cadogan, "Organophosphorus Reagents in Organic Synthesis," Academic Press, New York (1979) for a general treatise on these reagents.

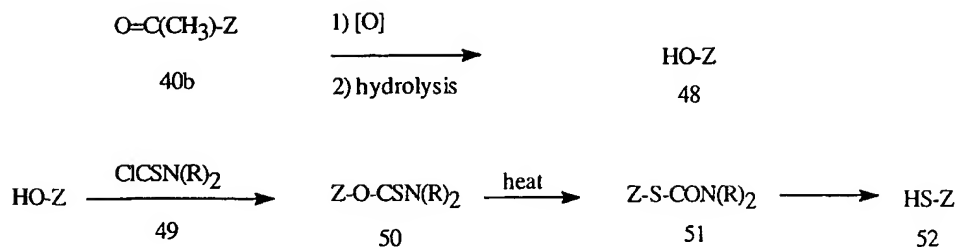
57

Scheme 28

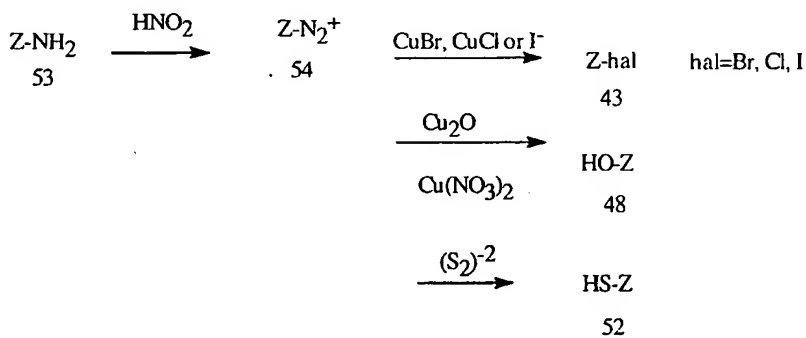


- Compounds of Formula 48 can be prepared from compounds of Formula 40b by treatment with peracids such as perbenzoic or peracetic acid, or with other peroxy compounds in the presence of an acid catalysts, followed by hydrolysis of the resultant ester. For a review, see Plesnicar, in Trahanovsky, "Oxidation in Organic Chemistry, pt. C, Academic Press, New York (1978) pp 254-267. Formula 48 corresponds to Formula 13 in Scheme 6 when $\text{Y}^1 = \text{O}$ and reagent HO-Z in Scheme 21. Compounds of Formula 52 can be prepared from compounds of Formula 48 by conversion to the dialkylthiocarbamates of Formula 50 followed by rearrangement to Formula 51 and subsequent hydrolysis. See M. S. Newman and H. A. Karnes, *J. Org. Chem.* (1966), 31, 3980-4. Formula 52 corresponds to Formula 13 in Scheme 6 when $\text{Y}^1 = \text{S}$ and reagent HS-Z in Scheme 21.

58

Scheme 29R = C₁-C₄ alkyl

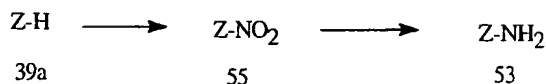
- Compounds of Formula 53 can be converted to compounds of Formulae 43, 48 or 52 via the diazonium compounds 54, by treatment with nitrous acid followed by subsequent reaction (Scheme 30). See reviews by Hegarty, pt. 2, pp 511-91 and Schank, pt. 2, pp 645-657, in Patai, "The Chemistry of Diazonium and Diazo Groups," Wiley, New York (1978). Treatment of Formula 54 compounds with cuprous halides or iodide ions yield compounds of Formula 43. Treatment of Formula 54 compounds with cuprous oxide in the presence of excess cupric nitrate provides compounds of Formula 48. (Cohen, Dietz, and Miser, *J. Org. Chem.*, (1977), 42, 2053). Treatment of Formula 54 compounds with (S₂)⁻² yields compounds of Formula 52.

Scheme 30

- Compounds of Formula 53 can be prepared from compounds of Formula 39a by nitration, followed by reduction (Scheme 31). A wide variety of nitrating agents is available (see Schofield, "Aromatic Nitration," Cambridge University Press, Cambridge (1980)). Reduction of nitro compounds can be accomplished in a number of ways (see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985),

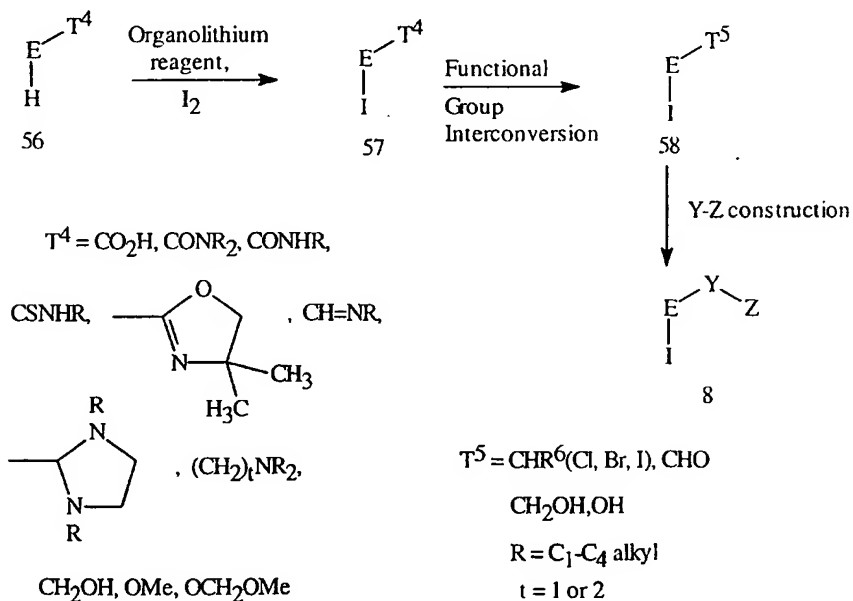
pp 1103-4 and references therein). Formula 53 corresponds to Formula 13 in Scheme 6 when $Y^1 = NR^{15}$ and $R^{15} = H$.

Scheme 31



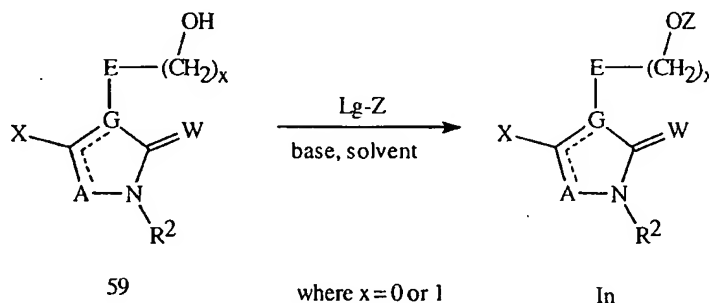
- 5 Iodides of Formula 8 can be prepared from compounds of Formula 58 by the methods described above in Schemes 21-25 for various Y-Z combinations. Compounds of Formula 58 can in turn be prepared from compounds of Formula 57 by functional group interconversions which are well known to one skilled in the art. The compounds of Formula 57 can be prepared by treating compounds of Formula 56 with an
- 10 organolithium reagent such as *n*-BuLi or LDA followed by trapping the intermediate with iodine (Beak, P., Snieckus, V. *Acc. Chem. Res.*, (1982), 15, 306). Additionally, lithiation via halogen metal exchange of compounds of Formula 56, where H is replaced by Br, will produce an intermediate which can be trapped with iodine to prepare compounds of Formula 57 (Parham, W. E., Bradsher, C. K. *Acc. Chem. Res.*, (1982),
- 15 15, 300 (Scheme 32).

Scheme 32



- Compounds of Formula In (Formula IA where Y is $(\text{CH}_2)_x\text{O}$, where $x = 0$ or 1) can be prepared by contacting hydroxy compounds of Formula 59 with appropriate heterocycles or activated aromatic hydrocarbons Lg-Z (where Lg is an appropriate leaving group, for example, halogen or alkylsulfonyl) in the presence of suitable bases (for example, K_2CO_3 , $\text{KO}-t\text{-Bu}$ or NaH) in suitable solvents (for example, acetone, dimethylformamide, dimethyl sulfoxide or tetrahydrofuran) (see Scheme 33).

Scheme 33



- Compounds of Formula Lg-Z may be prepared according to literature procedures, for example, *Comprehensive Heterocyclic Chemistry*, Pergamon Press, vol. 6, 1984, pp 463-511 or *J. Org. Chem.* (1973), 38, 469 or *J. Het. Chem.* (1979), 961 for the preparation of 1,2,4-thiadiazoles, U.S. 5,166,165 or *J. Chem. Soc., Perkin Trans. 1* (1983), 967 for the preparation of 1,3,4-oxadiazoles and 1,3,4-thiadiazoles, EP 446,010 or *J. Med. Chem.* (1992), 35, 3691 for the preparation of 1,2,4-oxadiazoles.

Additionally, when Z is substituted with iodine or Lg^2 from Scheme 10, R^9 may be introduced via a palladium(0)-catalyzed cross coupling reaction with the appropriate nucleophile containing R^9 , such as arylboronic acids, aryl or alkyl zinc reagents, and substituted acetylenes.

- It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform

additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, br = broad, br s = broad singlet.

EXAMPLE 1

Step A: Preparation of *N*-(2-methoxyphenyl)-2,2-dimethylhydrazinecarboxamide

To a stirred solution of 15.0 g of 2-methoxyphenyl isocyanate in 100 mL of toluene at 5 °C under nitrogen was slowly added 7.65 mL of 1,1-dimethylhydrazine in 10 mL toluene. The cooling bath was then removed and the reaction was allowed to stir for an additional 10 min, and was then concentrated under reduced pressure. The resulting material was dissolved in diethyl ether and concentrated again. A solid was obtained which was triturated with hexanes to afford 21 g of the title compound of Step A as a white solid. ¹H NMR (CDCl₃) δ 8.6 (br s, 1H), 8.24 (m, 1H), 6.95 (m, 2H), 6.85 (m, 1H), 5.35 (br s, 1H), 3.89 (s, 3H), 2.60 (s, 6H).

Step B: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one

To a stirred solution of 21 g of the title compound of Step A in 800 mL of dichloromethane under nitrogen was added 29.85 g of triphosgene. The reaction was heated to reflux and allowed to reflux overnight, cooled, and then concentrated under reduced pressure. The resulting residue was dissolved in ethyl acetate, washed with distilled water, and then with saturated aqueous sodium chloride solution. The organic layer was dried (MgSO₄), filtered, and concentrated under reduced pressure. The solid

was recrystallized from dichloromethane and the resulting solid was triturated with diethyl ether to afford 10 g of the title compound of Step B as a white solid melting at 152-154 °C. ¹H NMR (CDCl₃) δ 7.45 (t,1H), 7.25 (d,1H), 7.05 (m,2H), 3.84 (s,3H), 3.53 (s,3H).

5 Step C: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one

10 The title compound of Step B (7.7 g) was dissolved in 65 mL of dichloromethane under nitrogen, cooled to -78 °C, and 34 mL of a 1.0 M boron tribromide solution in dichloromethane was then added over 0.5 h with stirring. After the addition, the cooling bath (dry ice/acetone) was kept in place for an additional 0.5 h and then the reaction was allowed to warm to room temperature. Ice was added to the reaction mixture which was then diluted with diethyl ether and the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane and then with ethyl acetate. The organic
15 layers were combined, dried (MgSO₄), filtered and concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 5.54 g of the title compound of Step C as a white solid. ¹H NMR (CDCl₃) δ 8.18 (s,1H), 7.11 (t,2H), 6.91 (t,1H), 6.76 (d,1H), 3.56 (s,3H).

20 Step D: Preparation of 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

 To a stirred solution of 5.54 g of the title compound of Step C in 50 mL of methanol and 25 mL of 1,2-dimethoxyethane under nitrogen was added 18.6 mL of 30% sodium methoxide solution in methanol. The reaction was heated at reflux for 5.5 h and then cooled to room temperature. The mixture was diluted with diethyl ether and
25 the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane. The organic layer was dried (MgSO₄), filtered, and then concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 3.85 g of the title compound of Step D as a white solid (85% pure). ¹H NMR (CDCl₃)
30 δ 8.40 (br s,1H), 7.20 (m,2H), 7.03 (d,1H), 6.94 (t,1H), 4.00 (s,3H), 3.48 (s,3H).

Step E: Preparation of 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

 To a solution of 5-chloro-3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazole (0.8 g, 2.4 mmol, available from Maybridge, Catalog No. RDR03892) in DMF (8 mL)
35 was added the title compound of Step D (0.44 g, 2.4 mmol) at room temperature. The solution was cooled to 5 °C and potassium carbonate (0.33 g, 2.4 mmol) was added

followed by a catalytic amount of cuprous chloride (about 3-5 mg). The reaction mixture was stirred at room temperature for 4 h. The reaction was partitioned between water (30 mL) and ether (30 mL), and the aqueous layer was extracted twice with ether (25 mL). The combined ether layers were washed with water (30 mL), dried over anhydrous magnesium sulfate, and then concentrated to give 1.14 g of crude product. Flash column chromatography (gradient elution with 30-50% ethyl acetate in hexane) gave the title compound of Step E, a compound of the invention, as a white solid (0.62 g) melting at 139.5-141.5 °C. ¹H NMR (CDCl₃) δ 8.36 (s,2H), 7.94 (s,1H), 7.60 (m,2H), 7.50 (d,2H), 3.81 (s,3H), 3.37 (s,3H).

10 EXAMPLE 2

Step A: Preparation of ethyl 3-(trifluoromethoxy)benzenecarboximidate hydrochloride

To a solution of 3-(trifluoromethoxy)benzonitrile (10 g, 53.4 mmol) in ethyl ether (55 mL) is added absolute ethanol (3.3 mL). The solution is cooled to 0 °C and saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 7 days after which time it is filtered under a stream of dry nitrogen to give the title compound of Step A (10.99 g) as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 8.2 (m,1H), 7.95 (d,1H), 7.83 (s,1H), 7.59 (m,1H), 4.66 (q,2H), 1.52 (t,3H).

20 Step B: Preparation of 3-(trifluoromethoxy)benzenecarboximidamide hydrochloride

To a solution of the title compound of Step A (10.99 grams, 40.76 mmol) in methanol (15 mL) is added ammonia (8.2 mL, 7N solution in methanol). This mixture was stirred for 5 days before being concentrated to give the title compound of Step B (10.36 g). ¹H NMR (Me₂SO-*d*₆) δ 9.4-8.8 (br,4H), 8.01 (m,1H), 7.97 (m,1H), 7.81 (m,2H).

25 Step C: Preparation of 5-chloro-3-[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazole

To a solution of the title compound of Step B (10.36 g, 43.06 mmol) in water (100 mL) is added methylene chloride (200 mL), benzyltriethylammonium chloride (0.8 g) and perchloromethyl mercaptan (4.7 mL, 32.6 mmol) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (6.89 g) in water (100 mL) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture stirred for a further 1.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is then concentrated to give the title compound of Step C as a yellow oil which

is used without further purification. ^1H NMR (CDCl_3) δ 8.18 (d,1H), 8.11 (s,1H), 7.49 (t,1H), 7.34 (m,1H).

Step D: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one

5 To a solution of the title compound of Step D in Example 1 (71.44 g, 323.3 mmol) in DMF (680 mL) is added freshly ground potassium carbonate (93.9 g) and the title compound of Step C (95.5 g, 340 mmol). The mixture was stirred at ambient temperature for 3 days before being diluted with water and extracted with ethyl acetate. The aqueous phase was re-extracted with ethyl acetate and the combined organic layers were washed with water. The organic layer was dried over magnesium sulfate and concentrated. The material was purified by column chromatography (silica gel, 40%, then 60%, and then 80% ethyl ether in petroleum ether) followed by crystallization of the material from the concentrated fractions to yield 65g of the title compound of Step D, a compound of the invention, as an off white solid melting at 112-113 °C. ^1H NMR (CDCl_3) δ 8.10 (d,1H), 8.05 (s,1H), 7.6-7.4 (m,5H), 7.27 (m,1H), 3.79 (s,3H), 3.37 (s,3H).

EXAMPLE 3

Step A: Preparation of 2-(4-chlorophenyl)-5-(methylthio)-1,3,4-oxadiazole

20 To a solution of 4-chlorobenzoic hydrazide (15.0 g, 87.92 mmol) in ethanol (133 mL) and water (10 mL) is added potassium hydroxide (5.18 g, 92.3 mmol) and carbon disulfide (5.82 mL) in a dropwise fashion. The mixture was further diluted with ethanol (88 mL) and the mixture is heated at reflux overnight. Methyl iodide (6.02 mL) is then added and the mixture is cooled in an ice bath and stirred for a further 0.5 h. The solution is concentrated and redissolved in methylene chloride. The solution is filtered through a pad of silica gel and concentrated to give the title compound of Step A (17.69 g) as a white solid.

Step B: Preparation of 2-(4-chlorophenyl)-5-(methylsulfonyl)-1,3,4-oxadiazole

30 To a solution of the title compound from Step A (17.69 g, 78.1 mmol) in acetic acid (156 mL) was added a solution of potassium permanganate (25.92 g, 164.01 mmol) in water (547 mL) in a dropwise fashion. A slight exotherm was controlled with an ice bath. On complete addition, sodium hydrosulfite (80 mL, 40% aqueous solution) was added and the resultant precipitate was filtered to give the title compound of Step B. ^1H NMR (CDCl_3) δ 8.70 (m,2H), 7.57 (m,2H), 3.53 (s,3H).

Step C: Preparation of 4-[2-[[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (0.5 g, 2.26 mmol) in acetone (5 mL) was added potassium carbonate (406 mg) and the title compound of Step B (585 mg). The mixture was stirred overnight before being diluted with methylene chloride and washed with water. The aqueous phase was re-extracted with methylene chloride and the combined organic phases were dried over magnesium sulfate and the solution was concentrated under reduced pressure. The resulting solid was triturated with ethyl ether to give the title compound of Step C (719 mg, 80 %), a compound of the invention, as a solid melting at 130-132 °C. ¹H NMR (CDCl₃) δ 7.92 (d,2H), 7.85 (d,1H), 7.6-7.4 (m,5H), 3.88 (s,3H), 3.43 (s,3H).

EXAMPLE 4

Preparation of 2,4-dihydro-4-[2-[(3-iodo-1,2,4-thiadiazol-5-yl)oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (3.0 g, 13.6 mmol) in acetone (27 mL) was added potassium carbonate (2.44 g) and 3-iodo-5-(methylsulfonyl)-1,2,4-thiadiazole (*J. Org Chem.* (1973), 38, 469) (4.33 g). The mixture was stirred at ambient temperature for 36 h before being diluted with water. The resulting mixture was extracted twice with methylene chloride and the combined extracts were dried over magnesium sulfate. The solution was concentrated to a solid which was triturated with hot ethanol to give the title compound of Example 4 (2.8 g, 48%), a compound of the invention. ¹H NMR (CDCl₃) δ 7.55 (m,2H), 7.46 (m,2H), 3.86 (s,3H), 3.40 (s,3H).

EXAMPLE 5

Preparation of 4-[2-[[3-(3,3-dimethyl-1-butyne)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Example 4 (307 mg, 0.71 mmol) in DMF (4 mL) was added copper(I) iodide (14 mg), triethylamine (0.347 mL), 3,3-dimethyl-1-butyne (0.219 mL) and bis(triphenylphosphine)palladium(II) chloride (25 mg). The mixture was stirred for 40 h at ambient temperature before being diluted with ethyl acetate, washed with 1N HCl and dried over magnesium sulfate. The solution was concentrated and purified by column chromatography (silica gel, 80 % ethyl ether in petroleum ether) to give the title compound of Example 5, a compound of the invention. ¹H NMR (CDCl₃) δ 7.55 (m,2H), 7.45 (m,2H), 3.83 (s,3H), 3.39 (s,3H), 1.32 (s,9H).

EXAMPLE 6

Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-[3- [(trimethylsilyl)ethynyl]phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution of 2,4-dihydro-4-[2-[[3-(3-iodophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one (prepared from 3-iodobenzonitrile according to the procedure described in Example 2) (1.0 g, 1.97 mmol) in DMF (4 mL) was added copper(I) iodide (38 mg), triethylamine (0.96 mL), (trimethylsilyl)acetylene (0.70 mL) and bis(triphenylphosphine)palladium(II) chloride (35 mg). The mixture was stirred overnight at ambient temperature before being diluted with ethyl ether. The resulting mixture was washed with a saturated aqueous solution of ethylenediaminetetraacetic acid, a saturated aqueous solution of NaHCO₃, and a saturated aqueous solution of NaCl and then was dried over magnesium sulfate. The solution was concentrated and the material was crystallized from ethanol to give the title compound of Example 6 (315 mg), a compound of the invention, as a solid melting at 133-134 °C. ¹H NMR (CDCl₃) δ 8.27 (s,1H), 8.05 (d,1H), 7.65-7.5 (m,5H), 7.4 (t,1H), 3.77 (s,3H), 3.37 (s,3H), 0.26 (s,9H).

EXAMPLE 7

Preparation of 4-[2-[[3-(3-ethynylphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Example 6 (300 mg, 0.629 mmol) in methanol (3 mL) was added potassium carbonate (87 mg). The mixture was stirred at ambient temperature for 10 min before being diluted with water and extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated under reduced pressure. Recrystallization from ethanol afforded the title compound of Example 7 (153 mg), a compound of the invention, as a white solid melting at 177-178 °C. ¹H NMR (CDCl₃) δ 8.29 (s,1H), 8.15 (d,1H), 7.62 (m,1H), 7.57 (m,2H), 7.49 (m,2H), 7.4 (t,1H), 3.78 (s,3H), 3.37 (s,3H).

EXAMPLE 8

Step A: Preparation of 3-(5-chloro-1,2,4-thiadiazol-3-yl)phenol

To a solution of 3-chloro-5-(3-methoxyphenyl)-1,2,4-thiadiazole (prepared from 3-methoxybenzonitrile according to the procedure described in Step C in Example 2) (11.4 g, 50.4 mmol) in methylene chloride (150 mL) was added boron tribromide (5.25 mL) with ice bath cooling. The reaction was allowed to warm slowly to ambient temperature. After 20 h, a saturated aqueous solution of NaHCO₃ was added and the mixture was extracted with ethyl ether and the extract was dried over magnesium sulfate. Purification by column chromatography (silica gel, 20% and then 40% ethyl ether in

petroleum ether) gives the title compound of Step A. ^1H NMR (CDCl_3) δ 7.85 (d, 1H), 7.73 (s, 1H), 7.36 (t, 1H), 6.95 (d, 1H), 5.42 (s, 1H).

Step B: Preparation of [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)]phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] benzoate

- 5 To a solution of the title compound of Step A (7.15 g, 33.6 mmol) in methylene chloride (112 mL) was added triethylamine (6.1 mL), 4-(dimethylamino)pyridine (206 mg) and benzoyl chloride (4.5 mL) with ice bath cooling. The ice bath was removed and the mixture was stirred at ambient temperature for 15 min. HCl (1 N aqueous solution) was then added and the mixture was extracted with ethyl ether
- 10 then with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated to give a solid. To this solid was added the title compound of Step D in Example 1 (7.44 g), potassium carbonate (6.04 g) and acetone (150 mL). The mixture was stirred for 5 days before being diluted with water. The resulting mixture was extracted with methylene chloride and the extract was dried over magnesium sulfate.
- 15 Purification by column chromatography (silica gel, 1% and then 2% methanol in methylene chloride) gave the title compound of Step B (10.1 g), a compound of the invention. ^1H NMR (CDCl_3) δ 8.21 (d, 2H), 8.1 (d, 2H), 7.7-7.4 (m, 9H), 3.77 (s, 3H), 3.37 (s, 3H).

EXAMPLE 9

- 20 Preparation of 2,4-dihydro-4-[2-[[3-(3-hydroxyphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

- To a solution of the title compound of Step B in Example 8 (10.1 g, 20 mmol) in methanol (50 mL) was added sodium methoxide (1.306g). Ethanol (50 mL) and methylene chloride (25 mL) were then added and the mixture was stirred overnight. The
- 25 mixture was acidified with HCl (1 N aqueous solution) and was extracted twice with methylene chloride. The combined extracts were dried over magnesium sulfate. Purification by column chromatography (silica gel, 30% and then 40% ethyl acetate in benzene) gave the title compound of Example 9 (4.8 g), a compound of the invention. ^1H NMR (CDCl_3) δ 7.7 (d, 1H), 7.6-7.4 (m, 5H), 7.25 (m, 1H), 6.9 (dd, 1H), 6.75 (s, 1H),
- 30 3.80 (s, 3H), 3.34 (s, 3H).

EXAMPLE 10

Preparation of [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)]phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] trifluoromethanesulfonate

- To a solution of the title compound of Example 9 (0.2 g, 0.5 mmol) in methylene chloride (2.5 mL) was added pyridine (0.061 mL), trifluoromethanesulfonic anhydride (0.102 mL) and a catalytic amount of 4-(dimethylamino)pyridine. The reaction mixture
- 35

was stirred for 3 days before being diluted with methylene chloride and washed with HCl (1 N aqueous solution). The organic layer was dried over magnesium sulfate.

Concentration yielded the title compound of Example 10, a compound of the invention, as an off white solid. ¹H NMR (CDCl₃) δ 8.2 (d,1H), 8.1 (s,1H), 7.65-7.45 (m,5H),

5 7.35 (dd,1H), 3.80 (s,3H), 3.37 (s,3H).

EXAMPLE 11

Step A: Preparation of 2-furancarboximidamide

(See *Tetr. Lett.* (1990), 31, 1969). To a solution of trimethylaluminum (18 mL, 2 M in hexanes) in toluene (40 mL) at 0 °C was added ammonium chloride (1.926 g) in
10 small portions. Upon complete addition, the cooling bath was removed and the mixture was stirred for a further 1.5 h. 2-furonitrile (3.15 mL, 36.0 mmol) was added and the mixture was heated at 85 °C overnight. The mixture was then cooled and poured onto a slurry of silica gel (600 g) in chloroform (300 mL). The mixture was stirred for 5 min, filtered and washed with methanol (800 mL). Concentration yielded the title compound
15 of Step A (4.01 g). ¹H NMR (Me₂SO-*d*₆) δ 9.6 (br s, 2H), 9.3 (br s, 2H), 8.2 (m,1H), 7.98 (m,1H), 6.88 (m,1H).

Step B: Preparation of 5-chloro-3-(2-furanyl)-1,2,4-thiadiazole

To a solution of the title compound of Step A (4.01 g, 36 mmol) in water (89 mL) and methylene chloride (177 mL) was added benzyltriethylammonium chloride (675 mg) and perchloromethyl mercaptan (4.0 mL) and the mixture was cooled in an ice
20 bath. A solution of sodium hydroxide (4.36 g) in water (89 mL) was then added such that the internal temperature did not exceed 10 °C. Upon complete addition, the cooling bath was removed and the mixture was stirred for 3 h. The layers were separated and the organic layer was dried over magnesium sulfate. Purification by column
25 chromatography (petroleum ether and then 1-chlorobutane) gave the title compound of Step B. ¹H NMR (CDCl₃) δ 7.6 (m,1H), 7.19 (m,1H), 6.57 (m,1H).

Step C: Preparation of 4-[2-[[3-(2-furanyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (355 mg, 1.61 mmol) in acetone (3 mL) was added potassium carbonate (289 mg) and the title
30 compound of Step B. The mixture was stirred overnight at ambient temperature before being diluted with water. The resulting mixture was extracted with methylene chloride three times and the combined extracts were dried over magnesium sulfate. The solution was concentrated to a solid which was recrystallized from ethanol to give the title
35 compound of Step C (213 mg), a compound of the invention, as a solid melting at

107-108 °C. ¹H NMR (CDCl₃) δ 7.55 (m,3H), 7.49 (m,2H), 7.07 (m,1H), 6.5 (m,1H), 3.79 (s,3H), 3.38 (s,3H).

EXAMPLE 12

Preparation of 4-[2-[[3-(5-bromo-2-thienyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

5

To a solution of 5-chloro-3-(2-thienyl)-1,2,4-thiadiazole (prepared from 2-thiophenecarbonitrile according to the procedure described in Step C in Example 2) (1.0 g, 4.94 mmol) in methylene chloride was added bromine (0.253 mL). After 1 h, the mixture was concentrated and redissolved in acetone (8 mL). The title compound of Step D in Example 1 (850 mg) and potassium carbonate (1.33 g) were added and the mixture was stirred overnight before being diluted with water and twice extracted with methylene chloride. The organic phases were combined, dried over magnesium sulfate, and concentrated. The residue was purified by column chromatography (silica gel, ethyl ether) to give the title compound of Example 12, a compound of the invention.

10

¹H NMR (CDCl₃) δ 7.65-7.55 (m,2H), 7.5-7.45 (m,3H), 7.04 (d,1H), 3.80 (s,3H), 3.38 (s,3H).

15

EXAMPLE 13

Step A: Preparation of 5-chloro-3-(2,5-dichloro-3-thienyl)-1,2,4-thiadiazole

A solution of 5-chloro-3-(3-thienyl)-1,2,4-thiadiazole (prepared from 3-thiophenecarbonitrile according to the procedure described in Step C in Example 2) (2.0 g, 9.88 mmol) in sulfonyl chloride (10 mL) was stirred at ambient temperature for 1.5 h before being poured into water and extracted with ethyl ether. The ether layer was washed with a saturated aqueous solution of NaHCO₃ and dried over magnesium sulfate. Concentration yielded the title compound of Step A (1.87 g). ¹H NMR (CDCl₃) δ 7.45 (s,1H).

20

25

Step B: Preparation of 4-[2-[[3-(2,5-dichloro-3-thienyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (1.83 g, 6.74 mmol) in acetone (13 mL) was added potassium carbonate (1.21 g) and the title compound of Step A. The mixture was stirred at ambient temperature for 30 h at which point extra potassium carbonate (0.6 g) was added. When the reaction was judged to be complete by TLC analysis, it was diluted with ethyl acetate, washed twice with water, with saturated aqueous NaCl and the combined aqueous layers were re-extracted with ethyl acetate. The combined organic phases were dried over magnesium sulfate, concentrated and the residue was purified by crystallization from ethanol. The mother liquor was concentrated and purified by column chromatography (silica gel, 60% and then 80%

30

35

ethyl ether in petroleum ether) to give the title compound of Step B (2.5 g), a compound of the invention, as a solid melting at 144-147 °C. ¹H NMR (CDCl₃) δ 7.65 (d,1H), 7.6-7.5 (m,1H), 7.5-7.4 (m,2H), 7.37 (s,1H), 3.81 (s,3H), 3.39 (s,3H).

EXAMPLE 14

5 Step A: Preparation of 2,2-dimethylpropanimidic acid hydrochloride

To a solution of trimethylacetone nitrile (100 g, 1.203 mol) in ethyl ether (600 mL) is added absolute ethanol (74.1 mL). The solution is cooled to 0 °C and then is saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 6 days after which time it is concentrated to give the title compound of Step A (54.37 g) as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 11.4 (br s, 2H), 4.4 (q,2H), 1.22 (s,9H), 1.05 (t,3H).

10 Step B: Preparation of 2,2-dimethylpropanimidamide hydrochloride

To a solution of the title compound of Step A (54.37 g, 328.2 mmol) in methanol (20 mL) is added ammonia (65.7 mL, 7N solution in methanol). This mixture was stirred for 3 days before being concentrated to give the title compound of Step B (33.15 g) as an off white solid. ¹H NMR (Me₂SO-*d*₆) δ 1.25 (s,9H).

15 Step C: Preparation of 5-chloro-3-(1,1-dimethylethyl)-1,2,4-thiadiazole

To a solution of the title compound of Step B (5.0 g, 36.61 mmol) in water (23 mL) and methylene chloride (45 mL) is added perchloromethyl mercaptan (4.0 mL, 36.61 mmol) and the mixture is cooled in an ice bath. With efficient stirring, a solution of sodium hydroxide (5.86 g) in water (23 mL) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture is stirred for a further 1.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is concentrated to give the title compound of Step C (5.88 g) as a yellow oil which is used without further purification. ¹H NMR (CDCl₃) δ 1.42 (s,9H).

20 Step D: Preparation of 4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (500 mg, 2.26 mmol) in DMF (4.5 mL) is added freshly ground potassium carbonate (406 mg) and the title compound of Step C (399 mg). The mixture was stirred at ambient temperature for 2 days before being diluted with ethyl acetate and washed with water. The organic layer was dried over magnesium sulfate and concentrated. Purification by column chromatography (silica gel, 40%, then 60%, and then 80% ethyl ether in petroleum

ether) yields the title compound of Step D (0.19 g), a compound of invention, as an off white solid melting at 110-111 °C. ¹H NMR (CDCl₃) δ 7.58 (d,1H), 7.50 (m,1H), 7.47 (m,1H), 7.44 (m,1H), 3.78 (s,3H), 3.40 (s,3H), 1.36 (s,9H).

EXAMPLE 15

5 Preparation of 4-[2-[(6-chloro-2-pyrazinyl)oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (2.2 g, 10.0 mmol) in DMF (10 mL) was added sodium hydride (0.47g, 60% oil dispersion) in small portions. The resulting slurry was stirred for 5 min and then 2,6-dichloropyrazine (1.5 g,
10 10.1 mmol) was added all at once. The reaction mixture was stirred for 16 h at 70-75 °C, and then the DMF was removed by vacuum distillation. The residue was partitioned between 125 mL of ethyl acetate and 50 mL of water. The organic layer was dried over anhydrous magnesium sulfate and concentrated to give a brown solid which was triturated with diethyl ether to afford 1.65 g of the title compound of Example 15, a
15 compound of invention, as a white solid melting at 135-137 °C. ¹H NMR (CDCl₃) δ 8.30 (m,2H), 7.50 (m,1H), 7.40 (m,3H), 3.81 (s,3H), 3.33 (s,3H).

EXAMPLE 16

Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[6-[4-(trifluoromethyl)phenyl]-2-pyrazinyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

20 A slurry made up of the title compound of Example 15 (3.0g, 9.12 mmol) and palladium acetate (76 mg) in dimethoxyethane (18.5 mL) was stirred for 0.5 h. To this mixture was added a solution of 4-trifluoromethylbenzene boronic acid (2.5 g, 13.1 mmol, available from Lancaster Synthesis Inc.) and sodium carbonate (3.1 g) in 46 mL of water. The reaction mixture was stirred at 100 °C for 5 h. The
25 dimethoxyethane was removed under reduced pressure and the resulting mixture was partitioned between 150 mL of ethyl acetate and 50 mL of water. The aqueous layer was extracted with 50 mL of ethyl acetate and the combined organic layers were filtered through Celite®, dried over anhydrous potassium carbonate, and concentrated under reduced pressure to give a crude product. Flash column chromatography (gradient
30 elution with 60-75% ethyl acetate in hexane) gave the title compound of Example 16, a compound of the invention, as a white solid (3.3 g) melting at 145-148 °C. ¹H NMR (CDCl₃) δ 8.79 (s,1H), 8.39 (s,1H), 7.98 (d,2H), 7.67 (d,2H), 7.51 (m,1H), 7.41 (m,3H), 3.65 (s,3H), 3.31 (s,3H).

EXAMPLE 17Preparation of 4-[6-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenoxy]-2-pyrazinyl]benzonitrile

To a solution of the title compound of Example 15 (333 mg, 1.0 mmol) and
5 tetrakis(triphenylphosphine)palladium (60 mg) in nitrogen-purged tetrahydrofuran
(2.8 mL) was added a solution of bromo(4-cyanophenyl)zinc (2.8 mL, 0.5M in
tetrahydrofuran, available from Rieke Metals, Inc.). The resulting dark solution was
stirred for 22 h at room temperature and an additional 1.5 mL of the organozinc reagent
was then added to complete the reaction. After stirring for another 6 h, the reaction
10 mixture was partitioned between 100 mL of ethyl acetate and 50 mL of diluted aqueous
hydrochloric acid. The aqueous layer was extracted with 50 mL of ethyl acetate and the
combined organic layers were dried over anhydrous magnesium sulfate and concentrated
under reduced pressure to give a crude product. Flash column chromatography (gradient
elution with 50-70% ethyl acetate in hexane) gave the title compound of Example 17, a
15 compound of invention, as a white solid (230 mg) melting at 195-199 °C. ¹H NMR
(CDCl₃) δ 8.79 (s,1H), 8.40 (s,1H), 8.00 (d,2H), 7.72 (d,2H), 7.53 (m,1H), 7.41
(m,3H), 3.68 (s,3H), 3.30 (s,3H).

EXAMPLE 18Step A: Preparation of 5-(4-chlorophenyl)-1,3,4-thiadiazol-2-amine

20 The title compound was prepared according to Zubets, I. V.; Boikov, Yu. A.;
Viktorovskii, I. V.; V'yunov, K. A.; *Chem. Het. Comp.* 1148 (1986). Starting from
4-chlorobenzaldehyde thiosemicarbazone (8.6g, 50.1 mmol), the reaction afforded 6.3 g
of the title compound of Step A as an off white solid. ¹H NMR (Me₂SO-*d*₆) δ 7.82-7.54
(AA'BB', 4H), 7.48 (s, 2H). Elemental analysis: (Calculated) C: 44.97, H: 3.77,
25 N: 19.66, S: 15.00. (Found) C: 45.10, H: 3.92, N: 19.67, S: 14.65.

Step B: Preparation of 2-chloro-5-(4-chlorophenyl)-1,3,4-thiadiazole

The title compound was prepared according to Zubets, I. V.; Boikov, Yu. A.;
Viktorovskii, I. V.; V'yunov, K. A.; *Chem. Het. Comp.* 1148 (1986). Starting from the
title compound of Step A (0.6g, 3 mmol), the reaction afforded 0.4 g of a yellow oil
30 which was used without further purification. ¹H NMR (Me₂SO-*d*₆) δ 8.02-7.67
(AA'BB', 4H).

Step C: Preparation of 4-[2-[5-(4-chlorophenyl)-1,3,4-thiadiazol-2-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2 methyl-3H-1,2,4-triazol-3-one

The title compound of Step B (0.46 g, 2 mmol), the title compound of Step D in
35 Example 1 (0.44 g, 2 mmol) and potassium carbonate (0.8 g, 5.8 mmol) were combined
in 30 mL of 4-methyl-pentane-2-one. The mixture was heated at reflux temperature for

5 h and was then allowed to cool to ambient temperature. The solvent was removed under reduced pressure and the residue was partitioned between 50 mL of ethyl acetate and 50 mL of water. The aqueous layer was extracted with ethyl acetate (2x30 mL). The combined organic layers were extracted with 1N sodium hydroxide (2x20 mL) and saturated aqueous NaCl (2x20 mL), respectively. The organic layer was dried over magnesium sulfate and concentrated under reduced pressure. Preparative TLC (eluent: ethyl acetate/hexane=2/1) afforded the title compound of Step C, a compound of invention, as a white solid. ^1H NMR ($\text{Me}_2\text{SO}-d_6$) δ 7.92-7.45 (m, 8H), 3.79 (s, 3H), 3.25 (s, 3H).

10

EXAMPLE 19

Step A: Preparation of 4-[3-(trifluoromethyl)phenyl]-2-thiazolamine

To a stirring solution of 10 g of 3'-(trifluoromethyl)acetophenone in 100 mL of dichloromethane was added dropwise 8.5 g of bromine. The bromine color dissipated immediately during the dropwise addition. The reaction mixture was then concentrated under reduced pressure and the resulting oil was dissolved in 80 mL of ethanol. To this oil was added 4.0 g of thiourea and the resulting mixture was heated at reflux for 8 h. Upon cooling, a solid precipitated. Approximately 100 mL of diethyl ether was added to enhance precipitation. The solid was collected and washed with diethyl ether followed by neutralization with excess aqueous sodium bicarbonate. The free base was extracted into ethyl acetate. The ethyl acetate solution was washed with water and saturated aqueous NaCl. The ethyl acetate solution was then dried over MgSO_4 and concentrated under reduced pressure to give 11.5 g of the title compound of Step A as a white (yellow tinted) solid melting at 87-88 °C. ^1H NMR (CDCl_3) δ 8.05 (s, 1H), 7.95 (d, 1H), 7.5 (m, 2H), 6.8 (s, 1H), 5.15 (br s, 2H).

20

Step B: Preparation of 5-bromo-4-[3-(trifluoromethyl)phenyl]-2-thiazolamine

To a stirring solution of 8.5 g of the title compound of Step A in 100 mL of dichloromethane was added dropwise 6 g of bromine. The bromine color dissipated immediately during the dropwise addition. The reaction mixture was stirred for 10 minutes after the addition and then was concentrated under reduced pressure. The resulting residue was partitioned between 150 mL of ethyl acetate and 100 mL of saturated aqueous NaHCO_3 and the mixture was stirred for 0.5 h. The organic layer was separated, washed with saturated aqueous NaCl, dried over MgSO_4 and concentrated under reduced pressure to give an oil which soon crystallized. The solid was suspended in hexanes, then collected by filtration to give 10.5 g of the title compound of Step B as a white solid melting at 96-98 °C. ^1H NMR (CDCl_3) δ 8.15 (s, 1H), 8.05 (d, 1H), 7.65 (d, 1H), 7.55 (t, 1H), 5.75 (br s, 2H).

30

35

Step C: Preparation of 5-bromo-2-chloro-4-[3-(trifluoromethyl)phenyl]thiazole

The title compound of Step B (3 g) was dissolved in 50 mL of acetonitrile and to this solution was added with stirring 2.5 g of copper(II) chloride followed by 2 mL of *tert*-butylnitrite (dropwise). Nitrogen evolution was evident and the reaction
5 exothermically warmed to approximately 30 °C. The dark reaction mixture was stirred for 45 min and was then partitioned between 200 mL of ethyl acetate and 200 mL of distilled water. The organic layer was separated, washed with 1N aqueous HCl, water, and then saturated aqueous NaCl. The organic layer was dried over MgSO₄ and then
10 was concentrated under reduced pressure to give a dark oil/solid residue. The main component was isolated by flash chromatography on silica gel using 5-10% ethyl acetate in hexanes as eluant to give 2.4 g of the title compound of Step C as a red tinted solid melting at 52-55 °C. ¹H NMR (CDCl₃) δ 8.2 (s,1H), 8.15 (d,1H), 7.65 (d,1H), 7.6 (t,1H).

Step D: Preparation of 4-[2-[[5-bromo-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a stirring solution of 2.2 g of the title compound of Step C in 50 mL of acetonitrile was added 1.4 g of the title compound of Step D in Example 1 and 1.8 g of potassium carbonate. The reaction mixture was heated at reflux for 14 h and then was
20 allowed to cool. The reaction mixture was partitioned between 100 mL of ethyl acetate and 100 mL of distilled water. The organic layer was separated, washed with distilled water, dried over MgSO₄, and then concentrated under reduced pressure to give a dark oil. The main component was isolated by flash chromatography on silica gel using 50% ethyl acetate in hexanes as eluant to give 2.1 g of the title compound of Step D, a
25 compound of the invention, as a gum. ¹H NMR (CDCl₃) δ 8.2 (s,1H), 8.1 (d,1H), 7.6 (d,1H), 7.35-7.55 (m,5H), 3.84 (s,3H), 3.40 (s,3H).

EXAMPLE 20

Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

A mixture of 0.8 g of the title compound of Step D in Example 19, 2.0 g of ammonium formate and 0.3 g of 10% palladium on carbon in 20 mL methanol was stirred at room temperature for 2 days. The reaction mixture was then filtered through Celite® rinsing thoroughly with ethyl acetate. The filtrate was partitioned between
30 100 mL of ethyl acetate and 50 mL of distilled water. The organic layer was separated, washed with distilled water and then with saturated aqueous NaCl. The organic layer was dried over MgSO₄ and then was concentrated under reduced pressure to give an oil.

The main component was isolated by flash chromatography on silica gel using 50% ethyl acetate in hexanes as eluant to give a white foam which crystallized to a white solid upon the addition of a small amount of diethyl ether. The solid was filtered to give 0.52 g of the title compound of Example 20, a compound of the invention, as a white solid melting at 116-118 °C. ¹H NMR (CDCl₃) δ 8.05 (s,1H), 7.95 (d,1H), 7.35-7.6 (m,6H), 7.1 (s,1H), 3.81 (s,3H), 3.37 (s,3H).

EXAMPLE 21

Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-methyl-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a stirring solution of 0.75 g of the title compound of Example 19 in Step D in 7 mL of tetrahydrofuran at -78 °C under N₂ was added dropwise 0.70 mL of *n*-butyllithium (2.5 M in hexanes). The reaction was allowed to stir at -78 °C for 0.5 h, and then 0.12 mL of iodomethane was slowly added. After stirring at -78 °C for another 2 min, the cooling bath was removed and the reaction mixture was stirred an additional 2 h at ambient temperature. The reaction mixture was diluted with diethyl ether and washed with distilled water and saturated aqueous NaCl. The organic layer was dried over MgSO₄ and then was concentrated under reduced pressure. Purification by flash chromatography on silica gel using 50-60% ethyl acetate in hexanes as eluant gave 0.40 g of the title compound of Example 21, a compound of the invention, as an oil. ¹H NMR (CDCl₃) δ 7.85 (s,1H), 7.8 (d,1H), 7.3-7.6 (m,6H), 3.85 (s,3H), 3.40 (s,3H), 2.49 (s,3H).

EXAMPLE 22

Step A: Preparation of *N*-(2-methoxy-6-methylphenyl)-2,2-dimethylhydrazinecarboxamide

To a stirred solution of phosgene (108 g, 1.09 moles) in ethyl acetate (750 mL) at 0 °C was added dropwise 2-methoxy-6-methylaniline (125.0 g, 911 mmol) dissolved in ethyl acetate (250 mL) over 20 min. The reaction mixture was slowly warmed to room temperature and was then heated at reflux for 1 h. The solution was cooled to room temperature and was concentrated under reduced pressure to provide the crude isocyanate as a dark red liquid which was redissolved in ethyl acetate (1 L) and cooled to 0 °C. 1,1-Dimethylhydrazine (55.0 g, 911 mmol) was added dropwise over 30 min and then the mixture was allowed to warm to room temperature and stir overnight. The mixture was cooled, filtered, and the solid was washed with ethyl acetate and dried to provide 200.0 g of the title compound of Step A as a white solid melting at 151-153 °C. ¹H NMR (CDCl₃) δ 7.58 (br s,1H), 7.10 (t,1H), 6.84 (d,1H), 6.74 (d,1H), 5.22 (br s,1H), 3.80 (s,3H), 2.63 (s,6H), 2.31 (s,3H).

Step B: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxy-6-methylphenyl)-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step A (100.0 g, 447.9 mmol) was suspended in ethyl acetate (1 L) and added dropwise, via mechanical pump, over 3.5 h to a stirring solution of phosgene (177 g, 1.79 moles) in ethyl acetate (1.5 L) which was heated at reflux. After the addition was complete, the mixture was heated at reflux for a further 3 h, cooled to room temperature and stirred overnight. The solution was concentrated under reduced pressure and the residue was dissolved in ethyl acetate and water and extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to afford 111.4 g of the title compound of Step B as a pale yellow solid melting at 132-134 °C. ¹H NMR (CDCl₃) δ 7.34 (t,1H), 6.93 (d,1H), 6.85 (d,1H), 3.79 (s,3H), 3.54 (s,3H), 2.20 (s,3H).

Step C: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3H-1,2,4-triazol-3-one

To a stirring solution of the title compound of Step B (15.0 g, 59.3 mmol) in benzene (200 mL) at 0 °C was added aluminum chloride (23.7 g, 178 mmol) in small portions. The mixture was warmed to room temperature and stirred for 2 days. The mixture was poured over ice and water and then extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to an oil that was purified by flash column chromatography on silica gel to provide 13.6 g of the title compound of Step C as a pale orange solid melting at 175-178 °C. ¹H NMR (CDCl₃) δ 8.11 (s,1H), 6.92 (t,1H), 6.71 (d,1H), 6.41 (d,1H), 3.56 (s,3H), 2.12 (s,3H).

Step D: Preparation of 2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a stirred solution of the title compound of Step C (133.5 g, 557.0 mmol) in tetrahydrofuran (1.5 L) was added dropwise sodium methoxide (25% by weight in methanol, 382 mL, 1.67 moles). The mixture was heated at reflux for 3 h, cooled to room temperature and then diluted with aqueous ammonium chloride and ethyl acetate. The aqueous layer was acidified (pH 4-5) with 1N HCl and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to a dark brown solid which was triturated with ethyl acetate to afford 75.0 g of the title compound of Step D as a white solid melting at 194-196 °C. ¹H NMR (Me₂SO-*d*₆) δ 9.91 (s,1H), 7.17 (t,1H), 6.78 (m,2H), 3.84 (s,3H), 3.30 (s,3H), 2.03 (s,3H).

Step E: Preparation of 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of 5-chloro-3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazole (1.50 g, 4.51 mmol, available from Maybridge, Catalog No. RDR03892) in DMF (10 mL) was added the title compound of Step D (1.06 g, 4.51 mmol) at room temperature. Potassium carbonate (1.25 g, 9.02 mmol) was added and the mixture was stirred for 18 h. The mixture was diluted with water and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated. The residue was purified by flash column chromatography on silica gel to provide 2.20 g of the title compound of Step E, a compound of the invention, as a white solid melting at 95-98 °C. ¹H NMR (CDCl₃) δ 8.64 (s,2H), 7.95(s,1H), 7.50 (t,1H), 7.42 (d,1H), 7.37 (d,1H), 3.80 (s,3H), 3.39 (s,3H), 2.33 (s,3H).

EXAMPLE 23

Step A: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[tris(1-methylethyl)silyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (10.54 g, 47.65 mmol) and imidazole (6.50 g, 95.3 mmol) in DMF (100 mL) was added dropwise triisopropylsilyl chloride (13.3 mL, 61.9 mmol) at 0 °C. The mixture was allowed to warm to room temperature and was stirred for 3 h. Then mixture was then diluted with aqueous sodium bicarbonate and water and was extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to an oil which was purified by flash column chromatography on silica gel to give 16.8 g of the title compound of Step A as a light tan solid melting at 107-109 °C. ¹H NMR (CDCl₃) δ 7.27 (m,2H), 6.98 (m,2H), 3.89 (s,3H), 3.42 (s,3H), 1.25 (m,3H), 1.04 (m,18H).

Step B: Preparation of 4-[2-ethyl-6-[[tris(1-methylethyl)silyl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

A solution of the title compound of Step A (2.16 g, 5.72 mmol) in anhydrous tetrahydrofuran was cooled to -78 °C and *tert*-butyllithium (4.0 mL, 1.7 M solution in pentane, 6.8 mmol) was added dropwise. The resulting dark yellow solution was stirred for 1 h at -78 °C and ethyl iodide (4.6 mL, 57.2 mmol) was then added dropwise and the mixture was slowly warmed to 0 °C and stirred for 20 min. The mixture was diluted with aqueous ammonium chloride and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄),

filtered and concentrated. The crude product was purified by flash column chromatography on silica gel to afford 1.64 g of the title compound of Step B as a white solid melting at 90-92 °C. ¹H NMR (CDCl₃) δ 7.23 (t,1H), 6.90 (d,1H), 6.77 (d,1H), 3.89 (s,3H), 3.43 (s,3H), 2.53 (q,2H), 1.24 (m, 3H), 1.15 (t,3H), 1.04 (m,18H).

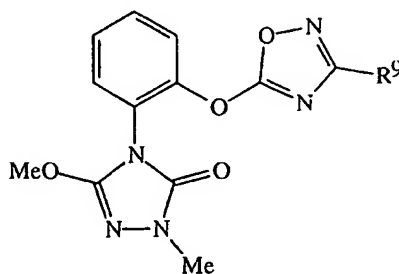
5 **Step C:** Preparation of 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-ethylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step B (0.244 g, 0.60 mmol) and 5-chloro-3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazole (0.200 g, 0.60 mmol) was dissolved in anhydrous tetrahydrofuran (10 mL). A solution of tetrabutylammonium fluoride (0.70 mL, 1.0M solution in tetrahydrofuran, 0.70 mmol) was added dropwise and the solution was stirred for 1 h at room temperature. The mixture was diluted with water and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated. The residue was purified by flash column chromatography on silica gel to afford 0.32 g of the title compound of Step C, a compound of the invention, as a white solid melting at 136-138 °C. ¹H NMR (CDCl₃) δ 8.64 (s,2H), 7.94 (s,1H), 7.56 (t, 1H), 7.42 (m, 2H), 3.80 (s,3H), 3.40 (s,3H), 2.63 (m,2H), 1.23 (t,3H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 14 can be prepared. The following abbreviations are used in the Tables which follow: *t* = tertiary, Me = methyl, Et = ethyl, Bu = butyl, Ph = phenyl, MeO = methoxy, EtO = ethoxy, CN = cyano, and NO₂ = nitro.

TABLE 1

Compounds of Formula IA defined as:

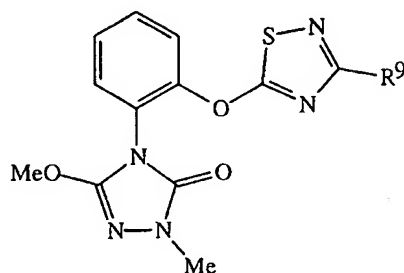


R ⁹	R ⁹	R ⁹	R ⁹
2-Br-Ph	2-Me-Ph	2-Et-Ph	4-EtO-2-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	4,6-diMeO-2-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	6-CF ₃ -2-pyridinyl	4,6-diMe-2-pyrimidinyl
2-CF ₃ -Ph	3,5-diCl-Ph	2-pyrimidinyl	6-CF ₃ -4-pyrimidinyl

2-I-Ph	3,5-diCF ₃ -Ph	4-pyrimidinyl	4-CF ₃ -2-pyridinyl
4-NO ₂ -Ph	2-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
4-CF ₃ O-Ph	2,6-diMeO-Ph	4-Me-2-pyrimidinyl	5-CF ₃ -3-pyridinyl
4-Me-Ph	3-CF ₃ O-Ph	6-MeO-4-pyrimidinyl	3-MeO-2-pyridinyl
4-Cl-Ph	4-Br-Ph	5-Me-2-furanyl	5-CN-2-pyridinyl
3-Me-Ph	3-Et-Ph	2,5-diMe-3-thienyl	6-Me-2-pyridinyl
3-CF ₃ -Ph	4-MeO-Ph	3-OCF ₂ H-Ph	3,5-diBr-Ph
3-Cl-2-Me-Ph	4- <i>t</i> -Bu-Ph	4-OCF ₂ H-Ph	4- <i>t</i> -Bu-2-pyridinyl
3- <i>t</i> -Bu-Ph	4-CN-Ph	3-Me ₃ Si-Ph	4-Me ₃ Si-2-pyridinyl
3-F-Ph	4-NO ₂ -Ph	4-Me ₃ Si-Ph	4-Me ₃ Ge-2-pyridinyl
4-CF ₃ -Ph	3,4-diMe-Ph	3-Me ₃ Ge-Ph	4,6-diCF ₃ -2-pyrimidinyl
3,4-diCl-Ph	3,5-diMe-Ph	4-Me ₃ Ge-Ph	5-CF ₃ -2-furanyl
3,4-diCF ₃ -Ph	4-F-3-CF ₃ -Ph	3-EtO-Ph	5-CF ₃ -2-thienyl
4-F-Ph	5-F-3-CF ₃ -Ph	Ph	(2-CN-Ph)CH ₂
3-Cl-Ph	3-Br-Ph	3-I-Ph	4-I-Ph
<i>t</i> -Bu			

TABLE 2

Compounds of Formula IA defined as:

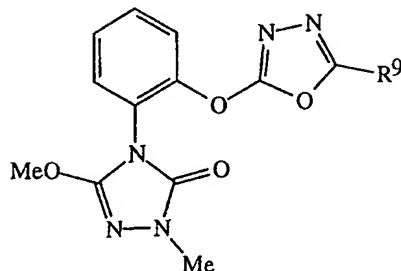


R ⁹	R ⁹	R ⁹
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph

2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

TABLE 3

Compounds of Formula IA defined as:

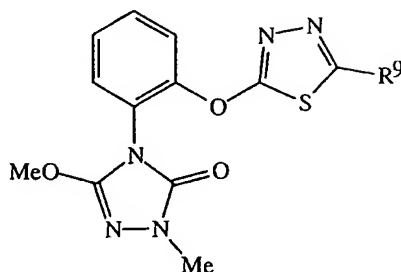


R^9	R^9	R^9
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl

4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

TABLE 4

Compounds of Formula IA defined as:

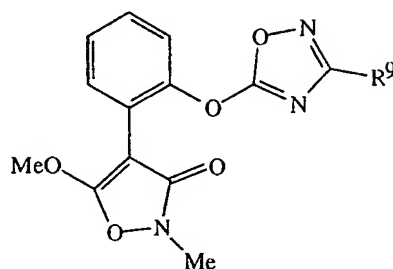


R ⁹	R ⁹	R ⁹	R ⁹
2-Br-Ph	2-Me-Ph	2-Et-Ph	4-EtO-2-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	4,6-diMeO-2-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	6-CF ₃ -2-pyridinyl	4,6-diMe-2-pyrimidinyl
2-CF ₃ -Ph	3,5-diCl-Ph	2-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
2-I-Ph	3,5-diCF ₃ -Ph	4-pyrimidinyl	4-CF ₃ -2-pyridinyl
4-NO ₂ -Ph	2-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
4-CF ₃ O-Ph	2,6-diMeO-Ph	4-Me-2-pyrimidinyl	5-CF ₃ -3-pyridinyl
4-Me-Ph	3-CF ₃ O-Ph	6-MeO-4-pyrimidinyl	3-MeO-2-pyridinyl
4-Cl-Ph	4-Br-Ph	5-Me-2-furanyl	5-CN-2-pyridinyl
3-Me-Ph	3-Et-Ph	2,5-diMe-3-thienyl	6-Me-2-pyridinyl
3-CF ₃ -Ph	4-MeO-Ph	3-OCF ₂ H-Ph	3,5-diBr-Ph
3-Cl-2-Me-Ph	4- <i>t</i> -Bu-Ph	4-OCF ₂ H-Ph	4- <i>t</i> -Bu-2-pyridinyl

3- <i>t</i> -Bu-Ph	4-CN-Ph	3-Me ₃ Si-Ph	4-Me ₃ Si-2-pyridinyl
3-F-Ph	4-NO ₂ -Ph	4-Me ₃ Si-Ph	4-Me ₃ Ge-2-pyridinyl
4-CF ₃ -Ph	3,4-diMe-Ph	3-Me ₃ Ge-Ph	4,6-diCF ₃ -2-pyrimidinyl
3,4-diCl-Ph	3,5-diMe-Ph	4-Me ₃ Ge-Ph	5-CF ₃ -2-furanyl
3,4-diCF ₃ -Ph	4-F-3-CF ₃ -Ph	3-EtO-Ph	5-CF ₃ -2-thienyl
4-F-Ph	5-F-3-CF ₃ -Ph	Ph	(2-CN-Ph)CH ₂
3-Cl-Ph	3-Br-Ph	3-I-Ph	4-I-Ph
<i>t</i> -Bu			

TABLE 5

Compounds of Formula IA defined as:

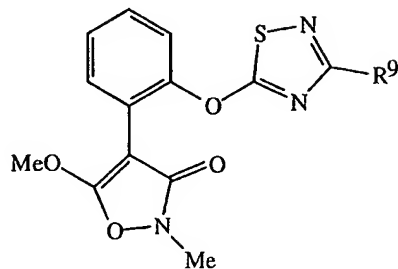


<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
2-Br-Ph	2-Me-Ph	2-Et-Ph	4-EtO-2-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	4,6-diMeO-2-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	6-CF ₃ -2-pyridinyl	4,6-diMe-2-pyrimidinyl
2-CF ₃ -Ph	3,5-diCl-Ph	2-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
2-I-Ph	3,5-diCF ₃ -Ph	4-pyrimidinyl	4-CF ₃ -2-pyridinyl
4-NO ₂ -Ph	2-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
4-CF ₃ O-Ph	2,6-diMeO-Ph	4-Me-2-pyrimidinyl	5-CF ₃ -3-pyridinyl
4-Me-Ph	3-CF ₃ O-Ph	6-MeO-4-pyrimidinyl	3-MeO-2-pyridinyl
4-Cl-Ph	4-Br-Ph	5-Me-2-furanyl	5-CN-2-pyridinyl
3-Me-Ph	3-Et-Ph	2,5-diMe-3-thienyl	6-Me-2-pyridinyl
3-CF ₃ -Ph	4-MeO-Ph	3-OCF ₂ H-Ph	3,5-diBr-Ph
3-Cl-2-Me-Ph	4- <i>t</i> -Bu-Ph	4-OCF ₂ H-Ph	4- <i>t</i> -Bu-2-pyridinyl
3- <i>t</i> -Bu-Ph	4-CN-Ph	3-Me ₃ Si-Ph	4-Me ₃ Si-2-pyridinyl
3-F-Ph	4-NO ₂ -Ph	4-Me ₃ Si-Ph	4-Me ₃ Ge-2-pyridinyl
4-CF ₃ -Ph	3,4-diMe-Ph	3-Me ₃ Ge-Ph	4,6-diCF ₃ -2-pyrimidinyl
3,4-diCl-Ph	3,5-diMe-Ph	4-Me ₃ Ge-Ph	5-CF ₃ -2-furanyl
3,4-diCF ₃ -Ph	4-F-3-CF ₃ -Ph	3-EtO-Ph	5-CF ₃ -2-thienyl

4-F-Ph	5-F-3-CF ₃ -Ph	Ph	(2-CN-Ph)CH ₂
3-Cl-Ph	3-Br-Ph	3-I-Ph	4-I-Ph
<i>t</i> -Bu			

TABLE 6

Compounds of Formula IA defined as:

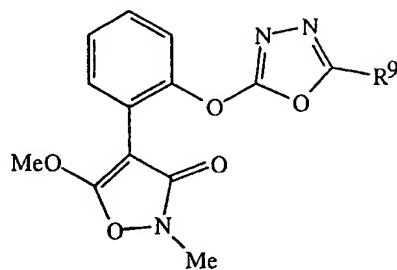


<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl

3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

TABLE 7

Compounds of Formula IA defined as:

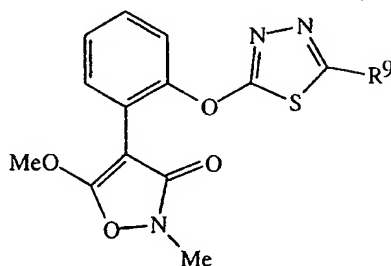


<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
2-Br-Ph	2-Me-Ph	2-Et-Ph	4-EtO-2-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	4,6-diMeO-2-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	6-CF ₃ -2-pyridinyl	4,6-diMe-2-pyrimidinyl
2-CF ₃ -Ph	3,5-diCl-Ph	2-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
2-I-Ph	3,5-diCF ₃ -Ph	4-pyrimidinyl	4-CF ₃ -2-pyridinyl
4-NO ₂ -Ph	2-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
4-CF ₃ O-Ph	2,6-diMeO-Ph	4-Me-2-pyrimidinyl	5-CF ₃ -3-pyridinyl

4-Me-Ph	3-CF ₃ O-Ph	6-MeO-4-pyrimidinyl	3-MeO-2-pyridinyl
4-Cl-Ph	4-Br-Ph	5-Me-2-furanyl	5-CN-2-pyridinyl
3-Me-Ph	3-Et-Ph	2,5-diMe-3-thienyl	6-Me-2-pyridinyl
3-CF ₃ -Ph	4-MeO-Ph	3-OCF ₂ H-Ph	3,5-diBr-Ph
3-Cl-2-Me-Ph	4- <i>t</i> -Bu-Ph	4-OCF ₂ H-Ph	4- <i>t</i> -Bu-2-pyridinyl
3- <i>t</i> -Bu-Ph	4-CN-Ph	3-Me ₃ Si-Ph	4-Me ₃ Si-2-pyridinyl
3-F-Ph	4-NO ₂ -Ph	4-Me ₃ Si-Ph	4-Me ₃ Ge-2-pyridinyl
4-CF ₃ -Ph	3,4-diMe-Ph	3-Me ₃ Ge-Ph	4,6-diCF ₃ -2-pyrimidinyl
3,4-diCl-Ph	3,5-diMe-Ph	4-Me ₃ Ge-Ph	5-CF ₃ -2-furanyl
3,4-diCF ₃ -Ph	4-F-3-CF ₃ -Ph	3-EtO-Ph	5-CF ₃ -2-thienyl
4-F-Ph	5-F-3-CF ₃ -Ph	Ph	(2-CN-Ph)CH ₂
3-Cl-Ph	3-Br-Ph	3-I-Ph	4-I-Ph
<i>t</i> -Bu			

TABLE 8

Compounds of Formula IA defined as:

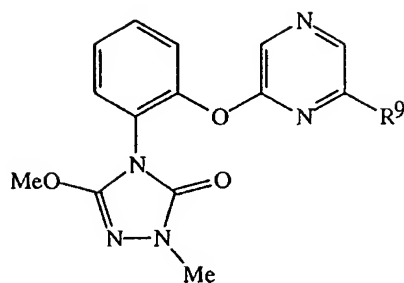


<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
2-Br-Ph	2-Me-Ph	2-Et-Ph	4-EtO-2-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	4,6-diMeO-2-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	6-CF ₃ -2-pyridinyl	4,6-diMe-2-pyrimidinyl
2-CF ₃ -Ph	3,5-diCl-Ph	2-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
2-I-Ph	3,5-diCF ₃ -Ph	4-pyrimidinyl	4-CF ₃ -2-pyridinyl
4-NO ₂ -Ph	2-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
4-CF ₃ O-Ph	2,6-diMeO-Ph	4-Me-2-pyrimidinyl	5-CF ₃ -3-pyridinyl
4-Me-Ph	3-CF ₃ O-Ph	6-MeO-4-pyrimidinyl	3-MeO-2-pyridinyl
4-Cl-Ph	4-Br-Ph	5-Me-2-furanyl	5-CN-2-pyridinyl
3-Me-Ph	3-Et-Ph	2,5-diMe-3-thienyl	6-Me-2-pyridinyl
3-CF ₃ -Ph	4-MeO-Ph	3-OCF ₂ H-Ph	3,5-diBr-Ph
3-Cl-2-Me-Ph	4- <i>t</i> -Bu-Ph	4-OCF ₂ H-Ph	4- <i>t</i> -Bu-2-pyridinyl

87

3- <i>t</i> -Bu-Ph	4-CN-Ph	3-Me ₃ Si-Ph	4-Me ₃ Si-2-pyridinyl
3-F-Ph	4-NO ₂ -Ph	4-Me ₃ Si-Ph	4-Me ₃ Ge-2-pyridinyl
4-CF ₃ -Ph	3,4-diMe-Ph	3-Me ₃ Ge-Ph	4,6-diCF ₃ -2-pyrimidinyl
3,4-diCl-Ph	3,5-diMe-Ph	4-Me ₃ Ge-Ph	5-CF ₃ -2-furanyl
3,4-diCF ₃ -Ph	4-F-3-CF ₃ -Ph	3-EtO-Ph	5-CF ₃ -2-thienyl
4-F-Ph	5-F-3-CF ₃ -Ph	Ph	(2-CN-Ph)CH ₂
3-Cl-Ph	3-Br-Ph	3-I-Ph	4-I-Ph
<i>t</i> -Bu			

TABLE 9

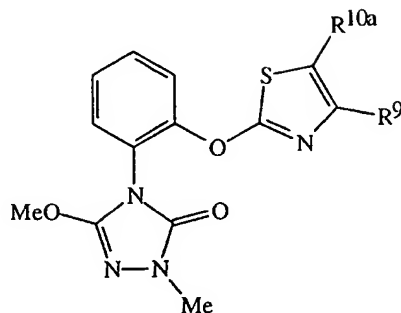


<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph

4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

TABLE 10

Compounds of Formula IA defined as:

R^{10a} = H andR⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph
 4-Cl-Ph
 3-Me-Ph
 3-CF₃-Ph
 3-Cl-2-Me-Ph
 3-*t*-Bu-Ph
 3-F-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph
 3-CF₃O-Ph
 4-Br-Ph
 3-Et-Ph
 4-MeO-Ph
 4-*t*-Bu-Ph
 4-CN-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph
 4-CO₂-*t*-Bu-Ph
 4-CO₂Et-Ph
 6-CF₃-4-pyrimidinyl
 4-CF₃-2-pyridinyl
 4-CF₃-2-pyrimidinyl
 5-CF₃-3-pyridinyl

4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Me and

R ⁹	R ⁹	R ⁹
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph

4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Br and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph

2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Cl andR⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl

3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = CN and

R⁹
 3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph
 4-Cl-Ph
 3-Me-Ph
 3-CF₃-Ph
 3-Cl-2-Me-Ph
 3-*t*-Bu-Ph
 3-F-Ph
 4-CF₃-Ph
 3,4-diCl-Ph
 3,4-diCF₃-Ph
 4-F-Ph
 3-I-Ph
 2-Br-5-pyridinyl
 4,5-diBr-2-thienyl
 4,5-diCl-2-thienyl
 4,5-diF-2-thienyl
 3,4,5-triCl-2-thienyl

R⁹
 4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph
 3-CF₃O-Ph
 4-Br-Ph
 3-Et-Ph
 4-MeO-Ph
 4-*t*-Bu-Ph
 4-CN-Ph
 4-NO₂-Ph
 3,4-diMe-Ph
 3,5-diMe-Ph
 4-F-3-CF₃-Ph
 5-F-3-CF₃-Ph
 3-Cl-benzyl
 2-Cl-benzyl
 2-CN-benzyl
 3-(Me₃Si-C≡C)-Ph
 4-(Me₃Si-C≡C)-Ph

R⁹
 6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph
 4-CO₂-*t*-Bu-Ph
 4-CO₂Et-Ph
 6-CF₃-4-pyrimidinyl
 4-CF₃-2-pyridinyl
 4-CF₃-2-pyrimidinyl
 5-CF₃-3-pyridinyl
 3-MeO-2-pyridinyl
 5-CN-2-pyridinyl
 6-Me-2-pyridinyl
 3,5-diBr-Ph
 4-*t*-Bu-2-pyridinyl
 4-Me₃Si-2-pyridinyl
 4-Me₃Ge-2-pyridinyl
 4,6-diCF₃-2-pyrimidinyl
 5-CF₃-2-furanyl
 5-CF₃-2-thienyl

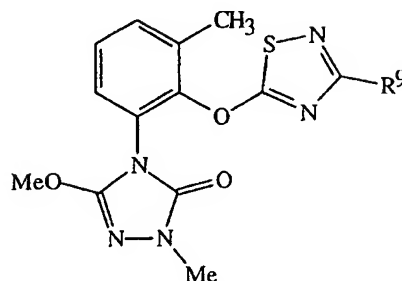
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

<u>R^{10a} = F and</u> <u>R⁹</u>	<u>R^{10a} = I and</u> <u>R⁹</u>	<u>R^{10a} = <i>n</i>-propyl and</u> <u>R⁹</u>	<u>R^{10a} = isopropyl and</u> <u>R⁹</u>
3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph
3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph
3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph
3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph
3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph
3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph
C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃

<u>R^{10a} = <i>n</i>-butyl and</u> <u>R⁹</u>	<u>R^{10a} = <i>tert</i>-butyl and</u> <u>R⁹</u>	<u>R^{10a} = CF₃ and</u> <u>R⁹</u>	<u>R^{10a} = MeO and</u> <u>R⁹</u>
3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph
3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph
3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph
3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph
3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph
3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph
C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃

TABLE 11

Compounds of Formula IA defined as:

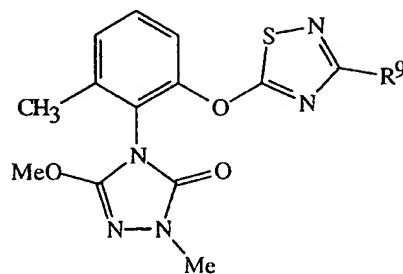


R^9	R^9	R^9
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl

4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

TABLE 12

Compounds of Formula IA defined as:

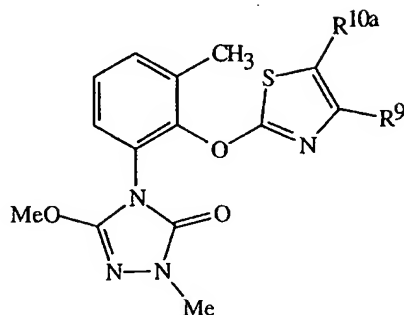


<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph

2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

TABLE 13

Compounds of Formula IA defined as:

R^{10a} = H and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl

4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Me and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph

4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Br and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph

2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Cl and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl

3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = CN and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph

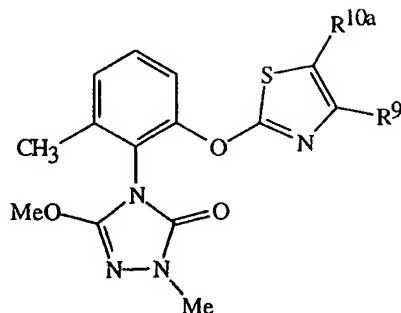
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

<u>R^{10a} = F and</u> <u>R⁹</u>	<u>R^{10a} = I and</u> <u>R⁹</u>	<u>R^{10a} = <i>n</i>-propyl and</u> <u>R⁹</u>	<u>R^{10a} = isopropyl and</u> <u>R⁹</u>
3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph
3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph
3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph
3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph
3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph
3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph
C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃

<u>R^{10a} = <i>n</i>-butyl and</u> <u>R⁹</u>	<u>R^{10a} = <i>tert</i>-butyl and</u> <u>R⁹</u>	<u>R^{10a} = CF₃ and</u> <u>R⁹</u>	<u>R^{10a} = MeO and</u> <u>R⁹</u>
3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph
3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph
3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph
3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph
3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph
3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph
C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃

TABLE 14

Compounds of Formula IA defined as:

R^{10a} = H andR⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph
 4-Cl-Ph
 3-Me-Ph
 3-CF₃-Ph
 3-Cl-2-Me-Ph
 3-*t*-Bu-Ph
 3-F-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph
 3-CF₃O-Ph
 4-Br-Ph
 3-Et-Ph
 4-MeO-Ph
 4-*t*-Bu-Ph
 4-CN-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph
 4-CO₂-*t*-Bu-Ph
 4-CO₂Et-Ph
 6-CF₃-4-pyrimidinyl
 4-CF₃-2-pyridinyl
 4-CF₃-2-pyrimidinyl
 5-CF₃-3-pyridinyl

4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Me and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph

4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Br and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph

2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = Cl and

R ⁹	R ⁹	R ⁹
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl

3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl
3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

R^{10a} = CN and

<u>R⁹</u>	<u>R⁹</u>	<u>R⁹</u>
3,4-diF-Ph	4-Ph-Ph	6-CF ₃ -2-pyridinyl
3,5-diBr-4-MeO-Ph	4-Br-3-Me-Ph	2-pyrimidinyl
3-Cl-4-Me-Ph	3-Br-4-MeO-Ph	4-pyrimidinyl
3,5-diF-Ph	5-F-2-thienyl	4-MeO-2-pyrimidinyl
3-F-4-Cl-Ph	5-Br-2-thienyl	4-Me-2-pyrimidinyl
3-MeO-Ph	5-Cl-2-thienyl	6-MeO-4-pyrimidinyl
3-Cl-Ph	2,5-diF-3-thienyl	5-Me-2-furanyl
C(CH ₃) ₃	2,5-diCl-3-thienyl	2,5-diMe-3-thienyl
3-Br-Ph	2,5-diBr-3-thienyl	3-OCF ₂ H-Ph
2-Br-Ph	4-SCF ₂ H-Ph	4-OCF ₂ H-Ph
2-CN-Ph	2-Me-Ph	3-Me ₃ Si-Ph
2,4-diCl-Ph	2-F-Ph	4-Me ₃ Si-Ph
2-CF ₃ -Ph	2-Me-4-Cl-Ph	3-Me ₃ Ge-Ph
2-I-Ph	3,5-diCl-Ph	4-Me ₃ Ge-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	Ph
4-CF ₃ O-Ph	2-MeO-Ph	3-CN-Ph
4-Me-Ph	2,6-diMeO-Ph	4-CO ₂ Me-Ph
4-Cl-Ph	3-CF ₃ O-Ph	4-CO ₂ - <i>t</i> -Bu-Ph
3-Me-Ph	4-Br-Ph	4-CO ₂ Et-Ph
3-CF ₃ -Ph	3-Et-Ph	6-CF ₃ -4-pyrimidinyl
3-Cl-2-Me-Ph	4-MeO-Ph	4-CF ₃ -2-pyridinyl
3- <i>t</i> -Bu-Ph	4- <i>t</i> -Bu-Ph	4-CF ₃ -2-pyrimidinyl
3-F-Ph	4-CN-Ph	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	4-NO ₂ -Ph	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	6-Me-2-pyridinyl
4-F-Ph	4-F-3-CF ₃ -Ph	3,5-diBr-Ph
3-I-Ph	5-F-3-CF ₃ -Ph	4- <i>t</i> -Bu-2-pyridinyl
2-Br-5-pyridinyl	3-Cl-benzyl	4-Me ₃ Si-2-pyridinyl
4,5-diBr-2-thienyl	2-Cl-benzyl	4-Me ₃ Ge-2-pyridinyl
4,5-diCl-2-thienyl	2-CN-benzyl	4,6-diCF ₃ -2-pyrimidinyl
4,5-diF-2-thienyl	3-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-furanyl
3,4,5-triCl-2-thienyl	4-(Me ₃ Si-C≡C)-Ph	5-CF ₃ -2-thienyl

3-(C≡CH)-Ph	3,5-diCF ₃ -benzyl	3-EtO-Ph
4-(C≡CH)-Ph	3-OSO ₂ CF ₃ -Ph	4-I-Ph
2-CF ₃ CH ₂ O-5-pyridinyl	4-OSO ₂ CF ₃ -Ph	3-CO ₂ Me-Ph
4-Cl-benzyl	4-EtO-2-pyrimidinyl	3-CO ₂ - <i>t</i> -Bu-Ph
2-Et-Ph	4,6-diMeO-2-pyrimidinyl	3-CO ₂ Et-Ph
2-Cl-Ph	4,6-diMe-2-pyrimidinyl	

<u>R^{10a} = F and</u> <u>R⁹</u>	<u>R^{10a} = I and</u> <u>R⁹</u>	<u>R^{10a} = <i>n</i>-propyl and</u> <u>R⁹</u>	<u>R^{10a} = isopropyl and</u> <u>R⁹</u>
3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph
3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph
3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph
3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph
3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph
3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph
C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃

<u>R^{10a} = <i>n</i>-butyl and</u> <u>R⁹</u>	<u>R^{10a} = <i>tert</i>-butyl and</u> <u>R⁹</u>	<u>R^{10a} = CF₃ and</u> <u>R⁹</u>	<u>R^{10a} = MeO and</u> <u>R⁹</u>
3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph	3-CF ₃ -Ph
3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph	3-CF ₃ O-Ph
3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph	3-OCF ₂ H-Ph
3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph	3,5-diF-Ph
3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph	3,5-diCl-Ph
3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph	3,5-diCF ₃ -Ph
C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃	C(CH ₃) ₃

Formulation/Utility

- 5 Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature.
- 10 Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further

include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wetable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and

bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-M.

Example A

Wettable Powder

Compound 345	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

115

Example BGranule

	Compound 515	10.0%
5	attapulgate granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%.

Example CExtruded Pellet

	Compound 680	25.0%
	anhydrous sodium sulfate	10.0%
10	crude calcium ligninsulfonate	5.0%
	sodium alkyl naphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%.

Example DEmulsifiable Concentrate

15	Compound 699	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
	isophorone	70.0%.

20 The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a

25 broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*,

30 *Alternaria brassicae*, *Septoria nodorum*, *Septoria tritici*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudocercospora herpotrichoides*, *Cercospora beticola*, *Botrytis cinerea*, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*, *Venturia inaequalis*, *Erysiphe graminis*, *Uncinula necator*, *Puccinia recondita*, *Puccinia graminis*, *Hemileia vastatrix*, *Puccinia striiformis*, *Puccinia arachidis*,

35 *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*, *Pythium aphanidermatum*, *Phytophthora megasperma*, *Sclerotinia sclerotiorum*,

Sclerotium rolfsii, *Erysiphe polygoni*, *Pyrenophora teres*, *Gaeumannomyces graminis*, *Rhynchosporium secalis*, *Fusarium roseum*, *Bremia lactucae* and other genera and species closely related to these pathogens.

- The compounds of this invention also exhibit activity against a wide spectrum of
- 5 foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds
- 10 are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order
- 15 Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera; and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes.
- 20 Specifically, the compounds are active against southern corn rootworm (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrosteles fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus persica*), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug
- 30 (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus punctiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus mcdanieli*, *Tetranychus pacificus*,
- 35 *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*,

Eotetranychus yumensis, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epitrimerus pyri* and *Eriophyes mangiferae*. See
 5 WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an
 10 even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, csfenvalerate, fenpropathrin, fenvalerate, fipronil,
 15 flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and
 20 triflumuron; fungicides such as azoxystrobin (ICIA5504), benomyl, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), diclomezine, dicloran, difenoconazole, dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxyconazole
 25 (BAS 480F), fenarimol, fenbuconazole, fenciclonil, fenpropidin, fenpropimorph, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl (BAS 490F), mancozeb, maneb, mepronil, metalaxyl, metconazole, myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron,
 30 probenazole, prochloraz, propiconazole, pyrifenoxy, pyroquilon, sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, uniconazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenazaquin,
 35 fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and

tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other fungicides or arthropodicides having a similar spectrum of control but a different mode of action will be particularly

5 advantageous for resistance management.

Preferred for better control of plant diseases caused by fungal plant pathogens (e.g., lower use rate or broader spectrum of plant pathogens controlled) or resistance management are mixtures of a compound of this invention with a fungicide selected from the group cyproconazole, cyprodinil (CGA 219417), epoxyconazole (BAS 480F),
10 fenpropidin, fenpropimorph, flusilazole and tebuconazole. Specifically preferred mixtures (compound numbers refer to compounds in Index Tables A-M) are selected from the group: compound 290 and cyproconazole; compound 290 and cyprodinil (CGA 219417); compound 290 and epoxyconazole (BAS 480F); compound 290 and fenpropidin; compound 290 and fenpropimorph; compound 290 and flusilazole;
15 compound 290 and tebuconazole; compound 295 and cyproconazole; compound 295 and cyprodinil (CGA 219417); compound 295 and epoxyconazole (BAS 480F); compound 295 and fenpropidin; compound 295 and fenpropimorph; compound 295 and flusilazole; compound 295 and tebuconazole; compound 343 and cyproconazole; compound 343 and cyprodinil (CGA 219417); compound 343 and epoxyconazole
20 (BAS 480F); compound 343 and fenpropidin; compound 343 and fenpropimorph; compound 343 and flusilazole; compound 343 and tebuconazole; compound 345 and cyproconazole; compound 345 and cyprodinil (CGA 219417); compound 345 and epoxyconazole (BAS 480F); compound 345 and fenpropidin; compound 345 and fenpropimorph; compound 345 and flusilazole; compound 345 and tebuconazole;
25 compound 358 and cyproconazole; compound 358 and cyprodinil (CGA 219417); compound 358 and epoxyconazole (BAS 480F); compound 358 and fenpropidin; compound 358 and fenpropimorph; compound 358 and flusilazole; compound 358 and tebuconazole; compound 507 and cyproconazole; compound 507 and cyprodinil (CGA 219417); compound 507 and epoxyconazole (BAS 480F); compound 507 and
30 fenpropidin; compound 507 and fenpropimorph; compound 507 and flusilazole; compound 507 and tebuconazole; compound 515 and cyproconazole; compound 515 and cyprodinil (CGA 219417); compound 515 and epoxyconazole (BAS 480F); compound 515 and fenpropidin; compound 515 and fenpropimorph; compound 515 and flusilazole; compound 515 and tebuconazole; compound 538 and cyproconazole;
35 compound 538 and cyprodinil (CGA 219417); compound 538 and epoxyconazole (BAS 480F); compound 538 and fenpropidin; compound 538 and fenpropimorph;

compound 538 and flusilazole; compound 538 and tebuconazole; compound 699 and cyproconazole; compound 699 and cyprodinil (CGA 219417); compound 699 and epoxyconazole (BAS 480F); compound 699 and fenpropidin; compound 699 and fenpropimorph; compound 699 and flusilazole; and compound 699 and tebuconazole.

5 Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

10 For plant disease control, rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

15 Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. Thus, the present invention further
20 comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or
25 directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be
30 incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

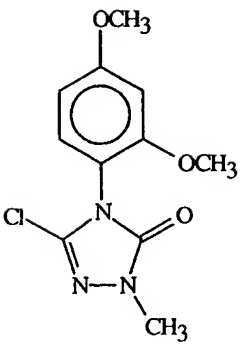
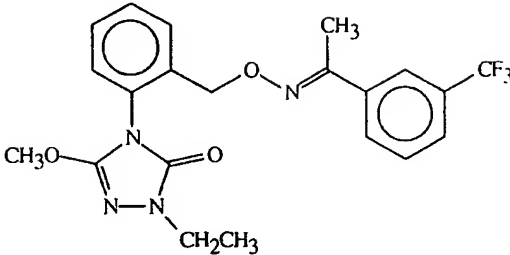
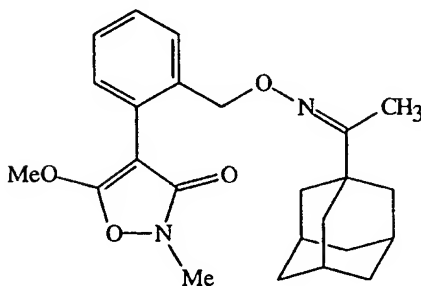
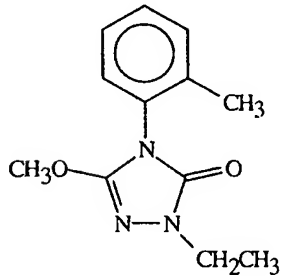
For the control arthropod pests, the compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in
35 combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds.

Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

5 The rate of application required for effective control will depend on such factors as the species of arthropod to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg hectare
10 may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens and arthropod pests. For the tests on arthropod pests,
15 "control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pathogen and arthropod pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-M for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* = tertiary, *n* = normal, *i* = iso, *c* = cyclo, Me = methyl,
20 Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, Ph = phenyl, MeO and OMe = methoxy, EtO = ethoxy, PhO = phenoxy, MeS = methylthio, CHO = formyl, CN = cyano, CO₂Me = methoxycarbonyl, CO₂Et = ethoxycarbonyl, NO₂ = nitro, Me₃Si = trimethylsilyl, Et₃Si = triethylsilyl, MeNH = methylamino, Me₂N = dimethylamino, MeS(O) = methylsulfinyl, and
25 MeSO₂ and SO₂Me = methylsulfonyl. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

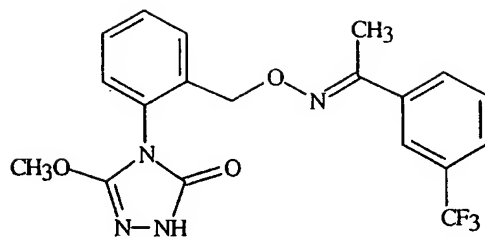
INDEX TABLE A

<u>Cmpd No.</u>	<u>Structure</u>	<u>m. p. (°C)</u>
1		140-142
237		oil*
238		oil*
732		85-88

122

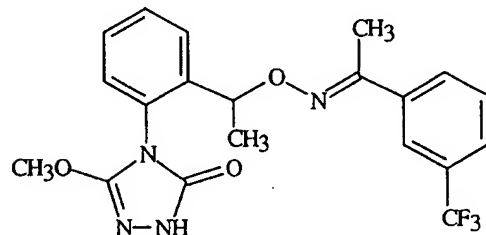
981

160-163

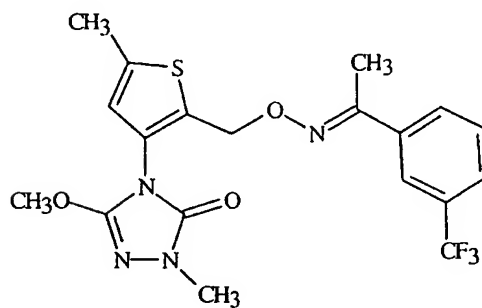


982

*

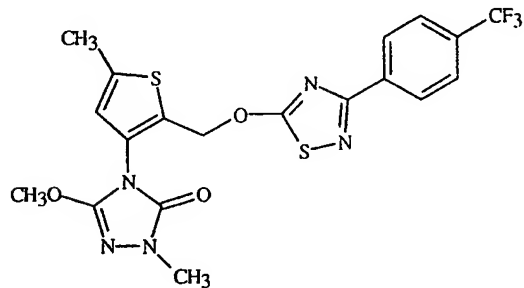
983^a

83-85



984

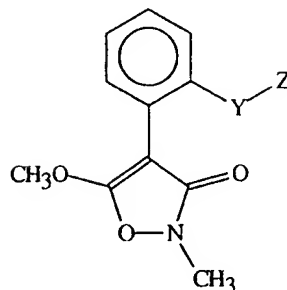
166-168



^a Compound contains 33% by weight of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[[1-[3-(trifluoromethyl)phenyl]ethylidene]amino]oxy]methyl]-3-thienyl]-3H-1,2,4-triazol-3-one.

*See Index Table M for ¹H NMR data.

123

INDEX TABLE B

<u>Cmpd No.</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
2	O	2-MeO-Ph	oil*
3	O	CH ₂ -Ph	oil*
4	-	Me	oil*
5	CH ₂ O	2-Me-Ph	oil*
122	CH ₂ ON=C(Me)	3-CF ₃ -Ph	59-61
123	CH ₂ ON=C(Me)	4-CF ₃ -Ph	oil*
124	CH ₂ ON=C(Me)	Me	71-73
125	CH ₂ ON=C(Me)	3-Cl-Ph	oil*
126	CH ₂ ON=C(Me)	3-Br-Ph	oil*
127	CH ₂ ON=C(Me)	4-Cl-Ph	oil*
128	CH ₂ ON=C(Me)	4-Br-Ph	oil*
129	CH ₂ ON=C(Me)	4-F-Ph	oil*
130	CH ₂ ON=C(Me)	4-MeO-Ph	oil*
131	CH ₂ ON=C(Me)	3-CN-Ph	oil*
132	CH ₂ ON=C(Me)	4-CN-Ph	oil*
133	CH ₂ ON=C(Me)	4-Me-Ph	oil*
134	CH ₂ ON=C(Me)	4-Cl-3-Me-Ph	oil*
135	CH ₂ ON=C(Me)	3,4-(-OCH ₂ O-)-Ph	oil*
136	CH ₂ ON=C(Me)	3,4-diMe-Ph	oil*
137	CH ₂ ON=C(Me)	3,4-diCl-Ph	oil*
138	CH ₂ ON=C(Me)	4-Ph-Ph	oil*
139	CH ₂ ON=C(Me)	3- <i>t</i> -Bu-Ph	oil*
140	CH ₂ ON=C(Me)	3,5-diCF ₃ -Ph	oil*
141	CH ₂ ON=C(Me)	3-MeO-Ph	oil*
142	CH ₂ ON=C(Me)	3-Ph-Ph	oil*

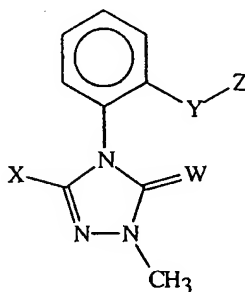
143	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-PhO-Ph	oil*
144	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-pyridinyl	oil*
145	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-Me ₂ N-Ph	oil*
146	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-CF ₃ O-Ph	oil*
147	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-(4-MeO-PhO)-Ph	oil*
148	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-CF ₃ -2-pyridinyl	94-96
149	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5-Cl-2-thienyl	123-125
150	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Me-2-thienyl	130-132
151	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-thienyl	124-126
152	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-thienyl	129-131
153	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-PhO-Ph	oil*
154	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3- <i>i</i> -PrO-Ph	oil*
155	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diCl-Ph	oil*
156	$\text{CH}_2\text{ON}=\text{C}(\text{Et})$	3-CF ₃ -Ph	oil*
157	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	<i>c</i> -hexyl	oil*
158	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4- <i>t</i> -Bu- <i>c</i> -hexyl	oil*
159	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-(3-CF ₃ -Ph)-Ph	oil*
160	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-(3-CF ₃ -PhO)-Ph	oil*
161	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-F-5-CF ₃ -Ph	oil*
162	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diMe-Ph	oil*
163	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-benzofuranyl	101-104
164	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5-Me-2-furanyl	oil*
165	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4,6-diMe-2-pyridinyl	oil*
166	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4- <i>c</i> -hexyl-Ph	oil*
167	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-quinolinyl	134-136
168	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Me-2-Ph-5-pyrimidinyl	oil*
169	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	benzo[<i>b</i>]thiophen-3-yl	oil*
170	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5-(3-CF ₃ -Ph)-2-thienyl	135-138
171	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diBr-Ph	oil*
172	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-F-3-CF ₃ -Ph	oil*
173	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-Cl-6-MeO-4-pyridinyl	oil*
174	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4,5-diMe-2-thiazolyl	76-78
175	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	1-Me-3-indolyl	114-116
176	$\text{CH}_2\text{ON}=\text{C}(\text{OMe})$	3,5-diCl-Ph	oil*
177	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-Et-Ph	oil*
178	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	6-MeO-2-pyrimidinyl	oil*

125

179	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-naphthalenyl	oil*
180	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	6-Me-2-naphthalenyl	oil*
181	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	6-MeO-2-naphthalenyl	oil*
182	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	6-Br-2-naphthalenyl	oil*
183	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5,6,7,8-tetrahydro-2-naphthalenyl	oil*
239	O	3-[3,5-bis(trifluoromethyl)-phenyl]-1,2,4-thiadiazol-5-yl	94-97
240	OCH_2	4-MeO-Ph	solid*

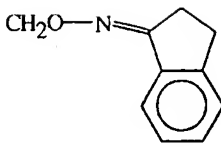
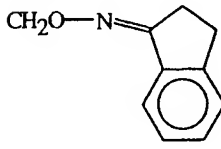
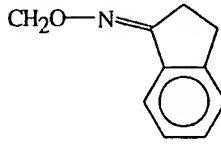
*See Index Table M for ^1H NMR data.

INDEX TABLE C



<u>Cmpd</u>	<u>W</u>	<u>X</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
6	O	MeS	O	Ph	129-130
7	O	MeO	O	Me	123-126
8	O	MeO	-	Me	95-97
9	O	MeS	-	Me	95-97
10	O	Cl	-	Me	99-100
11	O	MeO	O	Ph	88-91
12	O	Cl	CH_2O	2-Me-Ph	88-96
13	O	MeO	CH_2O	2-Me-Ph	110-113
14	O	EtO	CH_2O	2-Me-Ph	oil*
15	O	MeS	CH_2O	2-Me-Ph	80-88
16	O	$\text{OCH}_2\text{C}\equiv\text{CH}$	CH_2O	2-Me-Ph	122-130
17	O	Cl	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Me-Ph	oil*
18	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Me-Ph	116-118
19	O	MeS	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Me-Ph	oil*

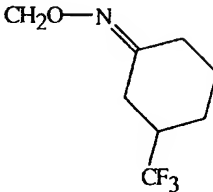
126

20	O	Cl			oil*
21	S	MeS	O	Ph	oil*
22	O	MeO			126-130
23	O	Cl	CH ₂ ON=C(H)	Ph	oil*
24	O	MeS			oil*
25	O	Cl	CH ₂ O	3-(PhO)-Ph	oil*
26	O	MeO	CH ₂ O	3-(PhO)-Ph	oil*
27	O	MeO	CH ₂ ON=C(H)	Ph	101-104
28	O	MeS	CH ₂ O	3-(PhO)-Ph	95-100
29	O	Cl	CH ₂ S	2-Me-Ph	106-109
30	O	MeO	CH ₂ S	2-Me-Ph	115-118
31	O	MeS	CH ₂ S	2-Me-Ph	82-86
32	O	Cl	CH ₂ S	2-benzothiazolyl	95-97
33	O	MeO	C≡C	Ph	164-166
34	O	MeO	CH ₂ ON=C(Me)	4-Br-Ph	115-120
35	O	Cl	CH ₂ ON=C(Me)	4-Br-Ph	gum*
36	O	Cl	CH ₂ O	3-(benzoyl)-Ph	oil*
37	O	MeS	CH ₂ ON=C(Me)	4-Br-Ph	117-122
38	O	MeO	CH ₂ O	3-(benzoyl)-Ph	oil*
39	O	Cl	CH=NOCH ₂	4-Cl-Ph	oil*
40	O	Cl	CH ₂ ON=C(Me)	1,3-benzodioxol-5-yl	oil*
41	O	MeO	CH=NOCH ₂	4-Cl-Ph	oil*
42	O	MeO	CH ₂ ON=C(Me)	1,3-benzodioxol-5-yl	oil*
43	O	Cl	O	6-PhO-4-pyrimidinyl	oil*
44	O	MeO	CH ₂ S	2-benzothiazolyl	95-97
45	O	MeO	CH ₂ ON=C(Me)	2-Me-Ph	oil*
46	O	MeO	CH ₂ ON=C(Me)	4-CF ₃ -Ph	138-144
47	O	MeO	CH ₂ ON=C(CF ₃)	Ph	oil*

48	O	MeO	CH ₂ ON=C(Me)	Ph	oil*
49	O	MeO	CH ₂ ON=C(Me)	3-Me-Ph	oil*
50	O	MeO	CH ₂ ON=C(Me)	4-MeO-Ph	oil*
51	O	MeO	CH ₂ ON=C(Me)	3-Cl-Ph	oil*
52	O	MeO	CH=NOCH(Me)	Ph	oil*
53	O	MeO	CH=NOCH ₂	2-Me-Ph	oil*
54	O	Cl	O	Ph	solid*
55	O	Cl	-	CH ₂ Cl:CH ₂ Br(60:40)	solid*
56	O	MeO	-	CH ₂ Br	solid*
57	O	Cl	O	Me	152-154
58	O	Cl	CH ₂ ON=C(Me)	4-CF ₃ -Ph	111-118
59	O	MeO	CH ₂ ON=C(Me)	3-CF ₃ -Ph	103.5- 105.5
60	O	MeS	CH ₂ ON=C(Me)	4-CF ₃ -Ph	oil*
61	O	MeO	CH ₂ ON=C(CF ₃)	3-CF ₃ -Ph	oil*
62	O	MeO	O	6-(2-CN-PhO)-4- pyrimidinyl	solid/gum*
63	O	MeO	O	6-Cl-4-pyrimidinyl	133-136
64	O	MeO	O	6-(2-Me-PhO)-4- pyrimidinyl	solid/gum*
65	O	MeO	O	6-PhO-4-pyrimidinyl	gum*
66	O	MeO	CH ₂ ON=C(Me)	2-pyridinyl	122-124
67	O	Cl	CH ₂ ON=C(Me)	4-pyridinyl	153-155
68	O	MeO	CH ₂ O	2,5-diMe-Ph	130-135
69	O	MeO	CH ₂ ON=C(Me)	4- <i>t</i> -Bu-Ph	gum*
70	O	MeO	CH ₂ ON=C(Me)	3,4-diMe-Ph	gum*
71	O	MeO	OCH ₂	2,5-diMe-Ph	119-122
72	O	MeO	CH ₂ ON=C(Me)	3,4-diCl-Ph	128-129
73	O	MeO	CH ₂ ON=C(Me)	3-pyridinyl	90-109 dec.
74	O	MeO	CH ₂ ON=C(Me)	4-pyridinyl	140-142
75	O	Cl	O	6-Cl-4-pyrimidinyl	solid*
76	O	MeO	CH ₂ ON=C(Me)	4-Ph-Ph	about 55*
77	O	Cl	CH ₂ O	2,5-diMe-Ph	solid*
78	O	Cl	CH ₂ ON=C(Me)	1-Me-3-pyrrolyl	124-131
79	O	MeO	CH ₂ ON=C(Me)	1-Me-3-pyrrolyl	135-137.5

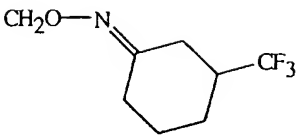
80	O	Cl	CH ₂ ON=C(Me)	2-pyrazinyl	108-111
81	O	MeO	CH ₂ ON=C(Me)	2-pyrazinyl	119-121
82	O	Cl	CH ₂ ON=C(Me)	3,5-diCF ₃ -Ph	oil*
83	O	MeO	CH ₂ ON=C(Me)	3,5-diCF ₃ -Ph	147-149
84	O	MeO	CH ₂ ON=C(<i>c</i> -Pr)	4-Cl-Ph	oil*
85	O	MeSO ₂	CH ₂ ON=C(Me)	4-CF ₃ -Ph	50-55
86	O	MeS(O)	CH ₂ ON=C(Me)	4-CF ₃ -Ph	oil/gum*
87	O	MeO	CH ₂ ON=C(Me)	6-Me-3-pyridinyl	134-136
88	O	MeO	CH ₂ ON=C(Me)	3- <i>t</i> -Bu-Ph	oil*
89	O	MeO	CH ₂ ON=C(Me)	3-Ph-Ph	oil*
90	O	MeO	CH ₂ ON=C(Me)	3- <i>i</i> -PrO-Ph	oil*
91	O	MeO	CH ₂ ON=C(Me)	4,6-diMe-2-pyrimidinyl	119-121
92	O	MeO	CH ₂ ON=C(Me)	3-CF ₃ O-Ph	90-92
93	O	MeO	CH ₂ ON=C(Me)	3-Me ₂ N-Ph	106-110
94	O	Cl	CH ₂ ON=C(Me)	3,4-diCl-Ph	solid*
95	O	MeO	CH ₂ ON=C(Me)	4-CF ₃ -2-pyridinyl	144-145
96	O	MeO	CH ₂ ON=C(Me)	3- <i>n</i> -C ₄ F ₉ -Ph	oil*
97	O	MeO	CH ₂ ON=C(Me)	4-CN-2-pyridinyl	120-125
98	O	MeO	CH ₂ ON=C(Me)	3-PhO-Ph	oil*
99	O	MeO	CH ₂ ON=C(Et)	3-CF ₃ -Ph	oil*
100	O	MeO	CH ₂ ON=C(Me)	3-NO ₂ -Ph	gum*
101	O	MeO	CH ₂ ON=C(Me)	4-Ph-2-pyridinyl	115-117.5
102	O	MeO	CH ₂ ON=C(Me)	2-thienyl	100-105
103	O	MeO	CH ₂ ON=C(Me)	4- <i>t</i> -Bu-2-pyridinyl	103-105.5
104	O	MeO	CH ₂ ON=C(Me)	2-benzofuranyl	149-154
105	O	MeO	CH ₂ ON=C(Me)	5-Cl-3-Me- benzo[<i>b</i>]thiophen-2-yl	167-169
106	O	MeO	CH ₂ ON=C(Me)	3,5-diCl-Ph	149-153
107	O	MeO	CH ₂ ON=C(Me)	2,4-diMe-5-thiazolyl	123-124
108	O	Cl	CH ₂ ON=C(Me)	2-quinoxaliny	173-174
109	O	MeO	CH ₂ ON=C(Me)	2-quinoxaliny	225-227
110	O	MeO	CH ₂ ON=C(Me)	3,5-diMe-Ph	oil*
111	O	Cl	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
112	O	Cl	CH ₂ ON=C(<i>c</i> -Pr)	4-Cl-Ph	gum*
113	O	MeO	CH ₂ ON=C(Me)	3-CN-Ph	gum*

114	O	Cl	CH ₂ O	5-Me-2-(2-pyridinyl)-4-thiazolyl	oil*
115	O	MeO	CH ₂ ON=C(Me)	3-F-5-CF ₃ -Ph	oil*
116	O	MeO	CH ₂ ON=C(CN)	3-CF ₃ -Ph	138-141
117	O	MeO	CH ₂ ON=C(Me)	6-Me-2-CF ₃ -thiazolo[2,3-c]-1,2,4-triazol-5-yl	157-160
118	O	MeO	CH ₂ ON=C(Me)	3,5-diF-Ph	103-106
119	O	MeO	CH ₂ ON=C(Me)	3,5-diBr-Ph	139-141
120	O	MeO	CH ₂ ON=C(Me)	2-quinolinyl	168-171
121	O	Cl	CH ₂ ON=C(Me)	3-CF ₃ O-Ph	oil*
184	O	MeO	CH ₂ ON=C(Me)	4-EtO-2-pyrimidinyl	75-78
185	O	MeO	CH ₂ ON=C(<i>c</i> -Pr)	2-thienyl	137-139
186	O	MeO	CH ₂ ON=C(Me)	2-Ph-4-thiazolyl	112-113
187	O	MeO	O	3-[3,5-bis(trifluoromethyl)-phenyl]-1,2,4-thiadiazol-5-yl	139.5-141.5
Ex. 1					
188	O	MeO	CH ₂ ON=C(Me)	6-Br-2-pyridinyl	151-153
189	O	MeO	CH ₂ ON=C(OMe)	Ph	oil*
190	O	MeO	CH ₂ ON=C(Me)	3-Br-Ph	oil*
191	O	MeO	CH ₂ ON=C(Me)	4-CO ₂ Et-2-pyridinyl	133-134
192	O	MeO	CH=NOCH(Me)	3-CF ₃ -Ph	oil*
193	O	Cl	O	3-PhO-Ph	oil*
194	O	MeO	O	3-PhO-Ph	oil*
195	O	MeO	CH ₂ ON=C(Me)	4-CO ₂ Me-2-pyridinyl	150-151.5
196	O	MeO	CH ₂ ON=C(Me)	5-Me-1-Ph-1 <i>H</i> -pyrazol-4-yl	45-49
197	O	MeO	CH ₂ ON=C(Me)	4-CF ₃ -2-pyrimidinyl	103-105
198	O	MeO	CH ₂ ON=C(Me)	3-I-Ph	oil*
199	O	MeO	CH ₂ ON=C(OMe)	2,6-diCl-4-pyridinyl	oil*
200	O	MeO	CH ₂ ON=C(OMe)	3-CF ₃ -Ph	oil*
201	O	F ₂ CHO	direct bond	Me	solid*
202	O	MeO	CH ₂ ON=C(Me)	2-Cl-4-pyrimidinyl	195-200
203	O	Cl	CH ₂ ON=C(OMe)	2,6-diCl-4-pyridinyl	oil*
204 ^a	O	F ₂ CHO	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
205	O	Cl	CH ₂ ON=C(Me)	3,5-diCl-Ph	gum*

206	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-naphthalenyl	91-94
207	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl	50*
208	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5,6,7,8-tetrahydro-2-naphthalenyl	106-109
209	O	MeO	$\text{CH}_2\text{SC}(\text{Et})=\text{N}$	4-Cl-Ph	gum*
210 ^b	O	MeO	$\text{CH}=\text{C}(\text{Cl})\text{C}(=\text{O})\text{O}$	<i>t</i> -Bu	semi-solid*
211	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{CN})\text{C}(=\text{O})$	3-CF ₃ -Ph	gum*
212	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{SMe})$	3,4-diCl-Ph	solid*
213	O	MeO	$\text{C}(=\text{O})$	Ph	126-134
214	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{SO}_2\text{Me})$	3,4-diCl-Ph	semi-solid*
215	O	MeO	$\text{CH}_2\text{SC}(\text{Me})=\text{N}$	3-CF ₃ -Ph	oil*
216	O	MeNH	CH_2O	2,5-diMe-Ph	131-136
217	O	MeNH	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl	about 50*
218	S	CF ₃	direct bond	Me	79-83
219	O	CF ₃	direct bond	Me	73-77
220	O	CF ₃	O	3-PhO-Ph	oil*
221	S	CF ₃	CH_2O	2,5-diMe-Ph	gum*
241	O	MeO	CH_2O	2-CN-2-(3-CF ₃ -Ph)ethenyl	115-118
242	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CF}_3)\text{C}_6\text{H}_{10}$ 		136-138
243	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$	3-CF ₃ -Ph	oil*
244	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)\text{CH}_2\text{S}$	1-CH ₃ -1 <i>H</i> -tetrazol-5-yl	oil*
245	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	2,6-diCl-4-pyridinyl	144-146
246	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)\text{CH}_2\text{S}$	2-benzoxazolyl	oil*
247	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{SCH}_3)$	3,5-diCl-Ph	oil*
249	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$	3,5-diCl-Ph	oil*

250	O	MeO	$\text{CH}_2\text{S}-\text{C}(\text{CH}_2\text{CH}_3)=\text{N}$	3-CF ₃ -Ph	oil*
251	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{H})$	3,5-diCF ₃ -Ph	115-118
252	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	2,6-diCl-4-pyridinyl	oil*
253	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	4-(CO ₂ - <i>t</i> -Bu)-2-pyridinyl	174-175
254	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{OCH}_3)$	3,5-diCl-Ph	oil*
255	O	Cl	O	3-MeO-Ph	oil*
256	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	2,6-diCl-4-pyrimidinyl	139-140
257	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	5,6-diCl-3-pyridinyl	130-132
258 ^c	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	5,6-diCl-3-pyridinyl	112-130
259	O	Cl	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	CH ₃	oil*
260 ^d	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	2,6-diCl-4-pyrimidinyl	93-123
261	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	3-CF ₃ -4-MeO-Ph	112-121
262	O	MeO	$\text{CH}_2\text{O}-\text{N}=\text{C}(\text{CH}_3)$	3-CF ₃ -4-F-Ph	oil*
263	O	MeO	O	5-Ph-1,3,4-oxadiazol-2-yl	130-132
264	O	MeO	O	5-(4-Me-Ph)-1,3,4-oxadiazol-2-yl	150-151
265	O	MeO	O	5-(4-Br-Ph)-1,3,4-oxadiazol-2-yl	solid*
266	O	MeO	O	5-(4-Cl-Ph)-1,3,4-oxadiazol-2-yl	130-132
Ex. 3					
267	O	MeO	O	5-(3-MeO-Ph)-1,3,4-oxadiazol-2-yl	108-111
268	O	MeO	O	5-(3-Me-Ph)-1,3,4-oxadiazol-2-yl	119-121
269	O	MeO	O	5-(4- <i>t</i> -Bu-Ph)-1,3,4-oxadiazol-2-yl	159-161
270	O	MeO	O	5-(3-F-Ph)-1,3,4-oxadiazol-2-yl	105-108
271	O	MeO	O	5-(4-F-Ph)-1,3,4-oxadiazol-2-yl	124-125
272	O	MeO	O	5-(3-Cl-Ph)-1,3,4-oxadiazol-2-yl	130-135
273	O	MeO	O	5-(4-CF ₃ -Ph)-1,3,4-oxadiazol-2-yl	solid*

132

274	O	MeO			101-105
275	O	MeO	O	3-(2-CN-PhO)-Ph	oil*
276	O	MeO	O	3-(2-NO ₂ -PhO)-Ph	oil*
277	O	MeO	O	3-(3-NO ₂ -2-pyridinyl-O)-Ph	oil*
278	O	Cl	CH ₂ O-N=C(CH ₃)	4-CF ₃ -2-pyridinyl	85-86
279	O	MeO	O	5-(3-Br-Ph)-1,3,4-oxadiazol-2-yl	147-157
280	O	MeO	O	3-(3-NO ₂ -Ph)-1,2,4-thiadiazol-5-yl	169-170
281	O	MeO	CH ₂ O-N=C(OCH ₃)	3,5-diCF ₃ -Ph	oil*
282	O	MeO	CH ₂ O-N=C(CH ₃)CH ₂ S	3,5-diCF ₃ -Ph	oil*
283	O	MeO	CH ₂ O-N=C(CH ₃)CH ₂ O	3,5-diCF ₃ -Ph	oil*
284 ^e	O	MeO	CH ₂ O-N=C(CH ₃)	2,8-diCF ₃ -quinolin-4-yl	149-151
285 ^f	O	MeO	CH ₂ O-N=C(CH ₃)	2,8-diCF ₃ -quinolin-4-yl	150-155
286	O	MeO	CH ₂ O-N=C(CH ₃)	5-Br-3-pyridinyl	120-122
287	O	MeO	O	3-(3-Cl-Ph)-1,2,4-thiadiazol-5-yl	121-122
288	O	MeO	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	135-136
289	O	MeO	O	3-(4-Me-Ph)-1,2,4-thiadiazol-5-yl	139-142
290	O	MeO	O	3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl	137
291	O	MeO	O	3-(3-Me-Ph)-1,2,4-thiadiazol-5-yl	125-126
292	O	MeO	O	3-(3,4-diF-Ph)-1,2,4-thiadiazol-5-yl	140-141
293	O	MeO	O	3-(3-Cl-4-Me-Ph)-1,2,4-thiadiazol-5-yl	113-115
294	O	MeO	O	3-(3,5-diBr-4-MeO-Ph)-1,2,4-thiadiazol-5-yl	178-179

295	O	MeO	O	3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl	156-158
296	O	MeO	O	3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl	142-144
297	O	MeO	O	3-(4-NO ₂ -Ph)-1,2,4-thiadiazol-5-yl	192-193
298 ^g	O	MeO	CH ₂ O-N=C(SCH ₃)	3-CF ₃ -Ph	oil*
299	O	MeO	CH ₂ O-N=C(CH ₃)CH ₂ S	2-benzothiazolyl	oil*
300	O	MeO	CH ₂ O-N=C(OCH ₃)	2-naphthalenyl	oil*
301	O	MeO	O	3-(4-CN-PhO)-Ph	oil*
302	O	MeO	O	3-(4-NO ₂ -PhO)-Ph	oil*
303	O	MeO	O	3-F-2-NO ₂ -Ph	118-120
304	O	MeO	O	6-(3-CF ₃ -Ph)-pyrimidin-4-yl	123-126
305	O	MeO	O	4-CF ₃ O-Ph	oil*
306	O	Cl	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	48-51
307	O	MeO	CH ₂ O-N=C(CH ₃)	6-MeO-pyridin-3-yl	oil*
308	O	MeO	CH ₂ O-N=C(CH ₃)CH ₂ S	3,5-diCl-Ph	oil*
309	O	MeO	O	3-(4-Cl-3-F-Ph)-1,2,4-thiadiazol-5-yl	137-138
310	O	MeO	O	3-(3-MeO-Ph)-1,2,4-thiadiazol-5-yl	97-98
311	O	MeO	O	3-(4-F-Ph)-1,2,4-thiadiazol-5-yl	*
312	O	MeO	O	5-(3,4-diCl-Ph)-1,3,4-oxadiazol-2-yl	152-155
313	O	MeO	O	6-(3,5-diCF ₃ -Ph)-pyrimidin-4-yl	168-170
314	O	MeO	O	3-(2-pyridinyl-O)-Ph	oil*
315	O	MeO	O	3-(2-pyrimidinyl-O)-Ph	oil*
316	O	MeO	O	6-(4-Me-PhO)-pyrimidin-4-yl	oil*
317	O	MeO	O	6-Cl-pyrazin-2-yl	135-137

Ex. 15

318	O	Cl	CH ₂ S	5,7-diMe-6-Ph- [1,2,4]triazolo[1,5- a]pyrimidin-2-yl	121-124
319	O	MeO	CH ₂ S	5,7-diMe-6-Ph- [1,2,4]triazolo[1,5- a]pyrimidin-2-yl	155-160
320	O	MeO	O	3-(4-Ph-Ph)-1,2,4- thiadiazol-5-yl	159-161
321	O	MeO	O	3-(3-CF ₃ -Ph)-1,2,4- thiadiazol-5-yl	122-123
322	O	MeO	O	3-(4- <i>t</i> -Bu-Ph)-1,2,4- thiadiazol-5-yl	174-175
323	O	MeO	O	3-(3-Br-Ph)-1,2,4- thiadiazol-5-yl	137-139
324	O	MeO	O	3-(3-Br-4-MeO-Ph)-1,2,4- thiadiazol-5-yl	161-162
325	O	MeO	O	3-(4-F-3-CF ₃ -Ph)-1,2,4- thiadiazol-5-yl	164-165
326	O	MeO	O	3-(4-Br-3-Me-Ph)-1,2,4- thiadiazol-5-yl	160-162
327	O	MeO	O	5-(4-MeO-Ph)-1,3,4- oxadiazol-2-yl	180-181
328	O	MeO	O	5-(4-Ph-Ph)-1,3,4- oxadiazol-2-yl	179-180
329	O	MeO	O	3-(3,5-diCl-Ph)-1,2,4- thiadiazol-5-yl	159-160
330	O	MeO	O	5-(3,5-diCF ₃ -Ph)-1,3,4- oxadiazol-2-yl	175-176
331	O	MeO	O	5-(2-F-Ph)-1,3,4- oxadiazol-2-yl	139-140
332	O	MeO	O	5-(2-Cl-Ph)-1,3,4- oxadiazol-2-yl	139-140
333	O	MeO	O	5-(2,4-diCl-Ph)-1,3,4- oxadiazol-2-yl	181-182
334	O	MeO	O	3-(4-MeS-Ph)-1,2,4- thiadiazol-5-yl	solid*

335	O	MeO	O	3-(3-F-Ph)-1,2,4-thiadiazol-5-yl	116-118
336	O	MeO	O	3-CF ₃ -Ph	solid*
337	O	MeO	O	5-(4-Cl-Ph)-1,3,4-thiadiazol-2-yl	solid*
Ex. 18					
338	O	MeO	O	6-F-pyridin-2-yl	175-178
339	O	MeO	O	6-(3-Me-PhO)-pyrimidin-4-yl	oil*
340	O	MeO	CH ₂	3-(4-Cl-Ph)-1 <i>H</i> -pyrazol-1-yl	159-163
341	O	MeO	O	3-(4-Cl-Ph)-1,2,4-thiadiazol-5-yl	126-127
342	O	MeO	O	3-(4-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	solid*
343	O	MeO	O	3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	112-113
Ex. 2					
344	O	MeO	O	3-(4-HCF ₂ O-Ph)-1,2,4-thiadiazol-5-yl	solid*
345	O	MeO	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	110-111
Ex. 14					
346	O	MeO	CH ₂ O-N=C(CH ₃)	4-(CF ₃ CH ₂ O)-3-CF ₃ -Ph	oil*
347	O	MeO	CH ₂ O-N=C(OCH ₃)	3-Br-Ph	oil*
348	O	MeO	O	6-(4-CF ₃ -Ph)-pyrimidin-4-yl	163-165
349	O	MeO	O	3-(2-CHO-PhO)-Ph	106-108
350	O	MeO	O	3-(2-Me-PhO)-2-NO ₂ -Ph	131-133
351	O	MeO	O	5-NO ₂ -6-PhO-pyridin-2-yl	127-130
352	O	MeO	O	3-(2-Me-PhO)-Ph	oil*
353	O	MeO	O	3- <i>c</i> -Pr-1,2,4-thiadiazol-5-yl	*
354	O	MeO	O	3- <i>c</i> -pentyl-1,2,4-thiadiazol-5-yl	*
355	O	Cl	CH ₂	3-(4-Cl-Ph)-1 <i>H</i> -pyrazol-1-yl	*

356	O	MeO	O	4-(4-Cl-Ph)-1,2,5-thiadiazol-3-yl	*
357	O	MeO	OCH ₂	2-Cl-5-thiazolyl	*
358	O	MeO	O	6-(4-CF ₃ -Ph)-2-pyrazinyl	145-148
Ex. 16					
359	O	MeO	CH ₂ O	5-CF ₃ -2-pyridinyl	128-130
360	O	MeO	CH ₂ O-N=C(CH ₃)	3-[<i>t</i> -BuOC(=O)]-Ph	gum*
361	O	MeO	O	6-(3,5-diCF ₃ -Ph)-2-pyrazinyl	173-174
362	O	MeO	O	6-(2,4-diCl-Ph)-4-pyrimidinyl	170-175
363	O	Cl	O	3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	*
364	O	Cl	O	3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl	*
365	O	Cl	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	149-150
366	O	Cl	O	3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl	158-159
367	O	Cl	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	*
368	O	Cl	O	3-(4- <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl	*
369	O	Cl	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	*
370	O	MeO	O	6-PhO-2-pyridinyl	oil*
371	O	MeO	O	3-(4-Me-PhO)-2-NO ₂ -Ph	150-152
372	O	MeO	O	3-(2-CO ₂ Me-6-NO ₂ -PhO)-Ph	oil*
373	O	MeO	CH ₂ O-N=C(SCH ₃)	3,5-diCF ₃ -Ph	solid*
374	O	MeO	CH ₂ O-N=C(CH ₃)	4-Me ₃ Si-benzyl	oil*
375	O	Cl	CH ₂ O-N=C(CH ₃)	4-Me ₃ Si-benzyl	oil*
376	O	MeO	O	3-(3-CN-2-pyridinyl-O)-Ph	132-134
377	O	MeO	O	6-Cl-3-NO ₂ -2-pyridinyl	146-151
378	O	MeO	O	3-(3,5-diCF ₃ -Ph)-Ph	52-57

379	O	MeO	CH ₂ O-N=C(CH ₃)	4-(CO ₂ - <i>n</i> -Bu)-2-pyridinyl	106-108
380	O	MeO	CH ₂ O-N=C(CH ₃)	4-(CO ₂ - <i>i</i> -Bu)-2-pyridinyl	147-149
381	O	MeO	O	5-(3-Br-Ph)-1,3,4-thiadiazol-2-yl	oil*
382	O	MeO	O	3-(6-Cl-5-NO ₂ -4-pyrimidinyl-O)-Ph	70-74
383	O	Cl	O	2-naphthalenyl	147-150
384	O	MeO	O	2-naphthalenyl	oil*
385	O	MeO	O	3-I-Ph	126-128
386	O	MeO	O	3-(4-Me-Ph-O)-Ph	oil*
387	O	MeO	O	3-(2-CO ₂ Me-Ph-O)-Ph	oil*
388	O	MeO	O	3-(2,6-diCN-Ph-O)-Ph	65-68
389	O	MeO	O	3-(3-Me-Ph-O)-Ph	oil*
390	O	MeO	O	4-(3-Cl-Ph)-1,2,5-thiadiazol-3-yl	*
391	O	MeO	CH ₂	3-(3-Cl-Ph)-1 <i>H</i> -pyrazol-1-yl	*
392	O	MeO	O	6-Cl-2-benzothiazolyl	solid*
393	O	MeO	O	5-MeSO ₂ -1,3,4-oxadiazol-2-yl	*
394	O	Cl	O	5-MeSO ₂ -1,3,4-oxadiazol-2-yl	*
395	O	Cl	O	3-(4-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	*
396	O	MeO	O	5-(2-Br-Ph)-1,3,4-thiadiazol-2-yl	*
397	O	MeO	O	5-(2-Cl-Ph)-1,3,4-thiadiazol-2-yl	*
398	O	MeO	CH ₂ S	5-Ph-2-benzoxazolyl	55
399	O	MeO	OCH ₂	5,7-diCl-2-benzoxazolyl	173-175
400	O	MeO	CH ₂ O-N=C(OCH ₃)	3-Br-5-I-Ph	oil*
401	O	MeO	CH ₂ O-N=C(OCH ₃)	3-F-5-CF ₃ -Ph	oil*
402	O	MeO	CH ₂ S	5-Cl-2-benzothiazolyl	solid*
403	O	MeO	O	4-Cl-2-benzothiazolyl	178-181
404	O	MeO	O	4-(3-CF ₃ -Ph)-2-pyrimidinyl	50

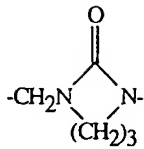
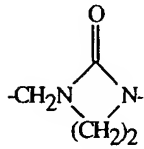
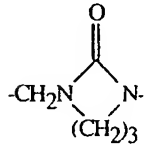
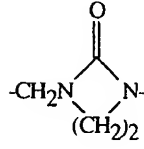
405	O	MeO	OCH ₂	5-(3-CF ₃ -Ph)-1,2,4-oxadiazol-3-yl	148-150
406	O	MeO	OCH ₂	2-(4-Cl-Ph)-4-thiazolyl	*
407	O	MeO	O	6-Cl-2-pyridinyl	161-163
408	O	MeO	O	3-(4-CF ₃ -Ph)-Ph	149-152
409	O	MeO	O	6-(3,5-diCF ₃ -Ph)-2-pyridinyl	176-178
410	O	MeO	O	5-(2-F-Ph)-1,3,4-thiadiazol-2-yl	oil*
411	O	MeO	O	5-(4-Br-Ph)-1,3,4-thiadiazol-2-yl	solid*
412	O	MeO	O	5-(4- <i>t</i> -Bu-Ph)-1,3,4-thiadiazol-2-yl	solid*
413	O	MeO	O	5-Br-4-(3,4-diF-Ph)-2-thiazolyl	155-157
414	O	MeO	O	3-(3,5-diCl-Ph)-Ph	145-147
415	O	MeO	O	3-(4-F-PhO)-Ph	oil*
416	O	MeO	O	3-(4-F-PhO)-2-NO ₂ -Ph	105-108
417	O	MeO	O	6-(2-Me-PhO)-2-pyridinyl	oil*
418	O	MeO	O	3-(2-F-PhO)-Ph	oil*
419	O	MeO	O	3-(4-NO ₂ -2-CF ₃ -PhO)-Ph	oil*
420	O	MeO	O	3-(2-MeO-PhO)-Ph	oil*
421	O	MeO	O	3-(2-MeO-PhO)-2-NO ₂ -Ph	oil*
422	O	MeO	O	3-(3-NO ₂ -2-thienyl-O)-Ph	oil*
423	O	MeO	O	3-(2-CF ₃ -PhO)-Ph	oil*
424	O	MeO	O	3-(2,6-diMe-PhO)-Ph	oil*
425	O	MeO	O	5-(3,5-diCl-Ph)-1,3,4-thiadiazol-2-yl	*
426	O	MeO	O	2-Cl-4-pyrimidinyl	156-158
427	O	Cl	O	3-(4-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	*
428	O	MeO	O	5-(3-Cl-Ph)-1,3,4-thiadiazol-2-yl	*
429	O	MeO	O	2-(3,5-diCF ₃ -Ph)-4-pyrimidinyl	107-113

430	O	MeO	CH ₂ O	3-Ph-Ph	gum*
431	O	MeO	O	5-Cl-2-pyrimidinyl	171-173
432	O	MeO	OCH ₂	5-Ph-2-oxazolyl	150-152
433	O	MeO	CH=N-N(CH ₃)	3-CF ₃ -2-pyridinyl	185-187
434	O	MeO	CH=N-N(CH ₃)	4-CF ₃ -2-pyridinyl	169-171
435	O	Cl	CH ₂ S	4,5-dihydro-3-Ph-1,2,4-triazin-6-yl	79-95
436	O	MeO	CH ₂ S	3-(3,5-diCl-Ph)-4,5-dihydro-1,2,4-triazin-6-yl	174-179
437	O	MeO	CH ₂ S	4,5-dihydro-3-(3-CF ₃ -Ph)-1,2,4-triazin-6-yl	63-72
438	O	MeO	O	6-(4-CF ₃ -Ph)-2-pyridinyl	75-85
439	O	MeO	O	3-(3-CF ₃ -Ph)-Ph	43-45
440	O	MeO	O	3-(4-CN-Ph)-Ph	170-171
441	O	MeO	CH ₂ O-N=C(CH ₃)	4-Br-2-pyridinyl	96-99
442	O	MeO	CH ₂ O-N=C(OCH ₃)	3-CHCl ₂ -Ph	oil*
443	O	MeO	O	4-(3,5-diCF ₃ -Ph)-2-pyrimidinyl	157-159
444	O	MeO	CH ₂ O	2-Me-5- <i>i</i> -Pr-Ph	84-86
445	O	MeO	O	5-Br-2-thiazolyl	153-156
446	O	MeO	O	5-(3-CF ₃ -Ph)-2-thiazolyl	124-127
447	O	MeO	O	3-(2-Br-PhO)-Ph	oil*
448	O	MeO	O	3-(2-Et-PhO)-Ph	oil*
449	O	MeO	O	3-Br-1,2,4-thiadiazol-5-yl	*
450	O	MeO	CH ₂ O	2-Cl-5-CF ₃ -Ph	143-149
451	O	MeO	O	5-(3-CF ₃ -Ph)-2-pyrimidinyl	145-147
452	O	MeO	O	3-(3-thienyl)-1,2,4-thiadiazol-5-yl	solid*
453	O	MeO	O	3-(2-thienyl)-1,2,4-thiadiazol-5-yl	solid*
454	O	MeO	O	5-(2,4-diCl-Ph)-1,3,4-thiadiazol-2-yl	*
455	O	MeO	O	5-(3,5-diCF ₃ -Ph)-1,3,4-thiadiazol-2-yl	*

456	O	MeO	O	6-(4-CN-Ph)-4-pyrimidinyl	149-151
457	O	MeO	O	6-(3-CF ₃ -Ph)-2-pyrazinyl	118-121
458	O	MeO	O	6-(4-CN-Ph)-2-pyrazinyl	195-199
Ex. 17					
459	O	MeO	O	6-(3-Cl-4-F-Ph)-2-pyrazinyl	147-149
460	O	MeO	CH ₂ O-N=C(CH ₃)	4-(C≡CH)-2-pyridinyl	99-102
461	O	MeO	O	5-Br-2-pyrimidinyl	172-174
462	O	MeO	O	3-(5-Br-2-thienyl)-1,2,4-thiadiazol-5-yl	*
Ex. 12					
463	O	MeO	CH ₂ S	3-(3-Cl-Ph)-4,5-dihydro-5-Me-1,2,4-triazin-6-yl	65-78
464	O	Cl	CH ₂ S	3-(3-Cl-Ph)-4,5-dihydro-5-Me-1,2,4-triazin-6-yl	171-172
465	O	Cl	CH ₂ S	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	108-111
466	O	MeO	O	5-(4-CF ₃ -Ph)-1,3,4-thiadiazol-2-yl	*
467	O	MeO	O	5-Br-4-(3-CF ₃ -Ph)-2-thiazolyl	gum*
Ex. 19					
468	O	MeO	O	7-MeO-2-naphthalenyl	oil*
469	O	MeO	O	3-(2-CN-3-F-PhO)-Ph	oil*
470	O	MeO	O	3-(2-CN-6-F-PhO)-Ph	oil*
471	O	MeO	O	3-(2,6-diNO ₂ -PhO)-Ph	oil*
472	O	MeO	O	3-(2,5-diF-PhO)-Ph	oil*
473	O	MeO	O	3-(2,5-diMe-PhO)-Ph	oil*
474	O	MeO	O	3-(2,5-diCl-3-thienyl)-1,2,4-thiadiazol-5-yl	144-147
Ex. 13					
475	O	MeO	O	3-(4-I-Ph)-1,2,4-thiadiazol-5-yl	167-168
476	O	MeO	O	3-(6-Cl-3-pyridinyl)-1,2,4-thiadiazol-5-yl	169-170
477	O	MeO	O	3-(3-I-Ph)-1,2,4-thiadiazol-5-yl	171-172

478	O	MeO	O	4-(3-CF ₃ -Ph)-2-thiazolyl	116-118
Ex. 20					
479	O	MeO	CH ₂ O-N=C(CH ₃)	3,4-dihydro-4,4-diMe-2H-1-benzothiopyran-6-yl	oil*
480	O	MeO	CH ₂ O-N=C(CH ₃)	3,4-dihydro-2H-1-benzothiopyran-7-yl	oil*
481	O	Cl	CH ₂ O-N=C(CH ₃)	3,4-dihydro-4,4-diMe-2H-1-benzothiopyran-6-yl	oil*
482	O	Cl	CH ₂ O-N=C(CH ₃)	3,4-dihydro-2H-1-benzothiopyran-7-yl	oil*
483	O	MeO	CH ₂ O-N=C(CH ₃)	3-(CF ₃ CH ₂ O)-Ph	gum*
484	O	MeO	CH ₂ O-N=C(NH ₂)	3,5-diCF ₃ -Ph	177-178
485	O	MeO	O	3-(4,5-diCl-2-thienyl)-1,2,4-thiadiazol-5-yl	solid*
486	O	MeO	CH ₂ S	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	193-195
487	O	MeO	CH ₂ S	3-(3-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	139-140
488	O	MeO	O	3-(3,4,5-triCl-2-thienyl)-1,2,4-thiadiazol-5-yl	175-177
489	O	MeO	O	3-(5-Cl-2-thienyl)-1,2,4-thiadiazol-5-yl	130-131
490	O	MeO	O	3-[3-(PhC≡C)-Ph]-1,2,4-thiadiazol-5-yl	*
491	O	MeO	O	3-[3-(Me ₃ SiC≡C)-Ph]-1,2,4-thiadiazol-5-yl	133-134
Ex. 6					
492	O	MeO	O	3-[3-(EtOC≡C)-Ph]-1,2,4-thiadiazol-5-yl	solid*
493	O	MeO	O	3-[3-(4-F-PhC≡C)-Ph]-1,2,4-thiadiazol-5-yl	solid*
494	O	MeO	O	3-[3-(2-pyridinyl-C≡C)-Ph]-1,2,4-thiadiazol-5-yl	solid*
495	O	MeO	O	3-[3-(tetrahydropyran-2-yl-OCH ₂ -C≡C)-Ph]-1,2,4-thiadiazol-5-yl	solid*

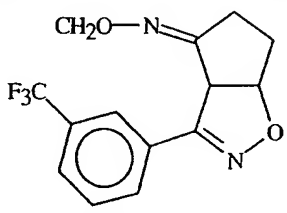
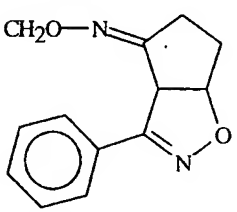
496	O	MeO	O	3-[3-(<i>t</i> -Bu-C≡C)-Ph]- 1,2,4-thiadiazol-5-yl	130-131
497	O	MeO	O	3-(3-CHO-Ph)-1,2,4- thiadiazol-5-yl	*
498	O	MeO	O	3-(2,5-diCl-PhO)-Ph	110-112
499	O	MeO	O	3-(3,5-diCl-PhO)-Ph	oil*
500	O	MeO	CH ₂ O	3-(4-CF ₃ -Ph)-1,2,4- thiadiazol-5-yl	107-111
501	O	MeO	CH ₂ O	3-(3,5-diCF ₃ -Ph)-1,2,4- thiadiazol-5-yl	132-136
502	O	MeO	CH ₂ O	2-(3-Cl-4-MeO-Ph)-5-Me- 4-thiazolyl	172-175
503	O	MeO	O	3-(3-CF ₃ -PhO)-Ph	oil*
504	O	MeO	O	3-(3-F-PhO)-Ph	oil*
505	O	MeO	O	3-(2,3-diF-PhO)-Ph	oil*
506	O	MeO	O	3-(2,4-diF-PhO)-Ph	oil*
507	O	MeO	O	3-(3-HC≡C-Ph)-1,2,4- thiadiazol-5-yl	177-178
Ex. 7					
508	O	MeO	O	3-(6-CF ₃ CH ₂ O-3- pyridinyl)-1,2,4- thiadiazol-5-yl	solid*
509	O	MeO	O	6-(4-Cl-Ph)-2-pyrazinyl	156-158
510	O	MeO	O	6-(4-F-Ph)-2-pyrazinyl	151-153
511	O	MeO	O	6-Ph-2-pyrazinyl	135-136
512	O	MeO	CH ₂ O-N=C(CH ₃)	3-Et-Ph	81-85
513	O	MeO	CH ₂ S	3-(3,5-diCF ₃ -Ph)-1,2,4- thiadiazol-5-yl	157-159
514	O	MeO	O	6-(4-Cl-Ph)-4-pyrimidinyl	115-120
515	O	MeO	O	5-Me-4-(3-CF ₃ -Ph)-2- thiazolyl	oil*
Ex. 21					
516	O	MeO	O	6-(4-CO ₂ Et-Ph)-2- pyrazinyl	119-127
517	O	MeO	O	3-(5-Br-3-pyridinyl)- 1,2,4-thiadiazol-5-yl	188-189
518	O	MeO	O	3-(2,6-diCl-4-pyridinyl)- 1,2,4-thiadiazol-5-yl	148-149

519	O	MeO	O	4-Cl-5-CN-2-thiazolyl	119-122
520	O	MeO	O	3-(2-furanyl)-1,2,4-thiadiazol-5-yl	107-108
Ex. 11					
521	O	Cl		2-thiazolyl	95-100
522	O	Cl		3-CF ₃ -Ph	125-130
523	O	MeO		2-thiazolyl	166-170
524	O	MeO		3-CF ₃ -Ph	130-135
525	O	MeO	CH ₂ O	1,6-diBr-2-naphthalenyl	189-191
526	O	MeO	O	3-(5-Br-2-furanyl)-1,2,4-thiadiazol-5-yl	solid*
527	O	MeO	O	3-[3-PhC(=O)O-Ph]-1,2,4-thiadiazol-5-yl	*
Ex. 8					
528	O	MeO	O	3-(3-HO-Ph)-1,2,4-thiadiazol-5-yl	solid*
Ex. 9					
529	O	MeO	O	6-Ph-4-pyrimidinyl	123-125
530	O	MeO	O	3-(<i>t</i> -Bu-C≡C)-1,2,4-thiadiazol-5-yl	*
Ex. 5					
531	O	MeO	O	3-(3-Et ₃ SiO-Ph)-1,2,4-thiadiazol-5-yl	*
532	O	MeO	O	3-[3-(<i>t</i> -BuMe ₂ SiO)-Ph]-1,2,4-thiadiazol-5-yl	*
533	O	MeO	O	3-[3-Cl ₃ CCH ₂ OC(=O)O-Ph]-1,2,4-thiadiazol-5-yl	*

534	O	MeO	O	3-[3-MeCHClOC(=O)O-Ph]-1,2,4-thiadiazol-5-yl	*
535	O	MeO	O	3-[3-[CH ₂ =CHOC(=O)O-Ph]-1,2,4-thiadiazol-5-yl	*
536	O	MeO	O	3-[3-[<i>t</i> -BuC(=O)O]-Ph]-1,2,4-thiadiazol-5-yl	*
537	O	MeO	O	3-[3-[Me ₃ Si(CH ₂) ₂ OCH ₂ O]-Ph]-1,2,4-thiadiazol-5-yl	*
538	O	MeO	O	3-[3-CF ₃ S(O) ₂ O-Ph]-1,2,4-thiadiazol-5-yl	solid*
Ex. 10					
539	O	MeO	O	3-(2,5-diBr-3-thienyl)-1,2,4-thiadiazol-5-yl	solid*
540	O	MeO	O	3-(3-Cl-benzyl)-1,2,4-thiadiazol-5-yl	solid*
541	O	MeO	O	3-(4-Cl-benzyl)-1,2,4-thiadiazol-5-yl	solid*
542	O	MeO	O	6-(4-F-Ph)-4-pyrimidinyl	65-70
543	O	MeO	CH ₂ S	3-(3,5-diCl-Ph)-5-Me-1,2,4-triazin-6-yl	196-198
544	O	MeO	CH ₂ S	4,5-dihydro-5-Me-3-Ph-1,2,4-triazin-6-yl	66-68
545	O	MeO	CH ₂ S	5-Me-3-Ph-1,2,4-triazin-6-yl	168-171
546	O	MeO	CH ₂ S	5-Me-3-(3-CF ₃ -Ph)-1,2,4-triazin-6-yl	64-66
547	O	MeO	O	3-(3-Me ₃ SiO-Ph)-1,2,4-thiadiazol-5-yl	*
548	O	MeO	O	3-[3-(CH ₂ =CHCH ₂ O)-Ph]-1,2,4-thiadiazol-5-yl	*

549	O	MeO	O	3-[3-(CH ₂ =CBrCH ₂ O)-Ph]-1,2,4-thiadiazol-5-yl	*
550	O	MeO	O	5-Br-4-(3,5-diCl-Ph)-2-thiazolyl	153-155
551	O	MeO	CH ₂ S	3-(3-Cl-Ph)-5-Me-1,2,4-triazin-6-yl	117-119
552	O	MeO	CH ₂ O-N=C(CH ₃)	<i>t</i> -Bu	96-98
553	O	MeO	CH ₂ O	CF ₃ CH ₂	oil*
554	O	MeO	O	4-(3,5-diCl-Ph)-2-thiazolyl	solid*
555	O	MeO	O	4-(3,5-diCl-Ph)-5-Me-2-thiazolyl	60
556	O	MeO	O	3-[3-(CH ₂ =CH)-Ph]-1,2,4-thiadiazol-5-yl	*
557	O	MeO	O	3-[4-(4-F-PhC≡C)-Ph]-1,2,4-thiadiazol-5-yl	154-157
558	O	MeO	O	5-CN-2-Ph-4-thiazolyl	144-147
559	O	MeO	O	3-Et-7-CF ₃ -2-quinoxaliny	138-141
560	O	MeO	CH ₂ O	3-Et-7-CF ₃ -2-quinoxaliny	155-157
561	O	MeO	O	6-(4-CO ₂ Et-Ph)-4-pyrimidinyl	147-149
562	O	MeO	O	5-Cl-4-(3-CF ₃ -Ph)-2-thiazolyl	gum*
563	O	MeO	O	5-CN-4-Et ₂ N-2-thiazolyl	solid*
564	O	MeO	O	5-Et-4-(3-CF ₃ -Ph)-2-thiazolyl	gum*
565 ^h	O	MeO	CH ₂ O-N=C(CH ₃)	3-CF ₃ -Ph	84-87
566	O	MeO	CH ₂ O-N=C(OCH ₃)	4-CF ₃ -2-pyridinyl	solid*
567	O	MeO	O	3-[4-(HC≡C)-Ph]-1,2,4-thiadiazol-5-yl	*
568	O	MeO	O	3-[4-(Me ₃ SiC≡C)-Ph]-1,2,4-thiadiazol-5-yl	*

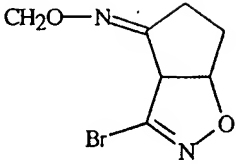
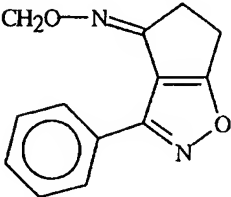
569	O	MeO	O	3-I-1,2,4-thiadiazol-5-yl	solid*
Ex. 4					
570	O	MeO	CH ₂ O-N=C(CH ₃)	4-MeS-Ph	oil*
571	O	MeO	CH ₂ S-C(SCH ₃)=N	3-CF ₃ -Ph	oil*
572	O	MeO	O	3-[3-(Br ₂ C=CH)-Ph]- 1,2,4-thiadiazol-5-yl	*
573	O	MeO	O	3-[3,5-bis- [Me ₃ Si(CH ₂) ₂ OCH ₂ O] -Ph]-1,2,4-thiadiazol-5- yl	*
574	O	MeO	direct bond	3-(3-CF ₃ -Ph)-1,2,4- oxadiazol-5-yl	114-115
575	O	MeO	direct bond	5- <i>t</i> -Bu-1,3-benzodioxol-2- yl	oil*
576	O	MeO	CH ₂ O	1-(2,4-diCl-Ph)-3-Me-1 <i>H</i> - pyrazol-4-yl	glass*
577	O	MeO	O	3-[3,5-bis-[CF ₃ CH ₂ O]- Ph]-1,2,4-thiadiazol-5- yl	*
578	O	MeO	CH ₂ O	8-Br-3-Me-6-CF ₃ -2- quinoxaliny	180-184
579	O	MeO	O	8-Br-3-Me-6-CF ₃ -2- quinoxaliny	157-159
580	O	MeO	CH ₂ S-C(SCH ₃)=N	4-Br-Ph	oil*
581	O	MeO	CH ₂ S-C(SCH ₃)=N	3,5-diCl-Ph	oil*
582	O	Cl	CH ₂	3-(3,5-diCF ₃ -Ph)-1,2,4- oxadiazol-5-yl	94-103
645	O	MeNH	CH ₂ ON=C(CH ₃)	3-Me ₃ Si-Ph	gum*
646	O	MeNH	CH ₂ ON=C(CH ₃)	3,5-diCF ₃ -Ph	140-143
647	S	CF ₃	CH ₂ ON=C(CH ₃)	3-CF ₃ -Ph	128-131
648	O	CF ₃	CH ₂ O	2,5-diCH ₃ -Ph	162-165
649	O	H	CH ₂ ON=C(CH ₃)	3,5-diCl-Ph	gum*
650	O	MeNH	CH ₂ ON=C(CH ₃)	3,5-bis(Me ₃ Si)-Ph	gum*
651	O	Et	CH ₂ ON=C(CH ₃)	3-CF ₃ -Ph	102-106
652	O	Me ₂ N	CH ₂ ON=C(CH ₃)	3-Me ₃ Si-Ph	oil*
653	O	Me	CH ₂ ON=C(CH ₃)	3-CF ₃ -Ph	oil*

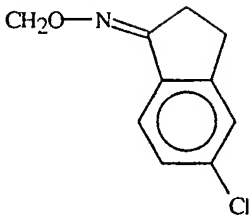
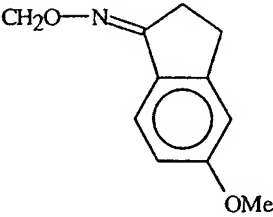
654	O	H	direct bond	CH ₃	solid*
655	O	Et	direct bond	CH ₃	oil*
739	O	CH ₂ =C=CH-O	CH ₂ ON=C(Me)	3,5-diCF ₃ -Ph	oil*
740	O	MeO	O	3-(4-Br-Ph)-Ph	60-65
741	O	MeO	CH ₂ OC(SMe)=N	3-CF ₃ -Ph	49-50
742	O	MeO	CH ₂ OC(SMe)=N	3,5-diCl-Ph	123-125
743	O	Cl	CH ₂ ON=C(Me)C(Me)=NO	Me	*
744	O	MeO	CH ₂ ON=C(Me)C(Me)=NO	Me	*
745	O	MeO	O	3-(4-CO ₂ Et-Ph)-Ph	108-109
746	O	MeO	O	3-(4-CO ₂ H-Ph)-Ph	172-174
747	O	MeO	CH ₂ SC(SMe)=N	(CH ₃) ₃ CCH ₂ CH ₂	*
748	O	MeO	O	4- <i>t</i> -Bu-5-Br-2-thiazolyl	101-105
749	O	MeO	O	4-(3,5-diCF ₃ -Ph)-5-Br-2-thiazolyl	116-118
750	O	MeO	O	4-(3,5-diCF ₃ -Ph)-2-thiazolyl	127-128
751	O	MeO	CH ₂ OC(SMe)=N	4-Cl-Ph	49-50
752	O	MeO	CH ₂ OC(SMe)=N	3-Cl-Ph	82-84
753	O	MeO	O	4- <i>t</i> -Bu-2-thiazolyl	96-98
754	O	MeO	CH ₂ O	Me	71-73
755	O	MeO			49-59
756	O	MeO			53-63
757 ^f	O	MeO	CH ₂ ON=C(Me)	4-Ph-3-furazanyl N-oxide	145-147
758 ^e	O	MeO	CH ₂ ON=C(Me)	4-Ph-3-furazanyl N-oxide	*
759	O	MeO	O	3-(4-CONH ₂ -Ph)-Ph	*
760	O	Cl	CH ₂ S	5-Ph-1,2,4-triazin-3-yl	*
761	O	MeO	CH ₂ SC(SMe)=N	2-Me-Ph	*

762	O	MeO	CH ₂ SC(SMe)=N	3-Me-Ph	*
763	O	MeO	CH ₂ SC(SMe)=N	3-Br-Ph	*
764	O	MeO	CH ₂ OC(=O)NMe	4-Cl-Ph	115-117
765	O	MeO	O	3-(4-CONMe ₂ -Ph)-Ph	52-56
766	O	MeO	O	3-(4-CONHMe-Ph)-Ph	87-92
767	O	MeO	O	4-(CF ₃ CH ₂ O)-2-pyrimidinyl	*
768	O	MeO	CH ₂ SC(SMe)=N	2-Cl-Ph	*
769	O	MeO	CH ₂ SC(SMe)=N	4-OMe-Ph	*
770	O	MeO	CH(Me)ON=C(Me)	3-CF ₃ -Ph	149-152
771	O	MeO	direct bond	5-Ph-1,3-benzodioxol-2-yl	oil*
772	O	MeO	OCH ₂	4- <i>t</i> -Bu-Ph	glass*
773	O	Cl	S	4,6-diCl-2-benzothiazolyl	foam*
774	O	MeO	CH ₂ OC(SMe)=N	<i>t</i> -Bu	*
775	O	MeO	CH ₂ ON=C(Me)	3-MeS-Ph	*
776	O	MeO	CH ₂ ON=C(CH ₂ Cl)	3-CF ₃ -Ph	*
777	O	MeO	O	2-Cl-4-pyrimidinyl	148-150
778	O	MeO	O	6-(CF ₃ CH ₂ O)-4-pyrimidinyl	*
779	O	MeO	CH ₂ ON=C(Me)	3-MeS(=O)-Ph	*
780	O	MeO	CH ₂ ON=C(Me)	3-MeSO ₂ -Ph	*
781	O	MeO	CH ₂ ON=C(Me)	3-Ph-5-isoxazolyl	47-51
782 ⁱ	O	MeO	CH ₂ ON=C(Me)	3-Ph-5-isoxazolyl	141-144
783	O	MeO	CH ₂ ON=C(Me)	3-(3-CF ₃ -Ph)-5-isoxazolyl	47-51
784 ^j	O	MeO	CH ₂ ON=C(Me)	3-(3-CF ₃ -Ph)-5-isoxazolyl	131-133
785	O	MeO	O	3-(3-CN-Ph)-1,2,4-thiadiazol-5-yl	128-132
786	O	MeO	O	3-(4-CN-Ph)-1,2,4-thiadiazol-5-yl	155-157
787	O	MeO	O	3-(3-CO ₂ Me-Ph)-1,2,4-thiadiazol-5-yl	133-136
788	O	MeO	O	4- <i>t</i> -Bu-5-Me-2-thiazolyl	101-103
789	O	MeO	CH ₂ ON=C(Me)	3-(4-F-Ph)-5-isoxazolyl	122-140
790 ^k	O	MeO	CH ₂ ON=C(Me)	3-(4-F-Ph)-5-isoxazolyl	147-148
791	O	MeO	O	3-(3-CO ₂ - <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl	*

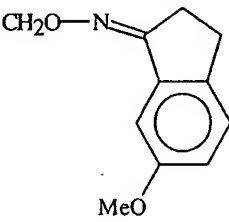
792	O	MeO	CH ₂ O	1-(3-CF ₃ -Ph)-3-Me-1 <i>H</i> -pyrazol-4-yl	*
793	O	MeO	O	4- <i>t</i> -Bu-5-Cl-2-thiazolyl	115-117
794	O	MeO	O	3- <i>t</i> -BuO-1,2,4-thiadiazol-5-yl	*
795	O	MeO	O	3-(4-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl	131-132
796	O	MeO	O	3-(3,5-diCF ₃ -Ph)-1,2,4-oxadiazol-5-yl	119-120
797	O	MeO	O	4-(CF ₃ CH ₂ O)-2-pyrimidinyl	*
798	O	MeO	O	6-(CF ₃ CH ₂ O)-2-pyrazinyl	96-98
799	O	MeO	O	6-(2-Cl-PhO)-4-pyrimidinyl	oil*
800	O	MeO	O	6-(2-Et-PhO)-4-pyrimidinyl	oil*
801	O	MeO	O	6-Me-4-(CF ₃ CH ₂ O)-2-pyrimidinyl	*
802	O	MeO	O	3-CO ₂ Me-6-CF ₃ -2-pyridinyl	140-143
803	O	MeO	O	3-(3-Cl-Ph)-4-CN-5-isothiazolyl	181-182
804	O	MeO	O	3-(4-Cl-Ph)-4-CN-5-isothiazolyl	144-147
805	O	MeO	O	3-(2,4-diCl-PhCH ₂)-1,2,4-thiadiazol-5-yl	*
806	O	MeO	O	3-(3,4-diCl-PhCH ₂)-1,2,4-thiadiazol-5-yl	*
807	O	MeO	O	3-(3-Br-PhCH ₂)-1,2,4-thiadiazol-5-yl	*
808	O	MeO	O	3-(2-Br-PhCH ₂)-1,2,4-thiadiazol-5-yl	138-139
809	O	MeO	O	3-(4-Br-PhCH ₂)-1,2,4-thiadiazol-5-yl	93-95
810	O	MeO	O	3-(3-Me-PhCH ₂)-1,2,4-thiadiazol-5-yl	105-107

811	O	MeO	O	3-(2-Me-PhCH ₂)-1,2,4-thiadiazol-5-yl	109-111
812	O	MeO	O	3-(4-CF ₃ -PhCH ₂)-1,2,4-thiadiazol-5-yl	*
813	O	MeO	O	3-(2-CF ₃ -PhCH ₂)-1,2,4-thiadiazol-5-yl	121-124
814	O	MeO	O	3-(3-F-PhCH ₂)-1,2,4-thiadiazol-5-yl	100-101
815	O	MeO	O	3-(2-F-PhCH ₂)-1,2,4-thiadiazol-5-yl	128-131
816	O	MeO	O	3-(3-CF ₃ -PhCH ₂)-1,2,4-thiadiazol-5-yl	*
817	O	MeO	O	3- <i>t</i> -Bu-4-CN-5-isothiazolyl	150-152
818	O	MeO	O	6-(2-NO ₂ -PhO)-4-pyrimidinyl	66-70
819	O	MeO	O	3-(3,5-diCF ₃ -PhCH ₂)-1,2,4-thiadiazol-5-yl	*
820	O	MeO	O	3-(CH ₂ =CHC(Me) ₂)-1,2,4-thiadiazol-5-yl	96-100
821	O	MeO	O	3-(3-CF ₃ C(=O)NH-Ph)-1,2,4-thiadiazol-5-yl	*
822	O	MeO	O	3-(3-ClCH ₂ C(=O)NH-Ph)-1,2,4-thiadiazol-5-yl	*
823	O	MeO	O	3-(3-CF ₃ SO ₂ NH-Ph)-1,2,4-thiadiazol-5-yl	*
824	O	MeO	O	3-(3-CCl ₃ C(=O)NH-Ph)-1,2,4-thiadiazol-5-yl	*
825	O	MeO	O	3-(3-NMe ₂ -Ph)-1,2,4-thiadiazol-5-yl	*
826	O	MeO	CH ₂ ON=C(Me)	3-F ₂ HCO-Ph	*
827	O	MeO	O	4-(3-CF ₃ O-Ph)-5-Br-2-thiazolyl	77-78
828	O	MeO	CH ₂ ON=C(Me)	3-Br-5-isoxazolyl	124-148

829	O	MeO	O	3-(3-CF ₃ C(=O)NMe-Ph)- 1,2,4-thiadiazol-5-yl	*
830	O	MeO	O	3-(3-CCl ₃ C(=O)NMe- Ph)-1,2,4-thiadiazol-5- yl	*
831	O	MeO	O	4-(3-CF ₃ O-Ph)-2- thiazolyl	96-98
832	O	MeO	O	4-(3-CF ₃ O-Ph)-5-Me-2- thiazolyl	88-90
833	O	MeO	CH ₂ O	3-Me-2-quinoxaliny	149-153
834	O	MeO	O	3-Me-2-quinoxaliny	168-170
835	O	MeO	O	5-Ph-1,2,4-triazin-3-yl	63-69
836	O	MeO	O	5-(3-CF ₃ -Ph)-1,2,4- triazin-3-yl	64-68
837	O	MeO	O	5-(3-Cl-Ph)-1,2,4-triazin- 3-yl	65-71
838	O	MeO	CH ₂ ON=CH	2-Cl-1-PhCH ₂ -1 <i>H</i> -indol- 3-yl	oil*
839	O	MeO	O	5-(4-Cl-Ph)-1,2,4-triazin- 3-yl	174-181
840	O	MeO	O	3-(3-CN-Ph)-Ph	161-162
841	O	MeO	CH ₂ ON=C(Me)	3-(4-pyridinyl)-5- isoxazolyl	149-167
842	O	MeO			51-57
843	O	MeO			132-141
844	O	MeO	direct bond	Ph	oil*
845	O	MeO	direct bond	4-PhO-Ph	oil*
846	O	MeO	O	3-(3-CF ₂ HCO-Ph)-1,2,4- thiadiazol-5-yl	*

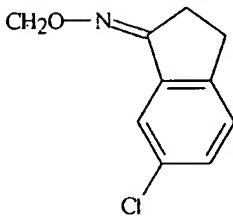
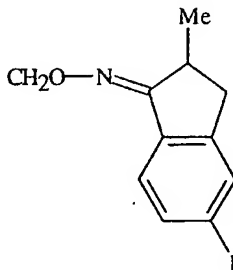
847	O	MeO	O	5-(4-F-Ph)-1,2,4-triazin-3-yl	oil*
848	O	MeO	O	5-(4-OMe-Ph)-1,2,4-triazin-3-yl	55-60
849	O	MeO	O	3-(3-BrCH=CH-Ph)-1,2,4-thiadiazol-5-yl	*
850	O	Cl	CH ₂ ON=CH	1 <i>H</i> -indol-3-yl	91-94 dec.
851	O	MeO	O	3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl	141-144
852	O	MeO	O	3-(3-Br-Ph)-1,2,4-oxadiazol-5-yl	143-145
853	O	MeO	O	3-(3-Cl-Ph)-1,2,4-oxadiazol-5-yl	121-124
854	O	MeO	direct bond	6-Cl-4-pyrimidinyl	tacky solid*
855	O	MeO	direct bond	4-Me-2-quinolinyl	tacky solid*
856	O	MeO	O	4-(3-CF ₃ -PhO)-6-MeO-2-triazinyl	oil*
857	O	MeO	O	3-(2-MeS-Ph)-Ph	58-62
858	O	MeO	O	5-(2-Me-Ph)-1,2,4-triazin-3-yl	oil*
859	O	MeO	CH ₂ ON=C(Me)	3-(4-PhO-Ph)-5-isoxazolyl	55-72
860	O	MeO	CH ₂ ON=C(Me)	3-(4-Cl-Ph)-5-isoxazolyl	103-125
861	O	MeO			175-179
862	O	MeO			157-160
863	O	MeO	O	3-(3-ClCH=CH-Ph)-1,2,4-thiadiazol-5-yl	137-139

153

864	O	MeO	CH ₂ S	5-(3-CF ₃ -Ph)-1,2,4-triazin-3-yl	138-141
865	O	MeO	O	3-(3,5-diCl-Ph)-1,2,4-oxadiazol-5-yl	181-184
866	O	MeO	O	3-(4-Cl-Ph)-1,2,4-oxadiazol-5-yl	164-167
867	O	MeO			158-160
868	O	MeO	O	4-Cl-Ph	110-112
869	O	MeO	O	4-F-Ph	97-99
870	O	MeO	O	3-CN-4-cinnoliny	192-194
871	O	MeO	O	4-PhO-6-MeO-2-triaziny	154-157
872	O	MeO	O	4-(4-Me-PhO)-6-MeO-2-triaziny	158-160
873	O	MeO	O	4-(3-Me-PhO)-6-MeO-2-triaziny	140-143
874	O	MeO	O	3-CF ₃ -1,2,4-triadiazol-5-yl	118-120
875	O	MeO	O	5-Cl-6-Et-4-pyrimidiny	122-124
876	O	MeO	O	3,5-diCl-Ph	150-152
877	O	MeO	O	5-Et-4-(3-CF ₃ O-Ph)-2-thiazoly	*
878	O	MeO	O	5-SiMe ₃ -4-(3-CF ₃ O-Ph)-2-thiazoly	*
879	O	MeO	O	4-(4-Cl-PhO)-6-MeO-2-triaziny	166-169
880	O	MeO	O	4-(3-NO ₂ -PhO)-6-MeO-2-triaziny	96-100
881	O	MeO	O	4-(3-OMe-PhO)-6-MeO-2-triaziny	135-139
882	O	MeO	O	4-(4-F-PhO)-6-MeO-2-triaziny	174-177

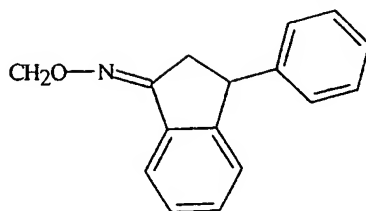
883	O	MeO	O	3-(3-CF ₃ S-Ph)-1,2,4-thiadiazol-5-yl	75-83
884	O	MeO	CH ₂ O	3-(<i>t</i> -Bu(Ph) ₂ SiO)-Ph	tacky solid*
885 ¹	O	MeO	O	4-(3,5-diCF ₃ -Ph)-5-Me-2-thiazolyl	solid*
886	O	MeO	direct bond	CHCl ₂	oil*
887	O	MeO	O	3-CF ₃ -PhOCH ₂	100
888	O	MeO	O	4-CF ₃ -Ph	109-110
889	O	MeO	O	3-CN-Ph	112-114
890	O	MeO	O	4-(3-CF ₃ -Ph)-2-triazinyl	160-162
891	O	Cl	CH ₂	3-(2-NH ₂ -Ph)-1- <i>H</i> -1,2,4-triazol-1-yl	197-202
985	O	Cl	CH ₂	3-(2-NH ₂ -4-Cl-Ph)-1- <i>H</i> -1,2,4-triazol-1-yl	180-193
986	O	Cl	CH ₂	3-(2-NH ₂ -5-Cl-Ph)-1- <i>H</i> -1,2,4-triazol-1-yl	80-85
987	O	MeO	CH ₂	3-(2-NH ₂ -Ph)-1- <i>H</i> -1,2,4-triazol-1-yl	178-181
988	O	MeO	CH ₂	3-(2-NH ₂ -4-Cl-Ph)-1- <i>H</i> -1,2,4-triazol-1-yl	80-85
989	O	MeO	CH ₂	3-(2-NH ₂ -5-Cl-Ph)-1- <i>H</i> -1,2,4-triazol-1-yl	160-165
990	O	MeO	O	3-CN-6,7-diF-4-cinnoliny	*
991	O	MeO	O	4- <i>t</i> -Bu-5-Et-2-thiazolyl	95-96
992	O	MeO	CH ₂ ON=C(Me)	3-(4-Cl-Ph)-4-isoxazolyl	45-52
993	O	MeO	CH ₂ ON=C(Me)	2,3-dihydro-2-Me-5-benzofuranyl	oil*
994	O	Cl	CH ₂ ON=C(Me)	2,3-dihydro-2-Me-5-benzofuranyl	oil*
995	O	MeO	O	4-(2-F-PhO)-2-triazinyl	54-59
996	O	MeO	CH ₂ O	3-CF ₃ -PhOCH ₂	oil*
997	O	MeO	O	<i>t</i> -BuOCH(Me)	89
998	O	MeO	CH ₂ O	CH ₃	*
999	O	MeO	CH ₂ ON=C(Me)	3-Ph-4-isoxazolyl	*

155

1000	O	MeO	CH ₂ ON=C(Me)	3-(3-CF ₃ -Ph)-4-isoxazolyl	*
1001	O	MeO	O	3-(3-Me-Ph)-1,2,4-oxadiazol-5-yl	100-104
1002	O	MeO	O	3-(4-Br-Ph)-1,2,4-oxadiazol-5-yl	180-182
1003	O	MeO	O	3-(3-CN-Ph)-1,2,4-oxadiazol-5-yl	135-138
1004	O	MeO	O	3-(4-CF ₃ O-Ph)-1,2,4-oxadiazol-5-yl	115-118
1005	O	MeO	O	3-(4- <i>t</i> -Bu-Ph)-1,2,4-oxadiazol-5-yl	150-152
1006	O	MeO	O	3-(4-CN-Ph)-1,2,4-oxadiazol-5-yl	175-176
1007	O	MeO	CH ₂ ON=C(Me)	3-(4-PhOPh)-4-isoxazolyl	50-56
1008	O	MeO	CH ₂ O—N=		157-164
1009	O	MeO	CH ₂ ON=C(Me)	3-Br-4-isoxazolyl	120-139
1010	O	MeO	CH ₂ O—N=		*
1011	O	MeO	O	3-Cl ₂ HC-1,2,4-thiadiazol-5-yl	*
1012	O	MeO	CH ₂ ON=C(Me)	3,4,5-triMeO-Ph	*
1013	O	MeO	CH ₂ S	5-(3-Cl-Ph)-1,2,4-triazin-3-yl	167-169

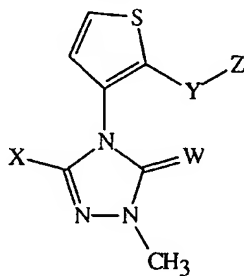
156

1014	O	MeO	CH ₂ ON=C(Me)	3-(4-Cl-Ph)-4-isoxazolyl	45-52
1015	O	MeO			48-53



- ^a Compound contains 15% by weight of 4-[2-(bromomethyl)phenyl]-5-(difluoromethoxy)-2,4-dihydro-2-methyl-3*H*-1,2,4-triazol-3-one.
- ^b Compound isolated in a 1:1 ratio of *Z* and *E* isomers.
- ^c Compound isolated in a 2:1 ratio of *Z* and *E* isomers.
- ^d Compound isolated in a 2:3 ratio of *Z* and *E* isomers.
- ^e Compound isolated as the *Z* isomer.
- ^f Compound isolated as the *E* isomer.
- ^g Compound isolated in a 1:2 ratio of geometric isomers.
- ^h Compound isolated as the *Z* isomer.
- ⁱ Isomer of Compound 781.
- ^j Isomer of Compound 783.
- ^k Isomer of Compound 789.
- ^l Compound contains 15% by weight of 4-[2-[[4-[3,5-bis(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one.
- *See Index Table M for ¹H NMR data.

INDEX TABLE D



Cmpd No.	W	X	Y	Z	m.p. (°C)
222	O	MeO	CH ₂ ON=C(Me)	3-Me ₃ Si-Ph	oil/gum*
223	O	MeO	CH ₂ O	2,5-diMe-Ph	151-153

224	O	MeO	direct bond	CH ₂ Br	117-118
225	O	MeO	CH ₂ ON=C(Me)	3-CF ₃ -Ph	91-93
226 ^a	O	MeO	CH=C(Cl)C(=O)O	<i>t</i> -Bu	105-115
227 ^b	O	MeO	CH=C(Cl)C(=O)O	<i>t</i> -Bu	104
228	O	MeO	CH ₂ ON=C(Me)	4-CF ₃ -2-pyridinyl	101-103.5
583	O	MeO	direct bond	3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl	158
584	O	MeO	CH ₂ O-N=C(CH ₃)	3,4-diCl-Ph	132-134
585	O	MeO	CH ₂ O-N=C(NH ₂)	3-CF ₃ -Ph	123-124
586	O	MeO	CH ₂ O-N=C(CH ₃)	3,5-diBr-Ph	150.5-151
587	O	MeO	CH ₂ O-N=C(CH ₃)	3,5-diCl-Ph	159-160
588	O	MeO	CH ₂ O-N=C(CH ₃)	2-naphthalenyl	124-125
589 ^c	O	MeO	CH ₂ O-N=C(CH ₂ CH ₃)	3-CF ₃ -Ph	oil*
590	O	MeO	CH ₂ O	3-(4-Cl-Ph)-1,2,4-thiadiazol-5-yl	184-185
591	O	MeO	CH ₂ O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	185-186
592	O	MeO	CH ₂ O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	138-139

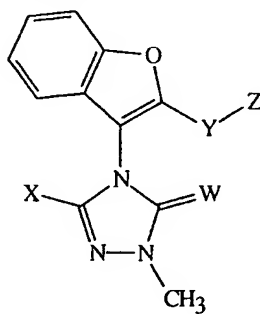
*See Index Table M for ¹H NMR data.

^a Compound isolated in a 7:3 ratio of *Z* and *E* isomers, respectively.

^b Compound isolated in a 5:1 ratio of *Z* and *E* isomers, respectively.

^c Compound contains 28% by weight of 2,4-dihydro-5-methoxy-2-methyl-4-[5-methyl-2-[[[1-[3-(trifluoromethyl)phenyl]ethylidene]amino]oxy]methyl]-3-thienyl]-3*H*-1,2,4-triazol-3-one which is also a compound of this invention.

INDEX TABLE E

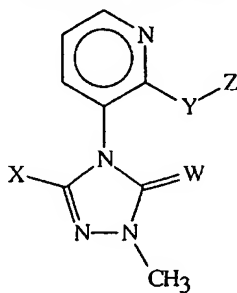


<u>Cmpd</u>	<u>W</u>	<u>X</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
229	O	MeO	direct bond	CH ₂ Br	132-133
230	O	MeO	CH ₂ ON=C(Me)	3,4-diCl-Ph	143-144
231	O	MeO	CH ₂ ON=C(Me)	3-Me ₃ Si-Ph	oil*

232	O	MeO	CH ₂ ON=C(Me)	4-CF ₃ -2-pyridinyl	123-125
233	O	MeO	CH ₂ ON=C(Me)	3-CF ₃ -Ph	87-89

*See Index Table M for ¹H NMR data.

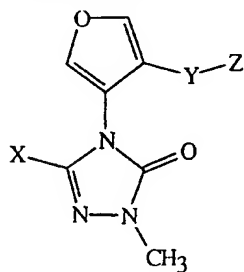
INDEX TABLE F



<u>Cmpd No.</u>	<u>W</u>	<u>X</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
234	O	Cl	direct bond	Me	99-101
235	O	MeO	direct bond	Me	123-125
236	O	MeO	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
593	O	MeO	CH ₂ O-N=C(CH ₃)	4-CF ₃ -pyridin-2-yl	106-107
594	O	MeO	CH ₂ O-N=C(CH ₃)	3,4-diCl-Ph	102-104
595	O	MeO	CH ₂ O-N=C(CH ₃)	3-Me ₃ Si-Ph	135-137
596	O	MeO	CH ₂ O-N=C(CH ₃)	3,5-diCl-Ph	135-137
597	O	MeO	CH ₂ O-N=C(CH ₃)	3,5-diBr-Ph	145-147
598	O	MeO	CH ₂ O-N=C(NH ₂)	3-CF ₃ -Ph	147-148
599	O	MeO	CH ₂ S	5-CF ₃ -4 <i>H</i> -1,2,4-triazol-3-yl	178-179
600	O	MeO	direct bond	3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl	165-166
601	O	MeO	CH ₂	3-CF ₃ -1 <i>H</i> -pyrazol-1-yl	99-100
602	O	MeO	CH ₂ O	2-Cl-5-CF ₃ -Ph	106-108
603	O	MeO	CH ₂ O	2,5-diCH ₃ -Ph	91-93
604	O	MeO	CH ₂ O-N=C(CH ₃)	2-naphthalenyl	semisolid*
605	O	MeO	O	3-PhO-Ph	113-114
606	O	Cl	O	3-PhO-Ph	72-75

*See Index Table M for ¹H NMR data.

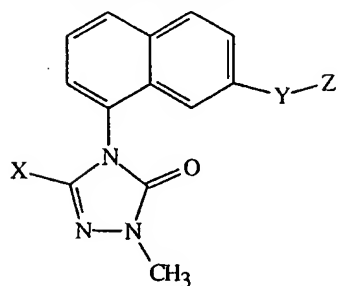
159

INDEX TABLE G

<u>Cmpd No.</u>	<u>X</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
607	MeO	direct bond	3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl	149-150
608	MeO	direct bond	CH ₂ Br	147-149
609	MeO	CH ₂ O-N=C(CH ₃)	2-naphthalenyl	134-136
610	MeO	CH ₂ O-N=C(CH ₃)	3,4-diCl-Ph	118-119
611	MeO	CH ₂ O-N=C(CH ₃)	4-CF ₃ -pyridin-2-yl	125-127
612	MeO	CH ₂ O-N=C(CH ₃)	3,5-diCl-Ph	148.5-150.5
613	MeO	CH ₂ O-N=C(CH ₃)	3-Me ₃ Si-Ph	oil*
614	MeO	CH ₂ O-N=C(NH ₂)	3-CF ₃ -Ph	semisolid*
615	MeO	CH ₂ O-N=C(CH ₃)	3-CF ₃ -Ph	81-83
616	MeO	CH ₂ O-N=C(CH ₃)	3,5-diBr-Ph	126.5-127.5

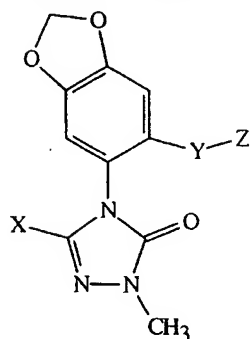
*See Index Table M for ¹H NMR data.

160

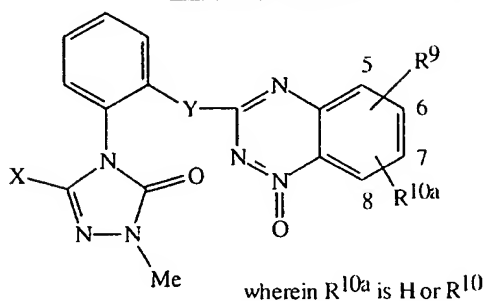
INDEX TABLE H

<u>Cmpd No.</u>	<u>X</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
617	Cl	O	Me	142-143
618	MeO	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	216-217
619	MeO	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	222-223
621	MeO	O	3-(4-Cl-Ph)-1,2,4-thiadiazol-5-yl	226-227
621	MeO	O	Me	180-181
1016	MeO	direct bond	4-Cl-Ph	195-197
1017	MeO	direct bond	4-CF ₃ -Ph	213-214
1018	MeO	direct bond	3,5-diCF ₃ -Ph	222-224
1019	MeO	direct bond	3-CF ₃ O-Ph	150-151
1020	MeO	direct bond	3-Cl-4-F-Ph	203-204
1021	MeO	direct bond	3-CF ₃ -Ph	144-145
1022	MeO	C≡C	4- <i>t</i> -Bu-Ph	199-200
1023	MeO	C≡C	<i>t</i> -Bu	196-198
1024	MeO	C≡C	(EtO) ₂ CH	glue*

161

INDEX TABLE I

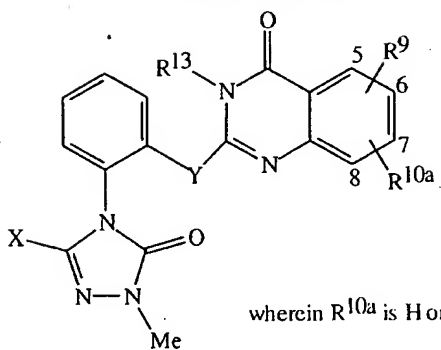
<u>Cmpd No.</u>	<u>X</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
622	MeO	CH ₂ O-N=C(CH ₃)	3-CF ₃ -Ph	153-155

INDEX TABLE J

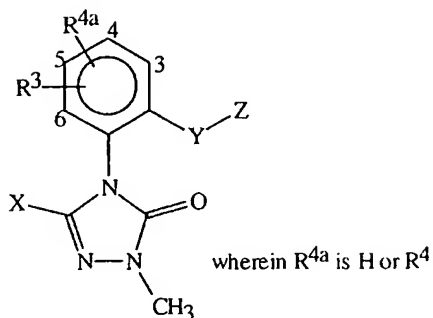
<u>Cmpd No.</u>	<u>X</u>	<u>R⁹</u>	<u>R^{10a}</u>	<u>Y</u>	<u>m.p. (°C)</u>
623	Cl	H	H	CH ₂ O	159-162
624	Cl	5-Me	7-Me	CH ₂ O	204-209
625	Cl	6-Cl	H	CH ₂ O	175-181
626	MeO	5-Me	7-Me	CH ₂ O	187-197
627	MeO	7-MeO	H	CH ₂ O	207-210
628	MeO	6-Br	7-Me	CH ₂ O	205-209
629	MeO	5-Me	H	CH ₂ O	205-208
630	MeO	5-Me	6-Me	CH ₂ O	210-214
631	MeO	5-Me	7-Me	O	210-216
632	MeO	7-MeO	H	O	191-192
633	MeO	7-Cl	H	CH ₂ O	225-229
634	MeO	6-Me	7-Me	O	218-219

162

635	MeO	5-Me	H	O	195-199
636	MeO	6-Br	7-Me	O	187-189
637	MeO	7-F	H	O	221-226
638	MeO	7-F	H	CH ₂ O	181-184
639	MeO	H	H	O	230-233
640	MeO	H	H	CH ₂ O	190-195

INDEX TABLE K

<u>Cmpd No.</u>	<u>X</u>	<u>R¹³</u>	<u>R⁹</u>	<u>R^{10a}</u>	<u>Y</u>	<u>m.p. (°C)</u>
641	MeO	<i>n</i> -Bu	6-I	8-I	CH ₂ O	166-169
642	MeO	<i>n</i> -Pr	6-Br	8-Br	CH ₂ O	160-163
643	MeO	Me	6-I	H	CH ₂ O	200-204
644	MeO	<i>n</i> -Bu	6-I	8-I	O	165-167

INDEX TABLE L

<u>Cmpd No.</u>	<u>X</u>	<u>R³</u>	<u>R^{4a}</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
656	MeO	5-Cl	H	O	3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	*
657	MeO	5-Cl	H	O	3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl	154-155
658	MeO	5-Cl	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	*
659	MeO	5-Cl	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	*
660	MeO	5-Cl	H	O	3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl	166-168
661	MeO	5-Cl	H	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	*
662	MeO	5-Cl	H	O	3-(4- <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl	159-160
663	MeO	5-Cl	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	*
664	MeO	3-Cl	H	O	3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl	*
665	MeO	3-Cl	H	O	3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl	*
666	MeO	3-Cl	H	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	120-124
667	MeO	3-Cl	H	O	3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	*
668	MeO	3-Cl	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	*

669	MeO	3-Cl	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	130-135
670	MeO	3-Cl	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	*
671	MeO	3-Cl	H	O	3-(4- <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl	*
672	MeO	6-CH ₃	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	152-158
673	MeO	6-CH ₃	H	O	3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl	162-164
674	MeO	6-CH ₃	H	O	3-(3-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	119-120
675	MeO	6-CH ₃	H	O	3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl	124-126
676	MeO	6-CH ₃	H	O	3-(3-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl	109-111
677	MeO	6-CH ₃	H	O	3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl	91-93
678	MeO	6-CH ₃	H	O	3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl	123-125
679	MeO	6-CH ₃	H	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	109-111
680	MeO	6-CH ₃	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	95-98
Ex. 22						
681	MeO	6-CH ₃	H	O	3-(2-CH ₃ -PhO)-Ph	oil*
682	MeO	6-CH ₃	H	O	3-PhO-Ph	oil*
683	MeO	6-CH ₃	H	O	3-(2-Cl-PhO)-Ph	oil*
684	MeO	6-CH ₃	H	O	3-(2-F-PhO)-Ph	oil*
685	Cl	6-CH ₃	H	CH ₂ O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	130-132
686	Cl	6-CH ₃	H	bond	CH ₂ Br	120-121
687	MeO	6-CH ₃	H	O	6-(2-CH ₃ -PhO)-4-pyrimidinyl	135-136
688	MeO	6-CH ₃	H	O	3-(4-F-PhO)-Ph	oil*
689	MeO	6-CH ₃	H	O	3-(2,6-diF-PhO)-Ph	oil*

690	MeO	4-CH ₃ O	H	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	110-112
691	MeO	4-CH ₃ O	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	107-110
692	MeO	6-CH ₃	H	O	3-(2,6-diCl-4-pyridinyl)-1,2,4-thiadiazol-5-yl	oil/solid*
693	MeO	6-CH ₃	H	O	3-(2,6-diCl-benzyl)-1,2,4-thiadiazol-5-yl	128-129
694	MeO	4-CH ₃ O	H	O	3-(2,6-diCl-4-pyridinyl)-1,2,4-thiadiazol-5-yl	150-156
695	MeO	4-CH ₃ O	H	O	3-(2,6-diCl-benzyl)-1,2,4-thiadiazol-5-yl	113-119
696	Cl	6-CH ₃	H	O	CH ₃	132-134
697	Cl	4-CH ₃ O	H	O	CH ₃	144-146
698	MeO	6-CH ₃	H	O	6-Cl-4-pyrimidinyl	108-110
699	MeO	6-CH ₃	H	O	3- <i>n</i> -Bu-1,2,4-thiadiazol-5-yl	146-147
700	MeO	4-CH ₃ O	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	oil*
701	MeO	6-CH ₃	H	O	6-(3,5-diCF ₃ -Ph)-4-pyrimidinyl	195-198
702	MeO	4-CH ₃	H	CH ₂	3-CF ₃ -1 <i>H</i> -pyrazol-1-yl	368**
703	MeO	6-CH ₃	H	O	6-(4-CF ₃ -Ph)-4-pyrimidinyl	148-150
704	MeO	6-CH ₃	H	O	6-(4-CF ₃ -Ph)-2-pyrazinyl	128-131
705	MeO	4-CH ₃ O	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	173-176
706	MeO	6-CH ₃	H	O	6-(3-CF ₃ -Ph)-2-pyrazinyl	118-120
707	MeO	6-CH ₃	H	O	3-F-2-NO ₂ -Ph	oil*
708	MeO	6-CH ₃	H	O	6-(3,5-diCF ₃ -Ph)-2-pyrazinyl	185-187
709	MeO	6-CH ₃	H	O	6-Cl-2-pyrazinyl	122-124
710	Cl	6-CO ₂ Me	H	bond	CH ₂ Br	168-170
711	Cl	6-CO ₂ Me	H	bond	CHBr ₂	129-131

712	MeO	4-CH ₃ O	H	O	3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl	149-153
713	MeO	6-CH ₃	H	O	6-(4-CO ₂ Et-Ph)-4-pyrimidinyl	97-103
714	MeO	6-CH ₃	H	O	6-(4-CO ₂ Et-Ph)-2-pyrazinyl	158-161
715	MeO	6-CH ₃	H	O	6-(3-CF ₃ -Ph)-4-pyrimidinyl	125-127
716	MeO	6-CH ₃	H	O	6-Ph-2-pyrazinyl	137-139
717	MeO	6-CH ₃	H	O	6-(4-Cl-Ph)-2-pyrazinyl	166-171
718	MeO	6-CH ₃	H	O	6-(2-Br-PhO)-4-pyrimidinyl	127-129
719	MeO	6-Et	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	136-138
Ex. 23						
720	MeO	6-CH ₃ S	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	154-156
721	MeO	6-CH ₃ S	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	204-208
722	MeO	6-CH ₃ S	H	O	3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl	164-166
723	MeO	6-Et	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	139-142
724	MeO	6-Et	H	O	3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl	115-119
725	MeO	6-CHO	H	O	3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl	125-128
726	MeO	6-CH ₃	H	O	3-(2-Br-PhO)-Ph	oil*
727	MeO	6-Et	H	O	3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl	43-55
728	MeO	6-CH ₃ S	H	O	3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl	138-140
729	MeO	6-CHO	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	180-185
730	MeO	6-CHO	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	144-146

731	MeO	6-CHO	H	O	3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl	118-123
892	MeO	4-Br	H	direct bond	2-CF ₃ -thiazolo[3,2- <i>b</i>][1,2,4]triazol-5-yl	177-181
893	MeO	4-Me	H	direct bond	2-CF ₃ -thiazolo[3,2- <i>b</i>][1,2,4]triazol-5-yl	180-182
894	MeO	6-OH	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	216-219
895	MeO	6-CH ₃ S	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	146-147
896	MeO	6-Me	H	O	3-(4-I-PhO)-Ph	oil*
897	MeO	6-CHO	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	105-110
898	MeO	6-Et	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	132-134
899	MeO	6-Me	H	O	6-(2-F-PhO)-4-pyrimidinyl	149-152
900	MeO	6-Me	H	O	4-(3-CF ₃ -Ph)-2-thiazolyl	134-136
901	MeO	4-Br	H	CH ₂ ON=C(Me)	3,5-diCl-Ph	*
902	MeO	6-Me	H	O	2-(2-F-PhO)-4-pyrimidinyl	oil*
903	MeO	6-Me	H	O	4-(2-F-PhO)-2-pyrimidinyl	oil*
904	MeO	3-Me	H	O	3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	122-124
905	MeO	6-Me	H	OCH ₂	4-(2,5-diF-Ph)-3-furazanyl N-oxide	oil*
906	MeO	3-Me	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl	62-65
907	MeO	6-Me	H	O	6-(2-CN-PhO)-4-pyrimidinyl	168-172
908	MeO	6-Br	H	O	4-(3,5-diCF ₃ -Ph)-5-Me-2-thiazolyl	114-117
909	MeO	3-Me	H	CH ₂ ON=C(Me)	3-Me-Ph	119-122
910	MeO	3-Me	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	151-153

168

911	MeO	3-Me	H	O	3-(3-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	97-98
912	MeO	3-Me	H	O	3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl	133-135
913	MeO	3-Me	H	O	3-(3-OCF ₃ -Ph)-1,2,4-thiadiazol-5-yl	88-90
914	MeO	6-Me	H	O	4-(3-CF ₃ -Ph)-5-Me-2-thiazolyl	gum*
915	MeO	5-Me	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	84-86
916	MeO	5-Me	H	CH ₂ ON=C(Me)	3,5-diCl-Ph	100-102
917	MeO	3-Me	H	O	6-(2-Br-PhO)-4-pyrimidinyl	138-141
918	MeO	3-Me	H	O	6-(2-F-PhO)-4-pyrimidinyl	153-155
919	Cl	5-NO ₂	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	*
920	MeO	5-Me	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5yl	152-154
921	MeO	5-Me	H	O	3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl	104-105
922	MeO	6-CH ₂ =CH	H	O	3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5yl	171-172
923	MeO	6-Br	H	O	4- <i>t</i> -Bu-5-Me-2-thiazolyl	128-131
924	MeO	5-NO ₂	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	*
925	MeO	5-NO ₂	H	CH ₂ ON=C(Me)	3,5-diCl-Ph	*
926	MeO	6-Me	H	O	6-(2-Cl-PhO)-4-pyrimidinyl	147-149
927	MeO	6-Me	H	O	6-(2-Et-PhO)-4-pyrimidinyl	127-128
928	MeO	6-Me	H	O	6-PhO-4-pyrimidinyl	135-137
929	Cl	6-CO ₂ Me	H	CH ₂ ON=C(Me)	4-CF ₃ -2-pyridinyl	116-117
930	MeO	6-Me	H	O	6-(2-OMe-PhO)-4-pyrimidinyl	107-109
931	MeO	6-Me	3-Me	CH ₂ ON=C(Me)	3,5-diCl-Ph	*
932	MeO	6-Me	H	O	6-(2-NO ₂ -PhO)-4-pyrimidinyl	70-73

933	MeO	6-Me	H	O	6-(2-NH ₂ -PhO)-4-pyrimidinyl	140-145
934	MeO	6-Me	H	O	3-CO ₂ Me-6-CF ₃ -2-pyridinyl	146-147
935	MeO	6-Br	H	O	4-(3-CF ₃ O-Ph)-5-Me-2-thiazolyl	108-112
936	MeO	6-BrCH ₂	H	CH ₂ ON=C(Me)	4-CF ₃ -2-pyridinyl	oil*
937	MeO	6-Me	H	CH ₂ ON=C(Me)	4-Me-Ph	oil*
938	MeO	6-CHO	H	O	3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl	112-114
939	MeO	6-CHO	H	O	3-(2,6-Cl-4-pyridinyl)-1,2,4-thiadiazol-5-yl	155-157
940	MeO	6-Me	H	O	4- <i>t</i> -Bu-5-Br-2-thiazolyl	184-187
941	MeO	6-Me	H	O	4- <i>t</i> -Bu-2-thiazolyl	79-81
942	MeO	6-Me	H	O	4- <i>t</i> -Bu-5-Me-2-thiazolyl	157-160
943	MeO	6-SiMe ₃	H	O	4-(3-CF ₃ O-Ph)-5-SiMe ₃ -2-thiazolyl	*
944	MeO	6-Me	H	O	4-(3-CF ₃ -Ph)-5-Br-2-thiazolyl	100-101
945	MeO	6- <i>n</i> -C ₅ H ₁₁	H	O	4- <i>t</i> -Bu-5-Me-2-thiazolyl	oil*
946	MeO	6- <i>n</i> -C ₅ H ₁₁	H	O	4-(3-CF ₃ -Ph)-5-Me-2-thiazolyl	oil*
947	Cl	5-Cl	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
948	MeO	5-Cl	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	121-123
949	Cl	6-Me	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
950	MeO	6-Me	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
951	Cl	4-OMe	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
952	MeO	4-OMe	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	115-117
953	MeO	4-Me	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
954	MeO	3-Me	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
955	MeO	6-Me	H	CH ₂ ON=C(Me)	3,5-diCl-Ph	*
956	MeO	6-OMe	H	CH ₂ ON=C(Me)	3,5-diCl-Ph	foam*
957	MeO	6-OMe	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	foam*
958	MeO	3-Me	H	CH ₂ ON=C(Me)	3,5-diCl-Ph	159-161
959	MeO	6-F	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
960	MeO	6-F	H	CH ₂ ON=C(Me)	3,5-diCl-Ph	120-121

170

961	MeO	6-Me	H	CH ₂ ON=C(Me)	3,5-diBr-Ph	163-164
962	MeO	6-Me	H	CH ₂ ON=C(Me)	3-CF ₃ O-Ph	oil*
963	MeO	6-Me	H	CH ₂ ON=C(Me)	3,4-diCl-Ph	109-110
964	MeO	6-Me	H	CH ₂ ON=C(Me)	4-CF ₃ -2-pyridinyl	oil*
965	MeO	6-Me	H	CH ₂ ON=C(Me)	2-naphthalenyl	glue*
966	MeO	3-F	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	solid*
967	MeO	4-Me	6-Me	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
968	Cl	6-CO ₂ Me	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	109-111
969	MeO	4-Me	6-Me	CH ₂ ON=C(Me)	3,5-diCl-Ph	116-119
970	MeO	4-Br	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	126-128
971	MeO	4-Me ₃ SiC≡C	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	oil*
972	MeO	4-HC≡C	H	CH ₂ ON=C(Me)	3-CF ₃ -Ph	gum*
973 ^a	MeO	6-Br	H	O	4-(3-CF ₃ -Ph)-5-Me-2-thiazolyl	125-130
974	MeO	6-CHO	H	O	CH ₃ OCH ₂	116-117
975	MeO	6-CH ₂ Br	H	O	CH ₃ OCH ₂	144-145
976	MeO	6-Me	H	O	3-CF ₃ -PhOCH ₂	oil*
977	MeO	6-Me	H	O	3-CF ₃ -Ph	95-96
978	MeO	6-Me	H	O	4-Cl-Ph	87-89

^a Compound contains 20% by weight of 4-[2-chloro-6-[[5-methyl-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one.

* See Index Table M for ¹H NMR data.

** Protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode (APCI⁺). The ion shown corresponds to the M+H⁺ ion calculated from the integral values of the atomic weights of the most abundant isotope of each element present.

INDEX TABLE M

Cmpd No.	¹ H NMR Data (CDCl ₃ solution unless indicated otherwise) ^a
2	δ 7.51(dd,1H), 7.27(dt,1H), 7.17(m,2H), 6.97(dd,1H), 6.6(m,3H), 3.92(s,3H), 3.74 (s,3H), 3.33 (s,3H).
3	δ 7.32(m,7H), 6.99(m,2H), 5.08(s,2H), 3.84(s,3H), 3.42(s,3H).
4	δ 7.25(m,4H), 3.98(s,3H), 3.45(s,3H), 2.30(s,3H).
5	δ 7.61(d,1H), 7.35(m,3H), 7.11(m,2H), 6.84(t,2H), 5.12(s,2H), 3.96(s,3H), 3.415(s,3H), 2.24(s,3H).
14	δ 7.65(d,1H), 7.45(m,2H), 7.23(m,1H), 7.10(m,2H), 6.82(t,1H), 6.78(d,1H), 5.08(s,2H), 4.29(m,2H), 3.41(s,3H), 2.24(s,3H), 1.31(t,3H).
17	δ 7.6-7.45(m,5H), 7.20(m,1H), 7.14(d,2H), 5.27(d,1H), 5.16(d,1H), 3.46(s,3H), 2.34(s,3H), 2.16(s,3H).
19	δ 7.6(d,1H), 7.5(m,3H), 7.4(t,1H), 7.25(m,1H), 7.15(d,2H), 5.26(d,1H), 5.20(d,1H), 3.48(s,3H), 2.41(s,3H), 2.43(s,3H), 2.18(s,3H).
20	δ 7.62(m,2H), 7.5(m,2H), 7.35-7.2(m,4H), 5.25(d,1H), 5.15(d,1H), 3.48(s,3H), 3.02(m,2H), 2.85(m,2H).
21	δ 7.42(m,2H), 7.10(m,1H), 7.06(m,3H), 6.99(t,1H), 6.68(d,2H), 3.37(s,3H), 2.51(s,3H).
23	δ 8.01(s,1H), 7.61(d,1H), 7.52(m,4H), 7.35(m,3H), 7.25(d,1H), 5.23(d,1H), 5.15(d,1H), 3.49(s,3H).
24	δ 7.6(m,2H), 7.5-7.4(m,3H), 7.3-7.2(m,3H), 5.24(d,1H), 5.20(d,1H), 3.48(s,3H), 2.40(s,3H).
25	δ 7.6-7.4(m,4H), 7.35(m,2H), 7.2(m,2H), 7.0(d,2H), 6.6(m,3H), 5.04(d,1H), 5.00(d,1H), 3.45(s,3H).
26	δ 7.6(d,1H), 7.45(m,2H), 7.33(t,2H), 7.19(m,2H), 7.10(t,1H), 7.01(d,2H), 6.6(m,3H), 5.03(m,2H), 3.87(s,3H), 3.39(s,3H).
35	δ 7.6-7.4(m,7H), 7.23(d,1H), 5.28(d,1H), 5.17(d,1H), 3.46(s,3H), 2.14(s,3H).
36	δ 7.80(d,2H), 7.65-7.45(m,6H), 7.36(d,2H), 7.30(m,1H), 7.25(m,1H), 7.10(t,1H), 5.15(d,1H), 5.10(d,1H), 3.45(s,2H).
38	δ 7.77(d,2H), 7.6(m,2H), 7.47(m,4H), 7.35(m,3H), 7.25(m,1H), 7.10(m,1H), 5.13(d,1H), 5.12(d,1H), 3.89(s,3H), 3.38(s,3H).
39	δ 8.03(s,1H), 7.70(d,1H), 7.53(m,2H), 7.35-7.25(m,5H), 5.06(s,2H), 3.46(s,3H).

- 40 δ 7.6-7.5(m,3H), 7.24(m,1H), 7.13(s,1H), 7.02(d,1H), 6.78(d,1H),
5.96(s,2H), 5.26(d,1H), 5.14(d,1H), 3.48(s,3H), 2.13(s,3H).
- 41 δ 8.04(s,1H), 7.8(m,1H), 7.45(m,2H)7.35-7.25(m,5H), 5.10(s,2H),
3.86(s,3H), 3.41(s,3H).
- 42 δ 7.58(m,1H), 7.43(m,2H), 7.25(m,1H), 7.15(m,1H), 7.02(d,1H),
6.76(d,1H), 5.96(s,2H), 5.22(d,1H), 5.18(d,1H)3.89(s,3H), 3.42(s,3H),
2.15(s,3H).
- 43 δ 8.40(s,1H), 7.6(m,1H), 7.5-7.4(m,5H), 7.3(d,1H), 7.18(m,2H),
6.38(s,1H), 3.45(s,3H).
- 45 δ 7.55(d,1H), 7.40(m,3H), 7.20(m,4H), 5.21(d,1H), 3.87(s,3H),
3.42(s,3H), 2.24(s,3H).
- 47 δ 7.6-7.2(m,9H), 5.4-5.2(m,2H), 3.87,3.83(s,3H), 3.41,3.40(s,3H).
- 48 δ 7.6(m,3H), 7.44(m,2H), 7.35(m,3H), 7.25(m,1H), 5.26(d,1H),
5.22(d,1H), 3.88(s,3H), 3.49(s,3H), 2.20(s,3H).
- 49 δ 7.5(d,1H), 7.40(m,4H), 7.23(m,2H), 7.18(d,1H), 5.26(d,1H),
5.21(d,1H), 3.88(s,3H)3.41(s,3H), 2.36(s,3H), 2.19(s,3H).
- 50 δ 7.56(m,3H), 7.45(m,2H), 7.25(m,1H), 6.86(d,2H), 5.24(d,1H),
5.19(d,1H), 3.88(s,3H), 3.81(s,3H), 3.41(s,3H), 2.17(s,3H).
- 51 δ 7.5(m,2H), 7.45(m,3H), 7.3(m,3H), 5.27(d,1H), 5.22(d,1H), 3.89(s,3H).
- 52 δ 8.02,8.01(s,1H), 7.8,7.7(m,1H), 7.45(m,2H), 7.35(m,4H), 7.25(m,2H),
5.25(m,1H), 3.88,3.74(s,3H), 3.45,3.39(s,3H), 1.62-1.56(m,3H).
- 53 δ 8.04(s,1H), 7.81(m,1H), 7.45(m,2H), 7.38-7.18(m,5H), 5.18(s,2H),
3.86(s,3H), 3.42(s,3H), 2.38(s,3H).
- 54 δ 7.35(m,4H), 7.20(m,2H), 7.05(d,2H), 6.95(d,1H), 3.46(s,3H).
- 55 δ 7.6-7.45(m,3H), 7.2(m,1H), 4.67(d,1H), 4.48(d,1H), 3.56(s,3H).
- 56 δ 7.5(m,1H), 7.44(m,2H), 7.22(m,1H), 4.60(d,1H), 4.36(d,1H),
3.96(s,3H), 3.47(s,3H).
- 60 δ 7.72(d,2H), 7.58(d,3H), 7.50(m,2H), 7.26(m,1H), 5.30(d,1H),
5.24(d,1H), 3.48(s,3H), 2.42(s,3H), 2.21(s,3H).
- 61 δ 7.70(m,2H), 7.60(m,2H), 7.43(m,3H), 7.23(m,1H), 5.30(d,1H),
5.25(d,1H), 3.85(s,3H), 3.41(s,3H).
- 62 δ 8.40(s,1H), 7.70(m,2H), 7.6-7.3(m,6H), 6.59(s,1H), 3.80(s,3H),
3.39(s,3H).
- 64 δ 8.40(s,1H), 7.5-7.2(m,7H), 7.02(d,1H), 6.33(s,1H)3.78(s,3H), 3.36(s,3H),
2.18(s,3H).

- 65 δ 8.42(s,1H), 7.55-7.26(m,7H), 7.16(d,2H), 6.36(s,1H),
3.79(s,3H)3.36(s,3H).
- 69 δ 7.6-7.3(m,7H), 7.25(m,1H), 5.24(d,1H), 5.21(d,1H)3.89(s,3H),
3.41(s,3H), 2.18(s,3H), 1.31(s,9H).
- 70 δ 7.60(d,1H), 7.45-7.38(m,3H), 7.35-7.20(m,2H), 7.11(d,1H), 5.74(d,1H),
5.21(d,1H), 3.88(s,3H), 3.41(s,3H), 2.27(s,3H), 2.26(s,3H), 2.18(s,3H).
- 75 δ 8.56(s,1H), 7.58(m,1H), 7.40(m,3H), 6.99(s,1H), 3.43(s,3H).
- 76 δ 7.66(d,2H), 7.58(m,5H), 7.5-7.3(m,5H), 7.25(m,1H), 5.28(d,1H),
5.24(d,1H), 3.90(s,3H), 3.47(s,3H), 2.23(s,3H).
- 77 δ 7.68(d,1H), 7.6-7.5(m,2H), 7.25(m,1H), 7.00(d,1H), 6.68(d,1H),
6.61(s,1H), 5.05(d,1H), 5.00(d,1H), 3.49(s,3H), 2.29(s,3H), 2.16(s,3H).
- 82 δ 8.02(s,2H), 7.82(s,1H), 7.6-7.45(m,3H), 7.25(m,1H), 5.33(d,1H),
5.21(d,1H), 3.50(s,3H), 2.23(s,3H).
- 84 δ 7.6(d,1H), 7.5-7.4(m,2H), 7.4-7.2(m,5H), 5.20(d,2H), 3.89(s,3H),
3.40(s,3H), 2.18(m,1H), 0.90(m,2H), 0.60(m,2H).
- 86 Two isomers: δ 7.75-7.40(m,8H), [5.29(s) and 5.22(m)](2H), [3.58(s)
and 3.55(s)](3H), [2.88(s) and 2.83(s)](3H), [2.23(s) and 2.17(s)](3H).
- 88 δ 7.60(m,2H), 7.40(m,4H), 7.26(m,2H), 5.25(d,1H), 5.22(d,1H),
3.88(s,3H), 3.40(s,3H), 2.20(s,3H), 1.33(s,9H).
- 89 δ 7.80(s,1H), 7.58(m,5H), 7.40(m,6H), 7.25(m,1H), 5.25(m,2H),
3.87(s,3H), 3.39(s,3H), 2.25(s,3H).
- 90 δ 7.58(d,1H), 7.42(m,2H), 7.24(m,2H), 7.17(m,2H), 6.85(d,1H),
5.22(m,2H), 4.58(m,1H), 3.89(s,3H), 3.41(s,3H), 2.17(s,3H),
1.33(d,6H).
- 94 δ 7.67(s,1H), 7.60-7.45(m,3H), 7.41(s,2H), 7.22(m,1H), 5.30(d,1H),
5.16(d,1H), 3.49(s,3H), 2.14(s,3H).
- 96 δ 7.80(m,2H), 7.58(m,2H), 7.50(m,3H), 7.25(m,1H), 5.28(d,1H),
5.25(d,1H), 3.89(s,3H), 3.40(s,3H), 2.22(s,3H).
- 99 δ 7.82(s,1H), 7.79(d,1H), 7.58(m,2H), 7.45(m,3H), 7.25(m,1H),
5.22(m,2H), 3.89(s,3H), 3.41(s,3H), 2.77(q,2H), 1.10(t,3H).
- 100 δ 8.45(s,1H), 8.20(m,1H), 7.95(d,1H), 7.6-7.4(m,4H), 7.25(m,1H),
5.30(d,1H), 5.26(d,1H), 3.90(s,3H), 3.41(s,3H), 2.24(s,3H).
- 110 δ 7.60(d,1H), 7.45(m,2H), 7.25(m,1H), 7.20(s,2H), 7.00(s,1H),
5.25(d,1H), 5.21(d,1H), 3.88(s,3H), 3.41(s,3H), 2.32(s,6H), 2.18(s,3H).
- 111 δ 7.8(m,1H), 7.75(m,1H), 7.6-7.4(m,5H), 7.2(m,1H), 5.33(d,1H),
5.17(d,1H), 3.45(s,3H), 2.18(s,3H).

- 112 Major Isomer: δ 7.6-7.4(m,3H), 7.34-7.20(m,5H), 5.24(d,1H),
5.14(d,1H), 3.46(s,3H), 2.10(m,1H), 0.90(m,2H), 0.55(m,2H).
- 113 δ 7.89(s,1H), 7.80(d,1H), 7.60(m,2H), 7.43(m,3H), 7.25(m,1H),
5.28(d,1H), 5.24(d,1H), 3.90(s,3H), 3.42(s,3H), 2.19(s,3H).
- 114 δ 8.6(d,1H), 8.0(d,1H), 7.6(m,2H), 7.5(m,3H), 7.2(m,1H), 5.48(d,1H),
4.6(d,1H), 3.56(s,3H), 3.4(s,3H).
- 115 δ 7.64(s,1H), 7.58-7.42(m,4H), 7.30(m,1H), 7.25(m,1H), 5.29(d,1H),
5.24(d,1H), 3.90(s,3H), 3.41(s,3H), 2.19(s,3H).
- 121 δ 7.6-7.4(m,5H), 7.36(t,1H), 7.20(m,2H), 5.30(d,1H), 5.18(d,1H),
3.47(s,3H), 2.17(s,3H).
- 123 δ 7.72(d,2H), 7.58(d,2H), 7.51(m,1H), 7.34(m,3H), 5.31(s,2H),
3.94(s,3H), 3.43(s,3H), 2.24(s,3H).
- 125 δ 7.62(m,1H), 7.49(m,2H), 7.32(m,5H), 5.28(s,2H), 3.95(s,3H),
3.44(s,3H), 2.21(s,3H).
- 126 δ 7.77(t,1H), 7.49(m,3H), 7.34(m,3H), 7.22(m,1H), 5.28(s,2H),
3.94(s,3H), 3.44(s,3H), 2.2(s,3H).
- 127 δ 7.53(m,3H), 7.32(m,5H), 5.27(s,2H), 3.93(s,3H), 3.43(s,3H),
2.20(s,3H).
- 128 δ 7.48(m,5H), 7.33(m,3H), 5.27(s,2H), 3.93(s,3H), 3.42(s,3H), 2.2(s,3H).
- 129 δ 7.59(m,2H), 7.52(m,1H), 7.34(m,3H), 7.02(m,2H), 5.27(s,2H),
3.94(s,3H), 3.43(s,3H), 2.22(s,3H).
- 130 δ 7.56(m,3H), 7.33(m,3H), 6.86(m,2H), 5.25(s,2H), 3.93(s,3H),
3.81(s,3H), 2.43(s,3H), 2.21(s,3H).
- 131 δ 7.92(m,1H), 7.84(d,1H), 7.6(m,1H), 7.47(m,2H), 7.33(m,3H),
5.30(s,2H), 3.98(s,3H), 3.45(s,3H), 2.23(s,3H).
- 132 δ 7.73(d,2H), 7.62(d,2H), 7.50(m,1H), 7.35(m,3H), 5.31(s,2H),
3.96(s,3H), 3.44(s,3H), 2.23(s,3H).
- 133 δ 7.5(m,3H), 7.33(m,3H), 7.14(d,2H), 5.26(s,2H), 3.92(s,3H), 3.43(s,3H),
2.34(s,3H), 2.21(s,3H).
- 134 δ 7.51(m,2H), 7.34(m,5H), 5.27(s,2H), 3.94(s,3H), 3.43(s,3H),
2.37(s,3H), 2.2(s,3H).
- 135 δ 7.51(m,1H), 7.33(m,3H), 7.18(d,1H), 7.06(m,1H), 6.76(d,1H),
5.95(s,2H), 5.24(s,2H), 3.94(s,3H), 3.43(s,3H), 2.18(s,3H).
- 136 δ 7.53(m,1H), 7.40(s,1H), 7.34(m,4H), 7.1(d,1H), 5.26(s,2H), 3.93(s,3H),
3.43(s,3H), 2.26(s,3H), 2.25(s,3H), 2.21(s,3H).

- 137 δ 7.72(d,1H), 7.44(m,3H), 7.33(m,3H), 5.28(s,2H), 3.96(s,3H),
3.44(s,3H), 2.19(s,3H).
- 138 δ 7.71(m,2H), 7.58(m,5H), 7.44(m,2H), 7.34(m,4H), 5.3(s,2H),
3.93(s,3H), 3.43(s,3H), 2.26(s,3H).
- 139 7.63(m,1H), 7.54(m,1H), 7.37(m,3H), 7.3(m,3H), 5.28(s,2H), 3.92(s,3H),
3.43(s,3H), 2.24(s,3H), 1.33(s,9H).
- 140 δ 8.07(s,2H), 7.83(s,1H), 7.51(m,1H), 7.35(m,3H), 5.35(s,2H),
3.96(s,3H), 3.44(s,3H), 2.27(s,3H).
- 141 δ 7.53(d,1H), 7.34(m,3H), 7.24(m,1H), 7.18(m,2H), 6.89(m,1H),
5.28(s,2H), 3.94(s,3H), 3.82(s,3H), 3.44(s,3H), 2.22(s,3H).
- 142 δ 7.83(t,1H), 7.58(m,5H), 7.43(m,3H), 7.34(m,4H), 5.3(s,2H), 3.91(s,3H),
3.42(s,3H), 2.28(s,3H).
- 143 δ 7.56(m,3H), 7.33(m,5H), 7.13(m,1H), 6.99(m,4H), 5.26(s,2H),
3.94(s,3H), 3.43(s,3H), 2.22(s,3H).
- 144 δ 8.57(d,1H), 7.85(d,1H), 7.65(t,1H), 7.53(d,1H), 7.3-7.4(m,3H),
7.22(t,1H), 5.32(s,2H), 3.95(s,3H), 3.44(s,3H), 2.3(s,3H).
- 145 δ 7.54(m,1H), 7.32(m,3H), 7.2(t,1H), 6.95(m,2H), 6.73(m,1H),
5.27(s,2H), 3.91(s,3H), 3.43(s,3H), 2.95(s,6H), 2.22(s,3H).
- 146 δ 7.52(m,3H), 7.34(m,4H), 7.18(m,1H), 5.29(s,2H), 3.94(s,3H),
3.43(s,3H), 2.22(s,3H).
- 147 δ 7.54(m,3H), 7.33(m,3H), 6.96(m,2H), 6.88(m,4H), 5.25(s,2H),
3.93(s,3H), 3.8(s,3H), 3.43(s,3H), 2.21(s,3H).
- 153 δ 7.5(m,1H), 7.34(m,7H), 7.26(m,1H), 7.11(m,1H), 6.97(m,2H),
5.25(s,2H), 3.92(s,3H), 3.42(s,3H), 2.2(s,3H).
- 154 δ 7.53(m,1H), 7.33(m,3H), 7.24(m,1H), 7.15(m,2H), 6.86(m,1H),
5.27(s,2H), 4.57(m,1H), 3.92(s,3H), 3.43(s,3H), 2.21(s,3H), 1.33(d,6H).
- 155 δ 7.49(m,3H), 7.34(m,4H), 5.29(s,2H), 3.95(s,3H), 3.44(s,3H),
2.18(s,3H).
- 156 δ 7.87(d,1H), 7.78(d,1H), 7.6(m,1H), 7.5(m,2H), 7.33(m,3H), 5.3(s,2H),
3.95(s,3H), 3.44(s,3H), 2.77(q,2H), 1.12(t,3H).
- 157 δ 7.47-7.45(m,1H), 7.39-7.27(m,3H), 5.09(s,2H), 3.95(s,3H), 3.43(s,3H),
1.79-1.68(m,9H), 1.31-1.20(m,5H).
- 158 δ 7.48-7.28(m,4H), 5.10 and 5.08(2s,2H total), 3.95 and
3.81(2s,2H total), 3.44 and 3.35(2s,3H total), 1.85-1.79(m,8H),
1.26-0.84(m,14H).

- 159 δ 7.82(d,2H), 7.77(d,1H), 7.58(m,5H), 7.45(t,1H), 7.34(m,3H),
5.31(s,2H), 3.92(s,3H), 3.42(s,3H) 2.29(s,3H).
- 160 δ 7.48(m,3H), 7.33(m,6H), 7.23(m,1H), 7.14(d,1H), 7.00(d,1H),
5.26(s,2H), 3.93(s,3H), 3.42(s,3H), 2.2(s,3H).
- 161 δ 7.66(s,1H), 7.51(m,2H), 7.33(m,4H), 5.32(s,2H), 3.96(s,3H),
3.44(s,3H), 2.22(s,3H).
- 162 δ 7.53(d,1H), 7.35(m,3H), 7.24(m,2H), 6.98(s,1H), 5.27(s,2H),
3.92(s,3H), 3.43(s,3H), 2.31(s,6H), 2.21(s,3H).
- 164 δ 7.45-7.55(d,1H), 7.30-7.35(m,3H), 6.45(d,1H), 6.05(d,1H), 5.26(s,2H),
3.96(s,3H), 3.43(s,3H), 2.33(s,3H), 2.13(s,3H).
- 165 δ 7.52(d,1H), 7.45(s,1H), 7.37-7.31(m,3H), 6.92(s,1H), 5.30(s,2H),
3.95(s,3H), 3.44(s,3H), 2.50(s,3H), 2.32(s,3H), 2.30(s,3H).
- 166 δ 7.53(m,3H), 7.34(m,3H), 7.18(d,2H), 5.26(s,2H), 3.93(s,3H),
3.43(s,3H), 2.5(br,1H), 2.22(s,3H), 1.78(m,6H), 1.41(m,4H).
- 168 δ 8.57(s,1H), 8.40-8.50(m,2H), 7.43-7.50(m,4H), 7.35-7.40(m,3H),
5.30(s,2H), 3.96(s,3H), 3.44(m,3H), 2.55(s,3H), 2.24(s,3H).
- 169 δ 8.45(t,1H), 7.80(t,1H), 7.57(s,1H), 7.33-7.50(m,6H), 5.35(s,2H),
3.89(s,3H), 3.43(s,3H), 2.33(s,3H).
- 171 δ 7.69(d,2H), 7.62(m,1H), 7.49(m,1H), 7.34(m,3H), 5.29(s,2H),
3.96(s,3H), 3.45(s,3H), 2.17(s,3H).
- 172 δ 7.86(m,2H), 7.5(m,1H), 7.33(m,3H), 7.18(m,1H), 5.29(s,2H),
3.96(s,3H), 3.44(s,3H), 2.23(s,3H).
- 173 δ 7.47(d,1H), 7.30-7.39(m,3H), 7.19(s,1H), 6.79(s,1H), 5.30(s,2H),
3.97(s,3H), 3.91(s,3H), 3.45(s,3H), 2.15(s,3H).
- 176 Major isomer: δ 7.69(t,1H), 7.57(d,2H), 7.35(m,4H), 5.17(s,2H),
4.03(s,3H), 3.97(s,3H), 3.45(s,3H). Minor isomer: δ 7.7(t,1H),
7.6(d,2H), 7.50(m,4H), 5.11(s,2H), 3.88(s,3H), 3.73(s,3H), 3.43(s,3H).
- 177 δ 7.53(m,1H), 7.45(m,1H), 7.42(m,1H), 7.34(m,3H), 7.24(m,1H),
7.17(m,1H), 5.28(s,2H), 3.91(s,3H), 3.42(s,3H), 2.65(q,2H), 2.23(s,3H),
1.23(t,3H).
- 178 δ 7.60-7.30(m,7H), 5.29(s,2H), 3.95(s,3H), 3.94(s,3H), 3.44(s,3H),
2.30(s,3H).
- 179 δ 2.34(s,3H), 3.43(s,3H), 3.93(s,3H), 5.33(s,2H), 7.35(m,3H),
7.47(m,2H), 7.56(t,1H), 7.83(m,4H), 7.97(d,1H).
- 180 δ 2.34(s,3H), 2.51(s,3H), 3.44(d,3H), 3.94(d,3H), 5.32(s,2H), 7.35(m,4H),
7.565(m,2H), 7.71(m,2H), 7.83(m,1H), 7.93(s,1H).

- 181 δ 2.33(s,3H), 3.43(s,3H), 3.91(s,3H), 3.93(s,3H), 5.32(s,2H), 7.13(m,2H),
7.35(m,3H), 7.56(m,1H), 7.70(m,1H), 7.75(m,1H), 7.83(m,1H),
7.905(s,1H).
- 182 δ 2.33(s,3H), 3.44(s,3H), 3.95(s,3H), 5.33(s,2H), 7.35(m,3H),
7.55(m,2H), 7.70(t,2H), 7.925(m,3H).
- 183 δ 1.78(m,4H), 2.21(s,3H), 2.75(d,4H), 3.43(s,3H), 3.92(s,3H), 5.26(s,2H),
7.01(m,1H), 7.20(m,1H), 7.32(m,5H), 7.52(m,1H).
- 189 δ 7.63(m,3H), 7.40(m,5H), 7.20(m,1H), 5.12(AB q, 2H), 3.94(d,3H),
3.875(s,3H), 3.41(s,3H).
- 190 δ 7.75(m,1H), 7.48(m,5H), 7.22(m,2H), 5.24(q,2H), 3.89(s,3H),
3.41(s,3H), 2.16(s,3H).
- 192 δ 8.05(d,1H), 7.6-7.9(m,1H), 7.6(s,1H), 7.4-7.6(m,5H), 7.2-7.3(1H),
5.3(m,1H), 3.7-3.9(d,3H), 3.45(m,3H), 1.6(m,3H).
- 193 δ 3.47(s,3H), 6.7-6.8(m,3H), 7.0(m,3H), 7.12(t,3H), 7.2-7.3(m,2H),
7.3-7.5(m,4H).
- 194 δ 3.38(s,3H), 3.83(s,3H), 6.7-6.8(m,3H), 7.0-7.1(m,3H), 7.1-7.2(m,3H),
7.3-7.4(m,4H).
- 198 δ 7.94(t,1H), 7.66(m,1H), 7.54(m,2H), 7.43(m,2H), 7.23(d,1H),
7.06(t,1H), 5.24(q,2H), 3.88(s,3H), 3.41(s,3H), 2.14(s,3H).
- 199 δ 7.55(m,1H), 7.51(m,2H), 7.46(m,2H), 7.25(m,1H), 5.14(q,2H),
4.08(s,3H), 3.915(s,3H), 3.435(s,3H).
- 200 δ 7.92(s,1H), 7.85(d,1H), 7.60(m,2H), 7.45(m,3H), 7.24(m,1H),
5.14(q,2H), 4.03(s,3H), 3.88(s,3H), 3.41(s,3H).
- 201 δ 7.2-7.5(m,4H), 6.9-7.3(t,1H), 3.42(s,3H), 2.22(s,3H).
- 203 δ 7.55(m,5H), 7.25(d,1H), 5.12(q,2H), 4.07(s,3H), 3.53(s,3H).
- 204 δ 7.85(s,1H), 7.75(d,1H), 7.4-7.7(m,5H), 7.3(d,1H), 7.05(t,1H),
5.23(m,2H), 3.34(s,3H), 2.19(s,3H).
- 205 δ 7.45-7.65(m,5H), 7.33(s,1H), 7.26(d,1H), 5.1-5.4(m,2H), 3.5(s,3H),
2.13(s,3H).
- 207 δ 7.60(d,1H), 7.50-7.30(m,4H), 7.25(m,2H), 5.23(d,1H), 5.20(d,1H),
3.89(s,3H), 3.41(s,3H), 2.18(s,3H), 1.68(s,4H), 1.26(m,12H).
- 209 δ 7.58(m,1H), 7.37(m,2H), 7.23(m,3H), 6.60(d,2H), 4.23(s,2H),
3.86(s,3H), 3.41(s,3H).
- 210 (for the *E/Z* mixture) δ 7.66 and 7.21 (2s,1H total),
7.25-7.54 (m,4H total), 3.93 and 3.91 (2s,3H total), 3.44 (s,3H),
1.54 and 1.25 (2s,9H total).

211	δ 8.18(s,1H), 7.98(m,1H), 7.82(m,1H), 7.50(m,4H), 7.30(d,1H), 5.59(d,1H), 5.46(d,1H), 3.39(s,3H), 3.41(s,3H).
212	δ 7.56(m,2H), 7.44(m,3H), 7.25(m,2H), 5.26(AB q, 2H), 3.9(s,3H), 3.41(s,3H), 2.1(s,3H).
214	δ 7.68(d,1H), 7.6(m,1H), 7.48(m,4H), 7.28(m,1H), 5.41(AB q,2H), 3.91(s,3H), 3.38(s,3H), 3.20(s,3H).
215	δ 7.6(d,1H), 7.3-7.5(m,4H), 7.2(d,1H), 6.95(s,1H), 6.9(d,1H), 4.27(s,2H), 3.86(s,3H), 3.41(s,3H), 1.95(s,3H).
217	δ 7.65(d,1H), 7.6-7.1(m,6H), 5.15(m,2H), 3.95(m,1H), 3.45(s,3H), 2.6(d,3H), 2.2(s,3H), 1.65(s,4H), 1.25(s,12H).
220	δ 3.6(s,3H), 6.8(m,3H), 7.0-7.1(m,3H), 7.1-7.2(m,2H), 7.3(m,1H), 7.35(m,3H), 7.45(m,1H).
221	[in Me ₂ SO- <i>d</i> ₆]: δ 1.95(s,3H), 2.0(s,3H), 3.75(d,2H), 3.85(s,3H), 6.55(m,2H), 7.05(m,1H), 7.4-7.5(m,3H), 9.05(s,1H).
222	δ 7.76(s,1H), 7.60(m,1H), 7.54(m,1H), 7.36(d,1H), 7.32(d,1H), 6.94(d,1H), 5.29(s,2H), 3.89(s,3H), 3.41(s,3H), 2.21(s,3H), 0.28(s,9H).
231	δ 7.67(m,1H), 7.52(m,3H), 7.36(m,4H), 5.35(s,2H), 3.77(s,3H), 3.44(s,3H), 2.20(s,3H), 0.27(s,9H).
236	δ 8.68(d,1H), 7.80(s,1H), 7.75(d,1H), 7.60(m,2H), 7.43(m,2H), 5.54(d,1H), 5.40(d,1H), 3.82(s,3H), 3.35(s,3H), 2.17(s,3H).
237	δ 7.84(s,1H), 7.77(d,J=8Hz, 1H), 7.58(m,2H), 7.45(m,3H), 7.26(m,1H), 5.31(d,J=13Hz, 1H), 5.22(d,J=13Hz, 1H), 3.89(s,3H), 3.81(m,2H), 2.21 (s,3H), 1.33(t,J=7Hz, 3H).
238	δ 1.6-1.8 (m,13H), 2.0-2.1 (m,5H), 3.44 (s,3H), 3.94 (s,3H), 5.09 (s,2H), 7.32 (m,3H), 7.48 (m,1H).
240	δ 7.39 (m,1H), 7.33 (d,2H), 7.26 (m,1H), 6.99 (m,2H), 6.88 (m,2H), 5.01 (s,2H), 3.84 (s,3H), 3.81 (s,3H), 3.41 (s,3H).
243	δ 7.43 (m,3H), 7.28 (m,1H), 7.22 (m,2H), 7.15 (m,1H), 7.04 (m,1H), 5.12 (q,2H) 4.51 (s,2H), 3.89 (s,3H), 3.43 (s,3H), 1.91 (s,3H).
244	δ 7.45 (m,3H), 7.23 (m,1H), 5.06 (q,2H), 4.05 (s,2H), 3.93 (s,3H), 3.895 (s,3H), 3.44(s,3H), 1.94 (s,3H).
246	δ 7.58 (m,1H), 7.41 (m,4H), 7.26 (m,3H), 5.12 (q,2H), 4.08 (d,2H), 3.92 (s,3H), 3.44 (s,3H), 1.96 (s,3H).
247	δ 7.57 (m,1H), 7.44 (m,3H), 7.32 (d,2H), 7.24 (m,1H), 5.27 (q,2H), 3.9 (s,3H), 3.415 (s,3H), 2.12 (s,3H).

- 249 δ 7.44 (m,3H), 7.23 (m,1H), 6.96 (m,1H), 6.81 (m,2H), 5.12 (q,2H),
4.44 (s,2H), 3.9 (s,3H), 3.43 (s,3H), 1.89 (s,3H).
- 250 δ 7.6 (d,1H), 7.15-7.5 (m,5H), 6.95 (s,1H), 6.85 (d,1H), 4.24 (s,2H),
3.85 (s,3H), 3.41 (s,3H), 2.3 (m,2H), 1.05 (t,3H).
- 252 δ 7.45 (m,4H), 7.25 (m,2H), 5.30 and 5.10 (2m,2H total), 3.91 and
3.88 (2s,3H total), 3.42 and 3.41 (2s,3H total), 2.14 and 2.11 (2s,3H
total).
- 254 δ 7.56 (m,3H), 7.45 (m,2H), 7.35 (t,1H), 7.25 (m,1H), 5.12 (q,2H),
4.01 (s,3H), 3.89 (s,3H), 3.43 (s,3H).
- 255 δ 3.47 (s,3H), 3.77 (s,3H), 6.61 (m,2H), 6.70 (m,1H),
7.01 (dd,1H,J=1.2,8.2), 7.2-7.3 (m,2H), 7.34-7.42 (m,2H).
- 259 δ 1.80 (d,6H), 3.52 (s,3H), 5.01 (q,2H), 7.26 (m,1H), 7.52 (m,3H).
- 262 δ 7.85 (d,1H), 7.8 (m,1H), 7.55 (d,1H), 7.45 (m,2H), 7.25 (1H),
7.2 (t,1H), 5.25 (m,2H), 3.9 (s,3H), 3.4 (s,3H), 2.19 (s,3H).
- 265 δ 7.84 (m,3H), 7.64 (d,2H), 7.6-7.55 (m,1H), 7.5-7.4 (m,2H), 3.88 (s,3H),
3.43 (s,3H).
- 273 δ 8.11 (d,2H), 7.85 (d,1H), 7.77 (d,2H), 7.6-7.5 (m,1H), 7.5-7.4 (m,2H),
3.89 (s,3H), 3.43 (s,3H).
- 275 δ 3.38 (s,3H), 3.85 (s,3H), 6.7-6.9 (m,3H), 6.95 (m,1H), 7.1 (m,1H),
7.2-7.4 (m,5H), 7.5 (m,1H), 7.6 (m,1H).
- 276 δ 3.38 (s,3H), 3.84 (s,3H), 6.71-6.78 (m,3H), 7.0-7.1 (m,2H),
7.2-7.4 (m,5H), 7.54 (m,1H), 7.95 (dd,1H,J=1.7,8.0).
- 277 δ 3.39 (s,3H), 3.85 (s,3H), 6.87 (t,1H), J=2.2, 6.92-6.96 (m,2H),
7.08 (d,1H, J=8.2), 7.17-7.26 (m,2H), 7.3-7.4 (m,3H), 8.3-8.4 (m,2H).
- 281 δ 8.13 (s,2H), 7.86 (s,1H), 7.58 (m,1H), 7.46 (m,2H), 7.24 (m,1H),
5.15 (q,2H), 4.11 (s,3H), 3.9 (s,3H), 3.41 (s,3H).
- 282 δ 7.78 (s,2H), 7.625 (s,1H), 7.42 (m,3H), 7.23 (m,1H), 5.03 (q,2H),
3.92 (d,3H), 3.67 (s,2H), 3.42 (s,3H), 1.905 (s,3H).
- 283 δ 7.45 (m,4H), 7.33 (s,2H), 7.24 (m,1H), 5.12 (q,2H), 4.57 (s,2H),
3.91 (s,3H), 3.43 (s,3H), 1.925 (s,3H).
- 298 δ 8.0 (m,1H), 7.88 (m,1H), 7.625 (m,1H), 7.48 (m,4H), 7.25 (m,1H),
5.09 (m,2H), 4.046 (s,3H), 3.75 (s,3H), 3.49 (s,3H), 2.41 (s,3H), 2.32
(s,3H). Compound is a 1:2 mixture of geometric isomers.
- 299 δ 7.85 (m,1H), 7.75 (m,1H), 7.38 (m,6H), 5.10 (q,2H), 4.10 (s,2H),
3.91 (s,3H), 3.44 (s,3H), 1.95 (s,3H).

180

- 300 δ 3.41 (s,3H), 3.88 (s,3H), 4.02 (s,3H), 5.17 (AB q,2H), 7.25 (m,1H),
7.46 (m,4H), 7.64 (m,1H), 7.8 (m,4H), 8.12 (s,1H).
- 301 δ 3.37 (s,3H), 3.86 (s,3H), 6.7-6.9 (m,3H), 7.0-7.1 (m,3H), 7.2-7.5
(m,4H), 7.61 (d,2H,J=9.0).
- 302 3.37 (s,3H), 3.86 (s,3H), 6.8-6.9 (m,3H), 7.03 (dd,2H,J=2.3,7.1),
7.1 (m,1H), 7.25 (m,1H), 7.3-7.5 (m,3H), 8.21 (dd,1H,J=2.3,7.1).
- 305 δ 7.37 (m,2H), 7.26 (m,3H), 7.02 (m,3H), 3.86 (s,3H), 3.37 (s,3H).
- 307 δ 8.30 (d,1H), 7.85 (dd,1H), 7.60-7.40 (m,3H), 7.20 (d,1H), 6.70 (d,1H),
5.21 (AB q,2H), 3.94 (s,3H), 3.89 (s,3H), 3.41 (s,3H), 2.17 (s,3H).
- 308 δ 7.41 (m,3H), 7.21 (m,3H), 7.14 (m,1H), 5.06 (q,2H), 3.9 (s,3H),
3.58 (s,2H), 3.43 (s,3H), 1.89 (s,3H).
- 311 δ 8.18 (m,2H), 7.65 (m,1H), 7.55 (t,1H), 7.49 (s,2H), 7.13 (t,2H),
3.78 (s,3H), 3.36 (s,3H).
- 314 δ 3.39 (s,3H), 3.85 (s,3H), 6.8-7.0 (m,4H), 7.0-7.1 (m,2H), 7.2 (m,1H),
7.3-7.4 (m,3H), 7.69 (m,1H), 8.19 (dd,1H,J=1.8,5.0).
- 315 δ 3.40 (s,3H), 3.84 (s,3H), 6.9-7.0 (m,3H), 7.0-7.1 (m,2H),
7.2-7.3 (m,1H), 7.35-7.40 (m,3H), 8.55 (d,2H,J=4.7).
- 316 δ 2.38 (s,3H), 3.36 (s,3H), 3.79 (s,3H), 6.35 (d,1H,J=0.7), 7.0 (m,2H),
7.2-7.25 (m,2H), 7.3-7.6 (m,4H), 8.41 (d,1H,J=0.7).
- 334 δ 8.08 (d,2H), 7.65 (d,1H), 7.55 (m,1H), 7.49 (m,2H), 7.28 (d,2H),
3.77 (s,3H), 3.37 (s,3H), 2.52 (s,3H).
- 336 δ 7.41 (m,4H), 7.26 (m,2H), 7.21 (m,1H), 7.02 (d,1H), 3.81 (s,3H),
3.357 (s,3H).
- 337 [in Me₂SO-d₆]: δ 7.92-7.45 (m,8H), 3.79 (s,3H), 3.25 (s,3H).
- 339 δ 2.88 (s,3H), 3.37 (s,3H), 3.79 (s,3H), 6.35 (d,1H,J=0.7), 6.9 (m,2H),
7.10 (d,1H,J=7.5), 7.3-7.5 (m,5H), 8.43 (d,1H,J=0.7).
- 342 δ 8.22 (d,2H), 7.61-7.48 (m,4H), 7.28 (m,2H), 3.78 (s,3H), 3.36 (s,3H).
- 344 δ 8.19 (d,2H), 7.65-7.50 (m,2H), 7.49 (s,2H), 7.17 (d,2H), 6.57 (t,1H),
3.78 (s,3H), 3.36 (s,3H).
- 346 δ 7.86 (d,1H), 7.77 (m,1H), 7.55 (m,1H), 7.44 (m,2H), 7.23 (d,1H),
6.95 (d,1H), 5.24 (q,2H), 4.44 (q,2H), 3.9 (s,3H), 3.4 (s,3H), 2.18
(s,3H).
- 347 δ 7.79 (t,1H), 7.57 (d,2H), 7.5 (m,3H), 7.23 (m,2H), 5.12 (AB q,2H),
3.98 (s,3H), 3.88 (s,3H), 3.42 (s,3H).
- 352 δ 2.22 (s,3H), 3.38 (s,3H), 3.82 (s,3H), 6.60-6.66 (m,3H), 6.97 (m,1H),
7.0-7.1 (m,2H), 7.1-7.3 (m,4H), 7.4-7.5 (m,2H).

- 353 δ 7.53 (m,2H), 7.45 (m,2H), 3.81 (s,3H), 3.40 (s,3H), 2.15 (m,1H),
1.0 (m,4H).
- 354 δ 7.56 (m,1H), 7.50 (m,1H), 7.46 (m,2H), 3.79 (s,3H), 3.40 (s,3H),
3.23 (t,1H), 2.05 (br m,2H), 1.90 (br m,2H), 1.80 (br m,2H), 1.70
(br m,2H).
- 355 [in C_6D_6]: δ 7.7 (d,2H), 7.2 (d,2H), 6.85 (m,4H), 6.6 (d,1H), 6.2 (d,1H),
5.35 (d,1H), 4.75 (d,1H), 3.10 (s, 3H).
- 356 δ 8.09 (d,2H), 7.65 (d,1H), 7.55 (m,1H), 7.5-7.35 (m,4H), 3.70 (s,3H),
3.24 (s,3H).
- 357 δ 7.49 (s,1H), 7.4 (m,1H), 7.3 (d,1H), 7.1 (m,2H), 5.19 (dd,2H),
3.90 (s,3H), 3.44 (s,3H).
- 360 δ 8.2 (s,1H), 7.95 (d,1H), 7.8 (d,1H), 7.6 (d,1H), 7.45 (m,3H), 7.25 (1H),
5.25 (m,2H), 3.89 (s,3H), 3.41 (s,3H), 2.22 (s,3H), 1.6 (s,9H).
- 363 δ 8.1 (d,1H), 8.0 (s,1H), 7.75-7.6 (m,2H), 7.55-7.4 (m,3H), 7.3 (m,1H),
3.45 (s,3H).
- 364 δ 8.24 (s,1H), 8.0 (d,1H), 7.65 (m,2H), 7.5 (m,3H), 3.45 (s,3H).
- 367 δ 8.26 (d,2H), 7.75-7.6 (m,4H), 7.55-7.45 (m,2H), 3.45 (s,3H).
- 368 δ 8.06 (d,2H), 7.75 (d,1H), 7.7-7.6 (m,1H), 7.5-7.4 (m, 4H), 3.46 (s,3H),
1.34 (s,9H).
- 369 δ 7.71 (d,1H), 7.65-7.55 (m,1H), 7.5-7.4 (m,2H), 3.49 (s,3H), 1.35 (s,9H).
- 370 δ 3.34 (s,3H), 3.76 (s,3H), 6.49 (d,1H,J=7.9), 6.53 (d,1H,J=7.9).
7.1-7.2 (m,3H), 7.2-7.4 (m,6H), 7.64 (t,1H,J=8.0).
- 372 δ 3.40 (s,3H), 3.74 (s,3H), 3.84 (s,3H), 6.5-6.6 (m,2H), 6.7 (m,1H),
6.95 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H), 7.6-7.7 (m,1H),
8.07 (dd,1H,J=1.8, 8.1), 8.15 (dd,1H,J=1.7,7.8).
- 373 δ 7.93 (m,3H), 7.56 (m,1H), 7.43 (m,2H), 7.25 (m,1H), 5.3 (q,2H),
3.89 (s,3H), 3.4 (s,3H), 2.15 (s,3H).
- 374 δ 7.5 (d,1H), 7.4 (m,4H), 7.2 (d,1H), 7.1 (d,2H), 5.1 (q,2H), 3.89 (s,3H),
3.4 (m,5H), 1.715 (s,3H), 0.252 (s,10H).
- 375 δ 7.4-7.6 (m,5H), 7.2 (d,2H), 7.1 (d,2H), 5.0-5.2 (q,2H), 3.5 (s, 4H),
3.382 (s,2H), 1.695 (s,3H), 0.250 (s,10H).
- 381 δ 3.4 (s,3H), 3.8 (s,3H), 7.2-7.6 (m,6H), 7.8 (d,1H), 8.0 (s,1H).
- 384 δ 3.34 (s,3H), 3.82 (s,3H), 7.05 (m,1H), 7.21 (m,2H), 7.36-7.50 (m,5H),
7.71 (d,1H), 7.81 (d,2H).

- 386 δ 2.33 (s,3H), 3.38 (s,3H), 3.83 (s,3H), 6.65-6.75 (m,3H),
6.95 (d,2H,J=8.5), 7.01 (dd,1H,J=1.3,8.3), 7.14 (d,2H,J=8.2),
7.2-7.3(m,2H), 7.3-7.4 (m,2H).
- 387 δ 3.39 (s,3H), 3.82 (s,3H), 3.83 (s,3H), 6.65-6.72 (m,3H),
6.99-7.04 (m,2H), 7.2-7.3 (m,3H), 7.3-7.4 (m,2H), 7.5 (m,1H).
7.91 (dd,1H,J=1.8,7.8)
- 389 δ 2.05 (s,3H), 3.39 (s,3H), 3.83 (s,3H), 6.7-6.8 (m,3H), 6.8-6.9 (m,2H).
6.95 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,3H), 7.3-7.4 (m,2H).
- 390 δ 8.15 (s,1H), 8.05 (m,1H), 7.65 (d,1H), 7.55 (t,1H), 7.46 (m,4H),
3.70 (s,3H), 3.24 (s,3H).
- 391 δ 7.80 (s,1H), 7.65 (d,1H), 7.45 (m,2H), 7.35 (m,2H), 7.30-7.20 (m,3H),
6.53 (s,1H), 5.50 (d,1H), 5.35 (d,1H), 3.80 (s,3H), 3.43 (s,3H).
- 392 δ 7.67 (d,2H), 7.62 (d,1H), 7.60-7.35 (m,4H), 3.77 (s,3H), 3.35 (s,3H).
- 393 δ 7.55 (m,2H), 7.45 (m,2H), 3.89 (s,3H), 3.42 (s,3H), 3.40 (s,3H).
- 394 δ 7.63 (d,2H), 7.5 (m,1H), 7.43 (m,1H), 3.5 (s,3H), 3.42 (s,3H).
- 395 δ 8.18 (d,2H), 7.75-7.6 (m,2H), 7.55-7.45 (m,2H), 7.25 (m,2H),
3.45 (s,3H).
- 396 δ 3.4 (s,3H), 3.9 (s,3H), 7.3-7.6 (m,8H), 8.1 (d,1H).
- 397 δ 3.4 (s,3H), 3.9 (s,3H), 7.38-7.5 (m,7H), 8.2 (1H), 7.61 (d,1H).
- 400 δ 7.93 (t,1H), 7.845 (t,1H), 7.77 (t,1H), 7.57 (m,1H), 7.45 (m,2H),
7.25 (m,1H), 5.12 (q,2H), .40 (s,3H), 3.9 (s,3H), 3.43 (s,3H).
- 401 δ 7.74 (s,1H), 7.6 (m,2H), 7.45 (m,2H), 7.31 (d,1H), 7.2 (m,1H),
5.13 (AB q,2H), 4.06 (s,3H), 3.90 (s,3H), 3.42 (s,3H).
- 402 δ 7.85 (1H), 7.65 (m,2H), 7.4 (m,2H), 7.25 (m,2H), 4.6 (m,2H),
3.94 (s,3H), 3.39 (s,3H).
- 406 δ 7.87 (d,2H), 7.45-7.35 (m,3H), 7.3 (m,2H), 7.07 (t,2H), 5.33 (s,2H),
3.92 (s,3H), 3.46 (s,3H).
- 410 δ 3.4 (s,3H), 3.89 (s,3H), 7.4-7.73 (m,8H).
- 411 δ 3.4 (s,3H), 3.87 (s,3H), 7.3-7.6 (m,12H).
- 412 δ 2.3 (s,9H), 3.4 (s,3H), 3.87 (s,3H), 7.5 (m,6H), 7.7 (d,2H).
- 415 δ 3.40 (s,3H), 3.80 (s,3H), 6.6-6.8 (m,3H), 6.9-7.1 (m,4H), 7.2 (m,2H),
7.4 (m,3H).
- 417 δ 2.14 (s,3H), 3.35 (s,3H), 3.75 (s,3H), 6.40 (d,1H,J=8.0).
6.48 (d,1H,J=8.0), 7.03 (m,1H), 7.1-7.3 (m,5H), 7.3-7.4 (m,2H),
7.59 (m,1H).

- 418 δ 3.38 (s,3H), 3.82 (s,3H), 6.65-6.75 (m,3H), 7.0-7.3 (m,7H),
7.3-7.4 (m,2H).
- 419 δ 3.38 (s,3H), 3.86 (s,3H), 6.8-6.9 (m,2H), 7.0-7.1 (m,2H), 7.15 (m,1H),
7.2-7.3 (m,1H), 7.4-7.5 (m,3H), 8.35 (m,1H), 8.6 (m,1H).
- 420 δ 3.39 (s,3H), 3.82 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.9-7.0 (m,1H),
7.0 (m,3H), 7.1-7.2 (m,3H), 7.3-7.4 (m,2H).
- 421 δ 3.39 (s,3H), 3.82 (s,3H), 3.93 (s,3H), 6.46 (d,1H,J=7.8),
6.66 (d,1H,J=7.7), 6.9-7.0 (m,3H), 7.1-7.3 (m,4H), 7.4 (m,2H).
- 422 δ 3.39 (s,3H), 3.85 (s,3H), 6.68 (d,1H,J=6.5), 6.85-6.95 (m,3H),
7.04 (dd,1H,J=1.2,8.3), 7.25 (m,1H), 7.30-7.45 (m,4H).
- 423 δ 3.38 (s,3H), 3.83 (s,3H), 6.7-6.8 (m,3H), 7.0-7.1 (m,2H),
7.2-7.3 (m,3H), 7.4 (m,2H), 7.5 (m,1H), 7.66 (m,1H).
- 424 δ 2.13 (s,6H), 3.38 (s,3H), 3.81 (s,3H), 6.5 (m,2H), 6.6 (m,1H),
7.0-7.2 (m,6H), 7.35 (m,2H).
- 425 δ 3.4 (s,3H), 3.89 (s,3H), 7.4 (m,5H), 7.7 (s,2H).
- 427 δ 8.18 (s,1H), 8.05 (d,1H), 7.75 (m,2H), 7.55 (m,2H), 7.4 (m,2H),
3.45 (s,3H).
- 428 δ 3.4 (s,3H), 3.89 (s,3H), 7.3-7.6 (m,6H), 7.65 (d,1H), 7.8 (3,1H).
- 430 δ 7.65 (d,1H), 7.05-7.6 (m,11H), 6.9 (d,1H), 5.15 (m,2H), 3.89 (s,3H),
3.38 (s,3H).
- 442 δ 7.82 (t,1H), 7.64 (m,3H), 7.44 (m,3H), 7.25 (m,1H), 6.71 (s,1H),
5.13 (q,2H), 4.0 (s,3H), 3.88 (s,3H), 3.41 (s,3H).
- 447 δ 3.39 (s,3H), 3.83 (s,3H), 6.60-6.75 (m,3H), 7.00-7.10 (m,3H),
7.2-7.4 (m,5H), 7.62 (dd,1H,J=1.6,7.8).
- 448 δ 1.19 (t,3H), 2.62 (q,2H,J=7.5), 3.39 (s,3H), 3.82 (s,3H),
6.60-6.70 (m,3H), 6.95 (m,1H), 7.05 (m,1H), 7.1-7.3 (m,5H),
7.38 (m,2H).
- 449 δ 7.55 (m,2H), 7.47 (m,2H), 3.86 (s,3H), 3.39 (s,3H).
- 452 δ 8.05 (s,1H), 7.65 (d,1H), 7.60 (m,1H), 7.55 (m,1H), 7.45 (m,2H),
7.35 (m,1H), 3.78 (s,3H), 3.36 (s,3H).
- 453 δ 7.75 (s,1H), 7.60 (d,1H), 7.55 (m,1H), 7.45 (m,2H), 7.40 (d,1H),
7.10 (m,1H), 3.79 (s,3H), 3.38 (s,3H).
- 454 δ 3.4 (s,3H), 3.87 (s,3H), 7.3-7.6 (m,13H).
- 455 δ 3.4 (s,3H), 3.9 (s,3H), 7.4-7.6 (m,4H), 8.0 (s,1H), 8.3 (s,2H).
- 462 δ 7.65-7.55 (m,2H), 7.5-7.45 (m,3H), 7.04 (d,1H), 3.80 (s,3H),
3.38 (s,3H).

- 466 δ 3.408 (s,3H), 3.89 (s,3H), 7.4-7.6 (m,3H), 7.7 (d,1H), 7.9 (d,1H).
- 467 δ 8.2 (s,1H), 8.1 (d,1H), 7.6 (d,1H), 7.35-7.55 (m,5H), 3.84 (s,3H),
3.40 (s,3H).
- 468 δ 3.35 (s,3H), 3.84 (s,3H), 3.88 (s,3H), 7.0 (m,4H), 7.2 (m,2H),
7.4 (m,2H), 7.71 (dd,2H), 8.03 (s,1H).
- 469 δ 3.38 (s,3H), 3.86 (s,3H), 6.68 (d,1H,J=8.5), 6.80 (m,1H),
6.82-6.91 (m,3H), 7.07 (dd,1H,J=1.0,8.2), 7.2(m,1H), 7.3-7.5 (m,4H).
- 470 δ 3.40 (s,3H), 3.83 (s,3H), 6.66 (m,2H), 6.76 (m,1H), 7.04 (d,1H,J=8.2),
7.2-7.5 (m,7H).
- 471 δ 3.40 (s,3H), 3.83 (s,3H), 6.6 (m,1H), 6.65 (m,1H), 6.80 (m,1H),
7.00 (m,1H), 7.2-7.3 (m,2H),7.35-7.40 (m,2H), 7.55-7.60 (m,1H),
8.19 (d,2H,J=8.2).
- 472 δ 3.38 (s,3H), 3.84 (s,3H), 6.7-6.9 (m,5H), 7.0-7.5 (m,6H).
- 473 δ 2.16 (s,3H), 2.29 (s,3H), 3.38 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H),
6.76 (m,1H), 6.89 (d,1H,J=7.8), 7.02 (m,1H), 7.1 (m,1H),
7.2-7.3 (m,2H), 7.3-7.4 (m,2H).
- 479 δ 7.57 (m,2H), 7.44 (m,2H), 7.24 (m,2H), 7.05 (d,1H), 5.21 (q,2H),
3.89 (s,3H), 3.4 (s,3H), 3.02 (m,2H), 2.15 (s,3H), 1.95 (m,2H),
1.33 (s,6H).
- 480 δ 7.48 (m,4H), 7.27 (m,3H), 5.23 (q,2H), 3.89 (s,3H), 3.66 (t,2H),
3.4 (s,3H), 3.09 (t,2H), 2.17 (s,3H), 2.06 (m,2H).
- 481 δ 7.5 (m,4H), 7.2(m,2H), 7.03 (d,1H), 5.26 (AB q,2H), 3.46 (s,3H),
3.01 (m,2H), 2.14 (s,3H), 1.9 (m,2H), 1.32 (s,6H).
- 482 δ 7.51 (m,4H), 7.26 (m,3H), 5.22 (dd,2H), 3.65 (t,2H), 3.46 (s,3H),
3.08 (t,2H), 2.15 (s,3H), 2.08 (m,2H).
- 483 δ 7.55 (d,1H), 7.45 (m,2H), 7.2-7.35 (m,4H), 6.95 (d,1H), 5.25 (m,2H),
4.4 (m,2H), 3.88 (s,3H), 3.40 (s,3H), 2.18 (s,3H).
- 485 δ 7.6-7.45 (m,5H), 3.82 (s,3H), 3.38 (s,3H).
- 490 δ 8.35 (s,1H), 8.15 (d,1H), 7.7-7.4 (m,8H), 7.36 (m,3H), 3.78 (s,3H),
3.37 (s,3H).
- 492 δ 8.15 (s,1H), 8.00 (d,1H), 7.65-7.30 (m,6H), 4.24 (q,2H), 3.76 (s,3H),
3.37 (s,3H), 1.48 (t,3H).
- 493 δ 8.35 (s,1H), 8.15 (d,1H), 7.7-7.4 (m,7H), 7.09 (m,3H), 3.79 (s,3H),
3.38 (s,3H).
- 494 δ 8.65 (d,1H), 8.40 (s,1H), 8.20 (d,1H), 7.75-7.4 (m,9H), 3.78 (s,3H),
3.38 (s,3H).

185

- 495 δ 8.25 (s,1H), 8.10 (d,1H), 7.65-7.45 (m,5H), 7.40 (t,1H), 4.9 (m,1H),
4.51 (m,2H), 3.90 (m,1H), 3.77 (s,3H), 3.60 (m,1H), 3.37 (s,3H),
1.90-1.55 (m,6H).
- 497 δ 10.09 (s,1H), 8.66 (s,1H), 8.45 (d,1H), 8.0 (d,1H), 7.7-7.55 (m,3H),
7.5 (m,2H), 3.80 (s,3H), 3.36 (s,3H).
- 499 δ 3.38 (s,3H), 3.86 (s,3H), 6.75 (s,1H), 6.75-6.83 (m,2H),
6.88 (d,2H,J=1.7), 7.05 (m,2H), 7.09 (t,1H,J=1.7), 7.2-7.4 (m,3H).
- 503 δ 3.38 (s,3H), 3.84 (s,3H), 6.7-6.8 (m,3H), 7.04 (dd,1H,J=1.1, 8.2).
7.19-7.45 (m,9H).
- 504 δ 3.38 (s,3H), 3.84 (s,3H), 6.70 (m,2H), 6.75-6.83 (m,4H),
7.04 (dd,1H,J=1.0,8.2), 7.2-7.3 (m,3H), 7.35-7.40 (m,2H).
- 505 δ 3.38 (s,3H), 3.84 (s,3H), 6.7-6.8 (m,3H), 6.8-6.9 (m,1H),
6.9-7.1 (m,3H), 7.2-7.3 (m,2H), 7.35-7.40 (m,2H).
- 506 δ 3.38 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.80-7.00 (m,4H),
7.20-7.25 (m,2H), 7.30-7.40 (m,2H).
- 508 δ 8.9 (s,1H), 8.4 (d,1H), 7.65 (d,1H), 7.55 (m,1H), 7.49 (m,2H),
6.9 (d,1H), 4.82 (q,2H), 3.80 (s,3H), 3.37 (s,3H).
- 515 δ 7.85 (s,1H), 7.8 (d,1H), 7.3-7.6 (m,6H), 3.85 (s,3H), 3.40 (s,3H),
2.49 (s,3H).
- 526 δ 7.57 (m,2H), 7.49 (m,2H), 7.02 (d,1H), 6.45 (d,1H), 3.80 (s,3H),
3.37 (s,3H).
- 527 δ 8.21 (d,2H), 8.1 (d,2H), 7.7-7.4 (m,9H), 3.77 (s,3H), 3.37 (s,3H).
- 528 δ 7.7 (d,1H), 7.6-7.4 (m,5H), 7.25 (m,1H), 6.9 (dd,1H), 6.75 (s,1H),
3.80 (s,3H), 3.34 (s,3H).
- 530 δ 7.55 (m,2H), 7.45 (m,2H), 3.83 (s,3H), 3.39 (s,3H), 1.32 (s,9H).
- 531 δ 7.75 (d,1H), 7.7-7.4 (m,5H), 7.3 (m,1H), 6.95 (dd,1H), 3.77 (s,3H),
3.38 (s,3H), 1.00 (t,9H), 0.76 (q,6H).
- 532 δ 7.75 (d,1H), 7.65 (m,2H), 7.6-7.45 (m,3H), 7.3 (m,1H), 6.9 (m,1H),
3.77 (s,3H), 3.38 (s,3H), 1.00 (s,9H), 0.22 (s,6H).
- 533 δ 8.1 (d,1H), 8.05 (s,1H), 7.65-7.55 (m,2H), 7.5-7.45 (m,3H),
7.3 (dd,1H), 4.88 (s,2H), 3.78 (s,3H), 3.37 (s,3H).
- 534 δ 8.1 (d,1H), 8.0 (s,1H), 7.65-7.45 (m,5H), 7.3 (m,1H), 6.5 (q,1H),
3.78 (s,3H), 3.37 (s,3H), 1.92 (d,3H).
- 535 δ 8.1 (d,1H), 8.0 (s,1H), 7.65-7.55 (m,2H), 7.5-7.45 (m,3H), 7.3 (m,1H),
7.15 (dd,1H), 5.05 (dd,1H), 4.7 (dd,1H), 3.78 (s,3H), 3.37 (s,3H).

- 536 δ 8.05 (d,1H), 7.95 (s,1H), 7.65-7.4 (m,5H), 7.15 (dd,1H), 3.77 (s,3H),
3.37 (s,3H), 1.37 (s,9H).
- 537 δ 7.95 (d,1H), 7.7-7.3 (m,6H), 7.15 (dd,1H), 5.28 (s,2H), 3.8 (s,2H),
3.77 (s,3H), 3.38 (s,3H), 0.95 (m,2H), 0.0 (s,9H).
- 538 δ 8.2 (d,1H), 8.1 (s,1H), 7.65-7.45 (m,5H), 7.35 (dd,1H), 3.80 (s,3H),
3.37 (s,3H).
- 539 δ 7.64 (d,1H), 7.55 (m,1H), 7.47 (m,3H), 3.80 (s,3H), 3.39 (s,3H).
- 540 δ 7.54 (m,2H), 7.46 (m,2H), 7.33 (s,1H), 7.24 (m,3H), 4.09 (s,2H),
3.73 (s,3H), 3.39 (s,3H).
- 541 δ 7.53 (m,2H), 7.46 (m,2H), 7.27 (s,4H), 4.08 (s,2H), 3.73 (s,3H),
3.38 (s,3H).
- 547 [in C_6D_6]: δ 8.15 (s,1H), 8.1 (d,1H), 7.15 (m,1H), 7.1 (t,1H),
7.05 (m,1H), 6.9 (dd,1H), 6.8 (m,2H), 3.25 (s,3H), 3.0 (s,3H),
0.15 (s,9H).
- 548 δ 7.75 (d,1H), 7.7 (s,1H), 7.65 (s,1H), 7.55 (m,1H), 7.5 (m,2H),
7.35 (t,1H), 7.0 (d,1H), 6.15-6.0 (m,1H), 5.45 (d,1H), 5.3 (d,1H),
4.6 (d,2H), 3.77 (s,3H), 3.37 (s,3H).
- 549 δ 7.8 (d,1H), 7.75 (s,1H), 7.65 (s,1H), 7.55 (m,1H), 7.5 (m,2H),
7.35 (t,1H), 7.05 (dd,1H), 6.05 (s,1H), 5.7 (s,1H), 4.7 (s,2H), 3.78
(s,3H), 3.37 (s,3H).
- 553 δ 7.3-7.5 (m,3H), 7.2 (s,1H), 4.4-4.7 (q,2H), 3.861 (s,3H), 3.6 (q,2H),
3.384 (s,3H).
- 554 δ 7.65 (s,2H), 7.35-7.6 (m,4H), 7.3 (1H), 7.1 (1H), 3.8 (s,3H), 3.4 (s,3H).
- 556 δ 8.21 (s,1H), 8.05 (d,1H), 7.65 (d,1H), 7.55 (m,1H), 7.5 (m,3H),
7.4 (m,1H), 6.8 (dd,1H), 5.85 (d,1H), 5.3 (d,1H), 3.77 (s,3H),
3.37 (s,3H).
- 562 δ 8.2 (s,1H), 8.1 (d,1H), 7.35-7.65 (m,6H), 3.84 (s,3H), 3.40 (s,3H).
- 563 [in Me_2SO-d_6]: δ 7.75 (d,1H), 7.65 (m,2H), 7.55 (t,1H), 3.80 (s,3H),
3.5 (m,4H), 3.27 (s,3H), 1.15 (m,6H).
- 564 δ 7.85 (s,1H), 7.75 (d,1H), 7.3-7.6 (m,6H), 3.84 (s,3H), 3.40 (s,3H),
2.9 (m,2H), 1.3 (t,3H).
- 566 δ 8.82 (d, $J=5$ Hz, 1H), 7.95 (s,1H), 7.61 (m,1H), 7.47 (m,3H),
7.25 (m,1H), 5.19 (m,2H), 4.11 (s,3H), 3.90 (s,3H), 3.42 (s,3H).
- 567 δ 8.14 (d,2H), 7.6 (d,2H), 7.56 (m,2H), 7.49 (m,2H), 3.78 (s,3H),
3.36 (s,3H), 3.19 (s,1H).

568	δ 8.11 (d,2H), 7.6 (m,2H), 7.53 (d,2H), 7.48 (m,2H), 3.77 (s,3H), 3.36 (s,3H), 0.26 (s,9H).
569	δ 7.55 (m,2H), 7.46 (m,2H), 3.86 (s,3H), 3.40 (s,3H).
570	δ 7.51 (m,5H), 7.26 (m,3H), 5.23 (q,2H), 3.89 (s,3H), 3.41 (s,3H), 2.48 (s,3H), 2.17 (s,3H).
571	δ 7.55 (d,1H), 7.39 (m,4H), 7.2 (m,1H), 7.08 (1H), 6.99 (d,1H), 4.34 (m,2H), 3.84 (s,3H), 3.42 (s,3H), 2.46 (s,3H).
572	δ 8.25 (m,1H), 8.15 (d,1H), 7.65-7.45 (m,6H), 7.39 (t,1H), 3.78 (s,3H), 3.37 (s,3H).
573	δ 7.65 (d,1H), 7.55 (m,1H), 7.49 (m,4H), 6.85 (m,1H), 5.26 (s,4H), 3.77 (m,7H), 3.39 (s,3H), 1.0 (m,4H), 0.00 (s,18H).
575	δ 7.78 (distorted d,1H), 7.57-7.50 (m,2H), 7.45-7.40 (m,2H), 7.30-7.28 (m,1H), 7.04 (s,1H), 6.83-6.80 (m,1H), 3.95 (apparent d, 3H), 1.28 (s,9H).
576	δ 7.6 (d,1H), 7.45 (m,4H), 7.4 (s,1H), 7.25 (m,2H), 5.0 (m,2H), 3.91 (s,3H), 3.41 (s,3H), 2.24 (s,3H).
577	δ 7.55 (m,2H), 7.5 (m,2H), 7.45 (d,2H), 6.67 (t,1H), 4.43 (q,4H), 3.81 (s,3H), 3.33 (s,3H).
580	δ 7.55 (s,1H), 7.4 (m,4H), 7.2 (m,1H), 6.7 (m,2H), 4.33 (m,2H), 3.86†(s,3H), 3.43 (s,3H), 2.44 (s,3H).
581	δ 7.53 (d,1H), 7.4 (m,2H), 7.2 (m,1H), 7.06 (m,1H), 6.71 (d,2H), 4.32 (q,2H), 3.875 (s,3H), 3.44 (s,3H), 2.46 (s,3H).
589	major component: δ 7.33 (d,1H), 6.95 (d,1H), 5.31 (d,2H), 3.904 (s,3H), 3.42 (s,3H), 2.74 (q,2H), 1.11 (t,3H) plus peaks overlapping with minor component at 7.88 (d), 7.79 (m), 7.61 (d), 7.49 (t); minor component: δ 6.62 (s,1H), 5.22 (d,2H), 3.899 (s,3H), 3.41 (s,3H), 2.45 (s,3H), 2.22 (d,3H) plus peaks overlapping with major component at 7.88 (d), 7.79 (m), 7.61 (d), 7.49 (t).
604	δ 8.69 (m,1H), 7.94 (s,1H), 7.85-7.73 (m,4H), 7.61 (d,1H), 7.59-7.45 (m,2H), 7.38 (dd,1H), 5.50 (AB pattern, 2H), 3.78 (s,3H), 3.35 (s,3H), 2.25 (s,3H).
613	δ 7.70 (s,1H), 7.54 (m,4H), 7.34 (t,1H), 5.11 (s,2H), 3.86 (s,3H), 3.32 (s,3H), 2.14 (s,3H), 0.28 (s,9H).
614	δ 7.86 (s,1H), 7.76 (d,1H), 7.64 (d,1H), 7.59 (d,1H), 7.54 (d,1H), 7.53-7.47 (m,1H), 5.01 (br s,2H), 4.96 (s,2H), 3.89 (s,3H), 3.33 (s,3H).

645	δ 7.65 (d,1H), 7.6 (s,1H), 7.4-7.55 (m,4H), 7.35 (t,1H), 7.25 (d,1H), 5.2 (m,2H), 4.0 (m,1H), 3.43 (s,3H), 2.6 (d,3H), 2.23 (s,3H), 0.24 (s,9H).
649	δ 7.6 (d,1H), 7.4-7.55 (m,5H), 7.35 (m,2H), 5.24 (s,2H), 3.54 (s,3H), 2.15 (s,3H).
650	δ 7.65 (m,2H), 7.55 (s,2H), 7.5 (m,2H), 7.25 (1H), 5.2 (m,2H), 4.1 (m,1H), 3.43 (s,3H), 2.55 (d,3H), 2.24. (s,3H), 0.25 (s,18H).
652	δ 7.2-7.7 (m,8H), 5.3 (d,2H), 3.4 (s,3H), 2.6 (s,6H), 2.2 (s,3H), 0.3 (s,9H).
653	δ 7.85 (s,1H), 7.75 (d,1H), 7.6 (m,2H), 7.45 (m,3H), 7.2 (d,1H), 5.2 (m,2H), 3.5 (s,3H), 2.2 (s,3H), 2.0 (s,3H).
654	δ 7.45 (s,1H), 7.25-7.4 (m,3H), 7.2 (d,1H), 3.55 (s,3H), 2.28 (s,3H).
655	δ 7.25-7.4 (m,3H), 7.15 (d,1H), 3.5 (s,3H), 2.3 (m,2H), 2.2 (s,3H), 1.1 (t,3H).
656	δ 8.1 (d,1H), 8.0 (s,1H), 7.65-7.4 (m,4H), 7.3 (m,1H), 3.79 (s,3H), 3.36 (s,3H).
658	δ 8.03 (s,2H), 7.55 (s,2H), 7.5 (s,1H), 7.45 (s,1H), 3.81 (s,3H), 3.35 (s,3H).
659	δ 8.61 (s,2H), 7.95 (s,1H), 7.56 (m,2H), 7.5 (m,1H), 3.82 (s,3H), 3.35 (s,3H).
661	δ 8.25 (d,2H), 7.69 (d,2H), 7.59 (d,1H), 7.55-7.5 (m,2H), 3.79 (s,3H), 3.35 (s,3H).
663	δ 7.55 (d,1H), 7.5 (m,2H), 3.79 (s,3H), 3.40 (s,3H), 1.35 (s,9H).
664	δ 7.98 (d,2H), 7.65 (d,1H), 7.53 (d,2H), 7.5-7.35 (m,2H), 3.77 (s,3H), 3.30 (s,3H).
665	δ 8.2 (s,1H), 7.95 (d,1H), 7.65 (d,1H), 7.5-7.35 (m,3H), 3.79 (s,3H), 3.31 (s,3H).
667	δ 8.05 (d,1H), 7.95 (s,1H), 7.65 (d,1H), 7.5-7.35 (m,3H), 7.3 (d,1H), 3.77 (s,3H), 3.45 (s,3H).
668	δ 7.6 (dd,1H), 7.5 (m,2H), 3.81 (s,3H), 3.36 (s,3H), 1.30 (s,9H).
670	δ 8.58 (s,2H), 7.95 (s,1H), 7.65 (d,1H), 7.5-7.35 (m,2H), 3.80 (s,3H), 3.31 (s,3H).
671	δ 8.04 (d,2H), 7.6 (dd,1H), 7.43 (d,4H), 3.75 (s,3H), 3.31 (s,3H), 1.32 (s,9H).

681	δ 2.22 (s,3H), 2.25 (s,3H), 3.39 (s,3H), 3.82 (s,3H), 6.80-6.90 (m,3H), 6.82 (d,1H,J=8.2), 6.93 (d,1H,J=7.7), 7.05-7.10 (m,2H), 7.15-7.30 (m,4H).
682	δ 2.26 (s,3H), 3.39 (s,3H), 3.82 (s,3H), 6.65 (m,1H), 6.70 (m,2H), 6.84 (d,1H,J=7.5), 7.0-7.1 (m,4H), 7.2-7.4 (m,4H).
683	δ 2.26 (s,3H), 3.39 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.84 (d,1H,J=7.4), 7.0-7.15 (m,3H), 7.2-7.3 (m,3H), 7.49 (dd,1H,J=1.7,7.9).
684	δ 2.26 (s,3H), 3.39 (s,3H), 3.82 (s,3H), 6.6-6.7 (m,3H), 6.84 (d,1H,J=7.7), 7.0-7.2 (m,5H), 7.25 (m,1H), 7.28 (m,1H).
688	δ 2.26 (s,3H), 3.38 (s,3H), 3.84 (s,3H), 6.63 (t,1H,J=2.2), 6.68 (m,2H), 6.83 (d,1H,J=8.0), 6.95-7.10 (m,5H), 7.2 (m,1H), 7.26 (m,1H).
689	δ 2.26 (s,3H), 3.39 (s,3H), 3.81 (s,3H), 6.6-6.7 (m,3H), 6.82 (m,1H), 6.95-7.05 (m,3H), 7.1-7.2 (m,2H), 7.28 (m,1H).
692	δ 7.99 (s,2H), 7.50 (m,1H), 7.38 (m,2H), 3.82 (s,3H), 3.38 (s,3H), 2.32 (s,3H).
700	δ 7.35 (d,J=9.0Hz,1H), 7.15 (d,J=2.7Hz,1H), 6.93 (dd,J=9.0, 2.7 Hz,1H), 3.85 (s,3H), 3.78 (s,3H), 3.39 (s,3H), 1.37 (s,9H).
707	δ 2.28 (s,3H), 3.36 (s,3H), 3.91 (s,3H), 6.85 (m,1H), 6.9-7.0 (m,2H), 7.25 (m,1H), 7.3-7.4 (m,2H).
726	δ 2.26 (s,3H), 3.39 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.80-6.85 (m,1H), 7.0-7.1 (m,3H), 7.2-7.4 (m,3H), 7.61 (dd,1H,J=1.4,7.8).
739	δ 8.0 (s,2H), 7.85 (s,1H), 7.55 (d,1H), 7.45 (m,2H), 7.3 (1H), 7.2 (t,1H), 5.45 (m,2H), 5.3 (m,2H), 3.42 (s,3H), 2.22 (s,3H).
743	δ 7.5 (m,3H), 7.2 (d,1H), 5.8 (ABq,2H), 3.93 (s,3H), 3.52 (s,3H), 1.97 (s,3H), 1.92 (s,3H).
744	δ 7.4-7.6 (m,2H), 7.2 (m,2H), 5.18 (ABq, 2H), 3.93 (s,3H), 3.91 (s,3H), 3.43 (s,3H), 1.98 (s,3H), 1.94 (s,3H).
747	δ 7.57 (m,1H), 7.38 (m,2H), 7.2 (m,1H), 4.22 (m,2H), 4.12 (q,2H), 3.92 (s,3H), 3.43 (s,3H), 3.2 (m,2H), 2.05 (s,3H), 0.9 (m,9H).
758	δ 7.00-7.53 (m,9H), 4.87 (ABq,2H), 3.87 (s,3H, OCH ₃), 3.41 (s,3H, NCH ₃), 2.2 (s,3H, N=CCH ₃).
759	[in Me ₂ SO- <i>d</i> ₆]: δ 8.02 (bs,1H), 7.94 (d,2H), 7.73 (d,2H), 7.50 (m,4H), 7.39 (bs,1H), 7.30 (m,2H), 7.15 (d,1H), 6.98 (d,1H), 3.79 (s,3H), 3.21 (s,3H).
760	δ 9.38 (s,1H), 8.12 (d,2H), 7.73 (m,1H), 7.35-7.65 (m,5H), 7.21 (m,1H), 4.61 (ABq,2H), 3.48 (s,3H).

761	δ 7.58 (s,1H), 7.37 (m,2H), 7.14 (m,3H), 6.98 (t,1H), 6.67 (d,1H), 4.32 (q,2H), 3.76 (s,3H), 3.43 (s,3H), 2.43 (s,3H), 1.97 (s,3H).
762	δ 7.56 (s,1H), 7.38 (m,2H), 7.2 (m,2H), 6.89 (d,1H), 6.63 (m,2H), 4.2 (m,2H), 3.86 (s,3H), 3.4 (s,3H), 2.43 (s,3H), 2.32 (s,3H).
763	δ 7.55 (d,1H), 7.4 (m,2H), 7.17 (m,3H), 6.98 (m,1H), 6.75 (m,1H), 4.35 (m,2H), 3.86 (s,3H), 3.43 (s,3H), 2.45 (s,3H).
767	δ 8.30 (d,1H), 7.3-7.5 (m,4H), 6.59 (d,1H), 4.68 (q,2H), 3.8 (s,3H), 3.3 (s,3H).
768	δ 7.61 (d,1H), 7.38 (m,3H), 7.18 (m,2H), 7.01 (m,1H), 6.81 (m,1H), 4.38 (m,2H), 3.83 (s,3H), 3.44 (s,3H).
769	δ 7.57 (m,1H), 7.38 (m,2H), 7.19 (d,1H), 6.81 (m,4H), 4.3 (m,2H), 3.86 (s,3H), 3.78 (s,3H), 3.42 (s,3H), 2.42 (s,3H).
771	δ 7.8 (m,1H), 7.55-7.47 (m,4H), 7.4 (m,2H), 7.3 (m,2H), 7.14 (s,1H), 7.1-7.0 (m, 2H), 3.96 (s,3H), 3.39 (d, 3H).
772	δ 7.4-7.35 (m,3H), 7.3-7.2 (m,3H), 7.1-7.0 (m,2H), 5.08 (s,2H), 3.88 (s,3H), 3.44 (s,3H).
773	δ 8.02 (dd,1H), 7.68 (m,2H), 7.52 (t,1H), 7.44 (s,1H) 7.26 (s,1H), 3.49 (s,3H).
774	δ 7.5 (m,1H), 7.41 (m,2H), 7.24 (m,1H), 5.08 (d,2H), 3.94 (s,3H), 3.45 (s,3H), 2.33 (s,3H), 1.23 (s,9H).
775	δ 7.45 (m,5H), 7.24 (m,3H), 5.24 (q,2H), 3.9 (s,3H), 3.41 (s,3H), 2.49 (s,3H), 2.17 (s,3H).
776	δ 7.92 (s,1H), 7.83 (d,1H), 7.52 (m,5H), 7.25 (m,1H), 5.33 (q,2H), 4.54 (q,2H), 3.91 (s,3H), 3.41 (s,3H).
778	δ 8.43 (d,1H), 7.5 (m,1H), 7.38 (m,3H), 6.36 (d,1H), 4.81 (q,2H), 3.79 (s,3H), 3.34 (s,3H).
779	δ 7.88 (m,1H), 7.74 (d,1H), 7.50 (m,5H), 7.24 (m,1H), 5.25 (q,2H), 3.9 (s,3H), 3.41 (s,3H), 2.75 (s,3H), 2.23 (s,3H).
780	δ 8.17 (s,1H), 7.9 (m,2H), 7.53 (m,2H), 7.43 (m,2H), 7.22 (m,1H), 5.26 (q,2H), 3.9 (s,3H), 3.4 (s,3H), 3.08 (s,3H), 2.22 (s,3H).
791	δ 8.74 (m,1H), 8.3 (d,1H), 8.1 (d,1H), 7.7-7.4 (m,3H), 7.4-7.2 (m,1H), 7.2-7.1 (m,1H), 3.77 (s,3H), 3.38 (s,3H), 1.61 (s,9H).
792	δ 7.9 (s,1H), 7.75 (d,1H), 7.65 (d,1H), 7.35-7.55 (m,5H), 7.25 (1H), 4.9-5.1 (m,2H), 3.94 (s,3H), 3.43 (s,3H), 2.27 (S,3H).
794	δ 7.6-7.4 (m,4H), 3.83 (s,3H), 3.40 (s,3H), 1.58 (s,9H).

797	δ 8.3 (d,1H), 7.3-7.5 (m,4H), 6.55 (d,1H), 4.68 (q,2H), 3.81 (s,3H), 3.31 (s,3H).
799	δ 8.39 (s,1H), 7.21-7.51 (m,7H), 6.46 (d,1H, J=0.4), 3.77 (s,3H), 3.37 (s,3H).
800	δ 8.41 (d,1H, J=0.8), 7.24-7.51 (m,6H), 7.03-7.06 (m,1H), 6.33 (d,1H, J=0.8), 3.78 (s,3H), 3.36 (s,3H), 2.55 (q,2H, J=7.5), 1.19 (t,3H, J=7.6).
801	δ 7.42 (m,4H), 6.42 (s,1H), 4.61 (q,2H), 3.83 (s,3H), 3.3 (s,3H), 2.4 (s,3H).
805	δ 7.54 (m,2H), 7.46 (m,2H), 7.41 (m,1H), 7.24 (m,2H), 4.22 (s,2H), 3.78 (s,3H), 3.39 (s,3H).
806	δ 7.53 (m,2H), 7.46 (m,3H), 7.37 (d,1H), 7.20 (d,2H), 4.06 (s,2H), 3.76 (s,3H), 3.38 (s,3H).
807	δ 7.54 (m,2H), 7.49 (s,1H), 7.46 (m,2H), 7.40 (m,1H), 7.18 (m,2H), 4.08 (s,2H), 3.73 (s,3H), 3.39 (s,3H).
812	δ 7.56-7.51 (m,4H), 7.46 (m,4H), 4.17 (s,2H), 3.74 (s,3H), 3.37 (s,3H).
816	δ 7.60 (s,1H), 7.54-7.51 (m,4H), 7.46 (m,3H), 4.17 (s,2H), 3.72 (s,3H), 3.38 (s,3H).
819	δ 7.82 (s,2H), 7.78 (s,1H), 7.53 (m,2H), 7.46 (m,2H), 4.23 (s,2H), 3.77 (s,3H), 3.38 (s,3H).
821	δ 8.25 (s,1H), 8.15 (s,1H), 8.00 (d,1H), 7.90 (d,1H), 7.80 (m,2H), 7.49 (m,3H), 3.81 (s,3H), 3.34 (s,3H).
822	δ 8.40 (s,1H), 8.10 (s,1H), 7.95 (m,2H), 7.60-7.40 (m,5H), 4.20 (s,2H), 3.79 (s,3H), 3.36 (s,3H).
823	δ 8.40 (d,1H), 8.20 (s,1H), 7.59 (m,3H), 7.50 (m,4H), 3.82 (s,3H), 3.37 (s,3H).
824	δ 8.50 (s,1H), 8.15 (s,1H), 8.05 (d,1H), 7.95 (d,1H), 7.59-7.40 (m,5H), 3.80 (s,3H), 3.35 (s,3H).
825	δ 7.65-7.40 (m,7H), 6.80 (d,1H), 3.76 (s,3H), 3.37 (s,3H), 3.01 (s,6H).
826	δ 7.6 (m,1H), 7.46 (m,3H), 7.25 (m,4H), 6.96 (m,1H), 3.92 (s,3H), 3.39 (s,3H), 2.3 (s,3H).
829	δ 8.2 (d,1H), 8.1 (s,1H), 7.7-7.4 (m,5H), 7.3 (d,1H), 3.81 (s,3H), 3.40 (s,3H), 3.36 (s,3H).
830	δ 8.2 (m,2H), 7.7-7.4 (m,6H), 3.81 (s,3H), 3.55 (s,3H), 3.37 (s,3H).

- 838 δ 8.31 (s,1H), 8.0 (m,1H), 7.7 (d,1H), 7.5 (t,1H), 7.4 (t,1H), 7.2-7.3 (m,9H, including CHCl_3), 7.0 (d,2H), 5.37 (s,2H), 5.1-5.3 (ABq,2H), 3.47 (s,3H).
- 844 δ 7.55-7.42 (m,3H), 7.4-7.3 (m,4H), 7.25-7.20 (m,2H), 3.54 (s,3H), 3.36 (s,3H).
- 845 δ 7.55-7.40 (m,4H), 7.40-7.30 (m,3H), 7.22 (d,2H), 7.13 (t,1H), 7.04-6.95 (m,3H), 3.63 (s,3H), 3.36 (s,3H).
- 846 δ 8.00 (d,1H), 7.90 (s,1H), 7.61 (d,1H), 7.55 (t,1H), 7.49 (m,2H), 7.45 (t,1H), 7.20 (d,1H), 6.80-6.40 (t,1H), 3.79 (s,3H), 3.36 (s,3H).
- 847 δ 9.46 (s,1H), 8.15 (m,2H), 7.46 (m,4H), 7.21 (m,2H), 3.78 (s,3H), 3.29 (s,3H).
- 849 δ 8.12 (m,2H); 7.61 (m,2H); 7.50 (m,2H); 7.38 (m,2H); 7.13 (d,1H); 6.93 (d,1H); 3.78 (s,3H); 3.37 (s, 3H).
- 854 δ 8.93 (s,1H), 7.75-7.42 (m,5H), 3.79 (s,3H), 3.37 (s,3H).
- 855^b δ 3.69 (s,3H), 3.25 (s,3H), 2.72 (s,3H).
- 856 δ 7.3 (m,7H), 3.94 (s,3H), 3.86 (s,3H), 3.36 (s,3H).
- 858 δ 9.26 (s,1H), 7.40 (m,8H), 3.73 (s,3H), 3.31 (s,3H), 2.40 (s,3H).
- 877 δ 7.55 (d,1H), 7.32-7.51 (m, 6H), 7.18 (d,1H), 3.84 (s,3H), 3.40 (s,3H), 2.90 (q,2H), 1.31 (t,3H).
- 878 δ 7.59 (d,1H), 7.45-7.56 (m, 2H), 7.31-7.43 (m, 4H), 7.21 (d,1H), 3.81 (s,3H), 3.39 (s,3H), 0.21 (s,9H).
- 884 δ 7.72-7.65 (m,4H), 7.48-7.30 (m,10H), 7.2 (m,1H), 6.40 (m,1H), 6.28 (m,1H), 4.85 (ABq,2H), 3.80 (s,3H), 3.37 (s,3H), 1.08 (s,9H).
- 885 δ 8.05 (s,2H), 7.8 (s,1H), 7.3-7.6 (m,4H), 3.87 (s,3H), 3.40 (s,3H), 2.51 (s,3H).
- 886 δ 8.07 (dd,1H), 7.58 (dd,1H), 7.50 (dd,1H), 7.20 (dd,1H), 6.84 (s,1H), 3.95 (s,3H), 3.48 (s,3H).
- 896 δ 7.62 (dd,2H,J=2.2, 6.8), 7.22-7.30 (m, 2H), 7.07 (d,1H,J=7.5), 6.84 (d,1H,J=8.0), 6.78 (dd,2H,J=2.1, 6.9), 6.70-6.74 (m,2H), 6.67 (m,1H), 3.38 (s,3H), 3.38 (s,3H), 2.26 (s,3H).
- 901 δ 7.68 (s,1H), 7.55 (d,1H), 7.47 (s,2H), 7.35 (s,1H), 7.15 (d,1H), 5.13 (ABq, 2H ArCH_2O) 3.89 (s,3H, OCH_3), 3.42 (s,3H, NCH_3), 2.17 (s,3H, $\text{N}=\text{CCH}_3$).
- 902 δ 8.31 (d,1H,J=5.5), 7.35 (t,1H,J=8.0), 7.1-7.3 (m,6H), 6.58 (d,1H,J=5.5), 3.79 (s,3H), 3.33 (s, 3H), 2.26 (s,3H).

- 903 δ 8.35 (d,1H, J=5.7), 7.26 (m,1H), 7.1-7.3 (m,6H), 6.66 (d,1H, J=5.5),
3.73 (s,3H), 3.33 (s,3H), 2.26 (s,3H).
- 905 δ 6.95-7.38 (m,6H), 5.09 (s,2H, PhOCH₂), 3.81 (s,3H, OCH₃),
3.55 (s,3H, NCH₃), 2.14 (s,3H, PhCH₃).
- 914 δ 7.85 (s,1H), 7.8 (d,1H), 7.5-7.6 (m,2H), 7.3-7.45 (m,2H), 7.2 (d,1H),
3.85 (s,3H), 3.41 (s,3H), 2.48 (s,3H), 2.29 (s,3H).
- 919 δ 8.40 (dt, 1H), 8.145 (d,1H), 7.82 (d,2H), 7.75 (d,1H), 7.62 (d,1H),
7.48 (t,1H), 5.32 (ABq, 2H) 3.51 (s,3H), 2.24 (s,3H).
- 924 δ 7.83 (s,1H), 7.78 (d,1H), 7.58 (d,1H), 7.50 (m,2H), 7.04 (dt, 1H),
6.76 (d,1H), 5.15 (ABq,2H), 3.84 (s,3H), 3.46 (s,3H), 2.18 (s,3H).
- 925 δ 7.46 (m,3H), 7.33 (s,1H), 7.03 (dt, 1H), 6.77 (d,1H), 5.14 (ABq,2H),
3.84 (s,3H), 3.49 (s,3H), 2.11 (s,3H).
- 931 δ 7.48 (d,2H), 7.32 (d,1H), 7.21 (d,2H), 5.16 (d,2H), 3.86 (s,3H),
3.46 (d,3H), 2.47 (d,3H), 2.10 (d,6H).
- 936 δ 8.74 (d,1H), 8.10 (s,1H), 7.48 (m,4H), 5.23 (s,2H), 4.46 (d,1H),
4.35 (d,1H), 3.93 (s, 3H), 3.43 (s,3H), 2.33 (s, 3H).
- 937 δ 7.48 (d,1H), 7.46 (s,1H), 7.41 (dd,2H), 7.35 (d,1H), 7.16 (d,2H),
5.15 (ABq, 2H), 3.46 (s,3H), 2.34 (s,3H), 2.18 (s,6H), 1.95 (s,3H).
- 943 δ 7.52-7.56 (m,1H), 7.47-7.51 (m,3H), 7.36-7.42 (m, 2H), 7.21 (d,1H),
3.80 (s,3H), 3.41 (s,3H), 0.22 (s,9H), 0.20 (s,9H).
- 945 δ 7.25-7.7 (m,2H), 7.15 (d,1H), 3.85 (s,3H), 3.4 (s,3H), 2.55 (t,2H),
2.4 (s,3H), 1.55 (m,2H), 1.35 (s,9H), 1.3 (m,4H), 0.9 (t,3H).
- 946 δ 7.9 (s,1H), 7.8 (d,1H), 7.55 (m,2H), 7.4 (m,2H), 7.2 (d,1H), 3.85 (s,3H),
3.4 (s,3H), 2.6 (t,2H), 2.5 (s,3H), 1.6 (m,2H), 1.3 (m,4H), 0.9 (t,3H).
- 947 δ 7.82 (s,1H), 7.75 (d,1H), 7.60 (d,1H), 7.58-7.45 (m,4H), 5.18 (dd,2H),
3.47 (s,3H, NCH₃), 2.19 (s,3H, CH₃).
- 949 δ 7.85 (s,1H), 7.78 (d,1H), 7.60 (d,1H), 7.50-7.30 (m,4H),
5.15 (ABq,2H), 3.48 (s,3H, NCH₃), 2.22 (s,3H, ArCH₃),
2.19 (s,3H, CH₃).
- 950 δ 7.85 (s,1H), 7.80 (d,1H), 7.60 (d,1H), 7.45 (t,1H), 7.40-7.25 (m,3H),
5.18 (ABq,2H), 3.89 (s,3H), 3.41 (s,3H), 2.22 (s,3H), 2.18 (s,3H).
- 951 δ 7.85 (s,1H), 7.78 (d,1H), 7.60 (d,1H), 7.45 (t,1H), 7.15 (d,1H),
7.10 (d,1H), 6.95 (dd,1H), 5.18 ABq,2H), 3.87 (s,3H), 3.42 (s,3H),
2.21 (s,3H).

- 953 δ 7.86 (s,1H), 7.80 (d,1H), 7.60 (d,1H), 7.50 (t,1H), 7.40 (s,1H),
7.25 (d,1H), 7.14 (s,1H), 5.24 (ABq,2H), 3.88 (s,3H), 3.39 (s,3H),
2.41 (s,3H), 2.21 (s,3H).
- 954 δ 7.85 (s, 1H), 7.78 (d,1H), 7.60 (d,1H), 7.50 (t,1H), 7.33 (m,2H),
7.10-7.05 (m,1H), 5.23 (s,2H), 3.84 (s,3H), 3.43 (s,3H), 2.53 (s,3H),
2.17 (s,3H).
- 955 δ 7.49 (d,2H), 7.37-7.26 (m,4H), 5.15 (ABq,2H), 3.89 (s,3H), 3.43 (s,3H),
2.18 (s,3H), 2.15 (s,3H).
- 956 δ 7.48 (d,2H), 7.42 (t,1H), 7.32 (d,1H), 7.15 (d,1H), 6.95 (d,1H),
5.20 (ABq,2H), 3.88 (s,3H), 3.81 (s,3H), 3.43 (s,3H), 2.11 (s,3H).
- 957 δ 7.86 (s,1H), 7.80 (d,1H), 7.60 (d,1H), 7.50-7.40 (m,2H), 7.15 (d,1H),
6.99 (d,1H), 5.22 (ABq,2H), 3.87 (s,3H), 3.81 (s,3H), 3.42 (s,3H),
2.23 (s,3H).
- 959 δ 7.84 (s,1H), 7.78 (d,1H), 7.60 (d,1H), 7.47-7.40 (m,2H), 7.34 (d,1H),
7.18 (t,1H), 5.27 (ABq,2H), 3.88 (s,3H), 3.41 (s,3H), 2.22 (s,3H).
- 962 δ 7.50 (m,2H), 7.34 (m,4H), 7.20 (m,1H), 5.18 (dd,2H), 3.87 (s,3H),
3.40 (s,3H), 2.18 (s,6H).
- 964 δ 8.74 (d,1H), 8.10 (s,1H), 7.38 (m,4H), 5.27 (d,1H), 5.19 (d,1H),
3.89 (s,3H), 3.43 (s,3H), 2.31 (s,3H), 2.18 (s,3H).
- 965 δ 7.97 (s,1H), 7.82 (m,4H), 7.42 (m,5H), 5.25 (d,1H), 5.17 (d,1H),
3.89 (s,3H), 3.41 (s,3H), 2.32 (s,3H), 2.19 (s,3H).
- 966 δ 7.82 (s,1H), 7.78 (d,1H), 7.59 (d,1H), 7.52-7.38 (m,2H), 7.22 (d,1H),
7.08 (d,1H), 5.33 (s,2H), 3.82 (s,3H), 3.38 (s,3H), 2.14 (s,3H).
- 967 δ 7.87 (s,1H), 7.79 (d,1H), 7.59 (d,1H), 7.45 (t,1H), 7.20 (s,1H),
7.12 (s,1H), 5.16 (d,1H), 5.11 (d,1H), 3.88 (s,3H), 3.40 (s,3H),
2.37 (s,3H), 2.22 (s,3H), 2.14 (s,3H).
- 971 δ 7.87 (s,1H), 7.78 (d,1H), 7.64 (s,1H), 7.60 (d, 1H), 7.30-7.20 (m,2H),
7.17 (s,1H), 5.21 (ABq,2H), 3.87 (s,3H), 3.39 (s,3H), 2.21 (s,3H),
0.25 (s,9H).
- 972 δ 7.85 (s,1H), 7.80 (d,1H), 7.68 (s,1H), 7.60 (d,1H), 7.52 (d,1H), 7.50-
7.42 (m,1H), 7.22 (s,1H), 5.23 (ABq,2H), 3.89 (s,3H), 3.40 (s,3H),
3.15 (s,1H), 2.22 (s,3H).
- 976 δ 7.28 (m,6H), 7.02 (m,1H), 5.71 (m,2H), 3.75 (s,3H), 3.40 (s,3H),
2.19 (s, 3H).
- 982 δ 7.83 (br d,1H), 7.75 (d,1H), 7.57 (m,2H), 7.43 (m,3H), 7.17 (d,1H),
5.37 (q,1H), 3.9 (d,3H), 3.42 (d,3H), 2.23 (d,3H), 1.63 (d,3H).

990	[in Me ₂ SO- <i>d</i> ₆]: δ 8.88-8.95 (m,1H), 8.20-8.29, (m,1H), 7.40-7.67 (m,4H), 3.82 (s,3H), 3.12 (s,3H).
993	δ 7.6 (d,1H), 7.4-7.5 (m,3H), 7.3 (d,1H), 7.2 (d,1H), 6.7 (d,1H), 5.2 (q,2H), 4.9-5.0 (m,1H), 3.89 (s,3H), 3.41 (s,3H), 3.3 (m,1H), 2.8 (m,1H), 2.16 (s,3H), 1.4 (d,3H).
994	δ 7.6 (d,1H), 7.4-7.5 (m,3H), 7.3 (d,1H), 7.2 (d,1H), 6.7 (d,1H), 5.2 (d,1H), 5.1 (d,1H), 4.9 (m,1H), 3.47 (s,3H), 3.2-3.3 (m,1H), 2.8 (m,1H), 2.14 (s,3H), 1.4 (d, 3H).
996	δ 7.54 (m,1H), 7.41 (m,3H), 7.23 (m,4H), 5.22 (s,2H), 4.69 (m,2H), 3.90 (s,3H), 3.41 (s,3H).
998	δ 7.60 (d,1H), 7.50-7.38 (m,2H), 7.20 (d,1H), 4.40 (AB q,2H), 3.92 (s,3H), 3.44 (s,3H), 3.31 (s,3H).
999 ^c	δ 8.8 (s,1H), 8.52 (s,1H), 7.2-7.7 (m,18H), 5.2 (dd,2H), 5.0 (dd,2H), 3.87 (s,3H), 3.84 (s,3H), 3.41 (s,3H), 3.39 (s,3H), 1.92 (s,3H), 1.84 (s,3H).
1000 ^c	δ 8.8 (s,1H), 8.58 (s,1H), 7.2-8.0 (m,16H), 4.95-5.15 (m,4), 3.88 (s,3H), 3.85 (s,3H), 3.41 (s,3H), 3.40 (s,3H), 2.02 (s,3H), 1.90 (s,3H).
1010 ^c	δ 7.5-7.7 (m,2H), 7.4-7.5 (m,2H), 7.2-7.3 (m,1H), 6.9-7.0 (m,2H), 5.15-5.31 (m,2H), 3.77-3.91 (m,3H), 3.0-3.5 (m,5H), 2.52-2.65 (m,1H), 1.24 (m,3H).
1011	δ 7.59 (m,2H), 7.50 (m,2H), 6.64 (s,1H), 3.81 (s,3H), 3.40 (s,3H).
1012	δ 7.53 (m,1H), 7.34 (m,3H), 6.85 (s,2H), 5.28 (s,2H), 3.94 (s,3H), 3.88 (s,6H), 3.85 (s,3H), 3.44 (s,3H), 2.22 (s,3H).
1024	δ 7.44-7.92 (m,6H), 5.53 (s,1H), 3.91 (s,3H), 3.85 (m,2H), 3.69 (m,2H), 3.53 (s,3H), 1.29 (m,6H).

^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br)-broad, (br s)-broad singlet, (br d)-broad doublet, (br m)-broad multiplet, (AB q)-AB pattern quartet. Coupling constants (indicated by J) are in Hertz.

^b Partial NMR.

^c Mixture of E and Z isomers.

BIOLOGICAL EXAMPLES OF THE INVENTION

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water

containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in Tests A-F. Spraying these 200 ppm test suspensions to the point of run-off on the test plants is the equivalent of a rate of 500 g/ha.

5

TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

10

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

15

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

20

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

25

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

30

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

Results for fungicide Tests A-F are given in Table A for compounds of Formulae IA and IB. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls). A dash (-) indicates no test results. ND indicates disease control not determined due to phytotoxicity.

Table A

<u>Cmpd No.</u>	<u>Test A</u>	<u>Test B</u>	<u>Test C</u>	<u>Test D</u>	<u>Test E</u>	<u>Test F</u>
187	100	96	74	75	100 ^a	88
239	99	97	90	73	5 ^a	0
263	35	99	32	77	43 ^a	44
264	91	97	0	86	94 ^a	44
265	35	93	0	0	96 ^a	3
266	0	93	0	86	46 ^a	3
267	0	97	0	77	30 ^a	44
268	35	97	32	77	48 ^a	3
269	100	99	53	93	68 ^a	44
270	61	97	0	77	69 ^a	0
271	61	97	0	64	41 ^a	3
272	61	93	0	93	82 ^a	3
273	77	85	0	77	79 ^a	3
279	60	84	32	63	70 ^a	92
280	100 ^a	99 ^a	39 ^a	31 ^b	94 ^a	-
287	100	100	86	86	94 ^a	44
288	99	100	74	76	99 ^a	32
289	99	100	53	63	100 ^a	0
290	99	100	53	86	100 ^a	0
291	99	100	97	25	87 ^a	0
292	100	100	53	86	63 ^a	5
293	100	100	86	93	100 ^a	68
294	99	99	91	76	100 ^a	45
295	99	100	74	46	99 ^a	82
296	100	100	86	93	100 ^a	5
297	55	65	53	0	96 ^a	45
306	99	85	32	0	5 ^a	0
309	100	100	97	25	99 ^a	0
310	99	99	74	63 ^b	96 ^a	0
311	-	-	-	-	-	-
312	73	85	53	25	99 ^a	45
317	86	85	0	91	2 ^a	0
320	99	97	86	100	91 ^a	0

199

321	100	99	100	96	97 ^a	0
322	99	93	53	91	78 ^a	0
323	99	100	53	96	99 ^a	42
324	99	99	53	91	86 ^a	42
325	100	99	86	ND	100 ^a	42
326	99	100	86	72 ^b	97 ^a	0
327	36	93	0	91	36 ^a	0
328	61	93	0	91	57 ^c	0
329	99	99	86	96	100 ^a	66
330	0	93	32	96	94 ^a	0
331	0	85	32	83	5 ^a	0
332	61	93	32	91	57 ^a	0
333	95	93	53	96	94 ^a	66
334	100	97	91	83	61 ^a	94
335	100	99	86	96	94 ^a	3
337	99	97	0	96	100 ^a	68
341	100	100	94	100	99 ^a	94
342	100	100	100	36	100 ^a	98
343	100	99	97	91	100 ^a	68
344	100	99	100	96	94 ^a	94
345	100	99	53	ND	100 ^a	68
349	58	93	0	44	61 ^a	39
353	98 ^d	97 ^d	0 ^d	75 ^d	36 ^a	65 ^d
354	99	100	0	ND	90 ^a	0
358	99	100	94	92	100 ^a	0
361	100	97	53	22	48 ^a	0
363	100	100	74	70	30 ^a	0
364	99	97	74	53	23 ^a	88
365	98	97	53	53	43 ^a	0
366	99	97	53	82	15 ^a	38
367	99	97	53	85	5 ^a	81
368	99	93	53	3	10 ^a	88
369	97	100	53	ND	100 ^b	0
372	57	94	0	26	5 ^a	0
381	74	94	0	61	15 ^a	69
387	96	97	53	72	85 ^a	0

200

393	0	86	0	20	-	0
394	0	86	0	20	-	0
395	100	100	91	20	-	7
396	99	99	0	97	67 ^a	0
397	99	100	0	77	58 ^a	0
405	89	86	0	57	26 ^a	0
410	50	94	0	57	21 ^a	0
411	50	99	0	91	11 ^a	0
412	93	94	53	0	19 ^a	7
413	100	100	94	72	100 ^b	0
425	61	94	0	60	11 ^a	0
427	95	97	53	74	45 ^a	0
428	36	94	74	74	2 ^a	0
445	99	86	0	96	100 ^b	1
446	100	100	53	84	45 ^a	81
449	0	68	74	15	-	0
452	99	100	85	75	5 ^a	31
453	99	99	85	75	16 ^a	31
454	38	67	50	99	76 ^a	0
455	0	0	0	54	18 ^a	92
457	100	93	73	91	89 ^a	0
458	63	85	73	91	55 ^a	0
459	100	93	93	91	100 ^a	0
462	99	93	73	91	87 ^a	0
465	0	85	0	0	23 ^a	0
466	0	26	0	82	6 ^a	0
467	100	100	90	ND ^b	100 ^a	0
474	99	97	85	99	100 ^a	0
475	100	99	85	91	100 ^a	0
476	77	26	50	91	24 ^a	77
477	99	93	50 ^a	91	100 ^a	0
478	98	100	97	92	82 ^a	22
485	99	97	60	95	94 ^a	97
486	73 ^a	48 ^a	14 ^b	13 ^b	67 ^a	-
487	73 ^a	70 ^c	81 ^b	32 ^b	74 ^a	-
488	98	99	74	62	63 ^a	77

201

489	99	99	74	95	56 ^a	0
490	99	99	74	28	72 ^a	0
491	98	99	93	62	2 ^a	87
492	91	99	74	99	28 ^a	97
493	95	99	74	0	-	77
494	91	94	28	83	32 ^a	92
495	97	99	83	95	57 ^a	32
496	98	99	0	0	10 ^a	99
497	86	97	28	95	17 ^a	87
500	100	100	94	92	100 ^a	0
501	100	100	85	16	70 ^a	0
507	99	99	74	100	100 ^a	92
508	100	100	74	95	88 ^a	92
509	100	100	90	99	100 ^a	0
510	99	100	85	92	79 ^a	55
511	99	100	90	73	34 ^a	22
513	91	67	30	16	21 ^a	85
515	100	100	97	99	100 ^a	0
516	91	100	73	84	60 ^a	85
517	99	100	90	92	44 ^a	22
518	100	100	90	100	91 ^a	85
519	60	93	51	16	-	0
520	100	100	90	92	7 ^a	55
526	84	97	32	65	62 ^a	96
527	84	93	0	22	70 ^a	0
528	56	99	53	89	-	81
530	99	100	51	40	11 ^a	22
531	94 ^d	97 ^d	32 ^d	65 ^d	-	99 ^d
532	98	99	86	79	12 ^a	99
533	56	93	0	95	42 ^a	98
534	26	93	32	89	16 ^a	99
535	84	99	0	89	40 ^a	98
536	84	97	32	89	59 ^a	99
537	100	100	53	79	-	98
538	100	100	91	95	100 ^a	99
539	100	99	53	79	97 ^a	94

202

540	96	99	53	ND	100 ^a	0
541	94	99	32	ND	95 ^a	0
547	86	86	31	94	5 ^a	0
548	91	94	94	100	58 ^a	42
549	98	99	90	87	47 ^c	42
550	100 ^a	99 ^a	59 ^b	-	100 ^a	-
554	100 ^a	99 ^a	87 ^b	-	98 ^a	-
555	100 ^a	99 ^a	88 ^b	-	100 ^a	-
556	99	97	74	100	72 ^a	42
557	95	97	52	94	88 ^a	81
561	90	94	53	84	11 ^a	98
562	100	100	99	91	100 ^c	0
563	99	100	86	91	-	0
564	100	100	86	58	-	0
567	100	100	90	29	67 ^a	0
568	98	94	86	81	3 ^a	47
569	0	68	0	29	14 ^a	0
572	99	100	74	65	97 ^a	0
573	94	94	0	0	13 ^a	0
574	100	94	74	25	-	0
577	97	97	0	0	16 ^a	0
582	57	-	0	0	-	-
656	95	97	32	82	10 ^a	79
657	62	85	0	70	44 ^a	38
658	86	93	0	31	44 ^a	38
659	37	85	0	31	10 ^a	38
660	77	93	32	70	29 ^a	79
661	77	93	32	3	26 ^a	38
662	86	85	32	3	37 ^a	79
663	95	97	0	ND	66 ^b	0
664	94	97	53	61	35 ^a	46
665	98	94	53	43	-	7
666	99	99	53	20	-	7
667	99	100	53	20	99 ^a	0
668	-	-	-	-	-	-
669	91	94	0	20	100 ^a	82

203

670	100	86	53	20	-	7
671	86	94	53	60	39 ^a	67
672	100	100	96	85	94 ^a	0
673	99	99	90	ND	97 ^a	0
674	99	100	90	20	75 ^a	60
675	100	100	98	ND	100 ^a	0
676	100	100	94	-	100 ^a	0
677	91 ^a	99	100	91	100 ^a	0
678	100	100	90	ND	100 ^a	0
679	100	100	93	91	100 ^a	0
680	100	99	97	62	86 ^a	0
685	95	86	74	0	19 ^a	61
690	99	99	74	0	10 ^a	77
691	99	94	60	95	59 ^a	87
692	99	99	74	100	96 ^a	61
693	91	99	83	100	100 ^a	0
694	86	94	83	83	63 ^a	92
695	86	94	74	100	41 ^a	0
699	100	100	93	ND	100 ^a	0
700	98	99	0	ND	100 ^a	0
704	100	100	100	-	100 ^a	0
705	99 ^a	99 ^a	71 ^b	-	62 ^a	-
706	100	100	94	ND	100 ^a	0
708	91	93	52	16	79 ^a	0
709	98	97	0	63	-	0
712	91	97	31	78	97 ^a	48
713	91	86	90	100	24 ^a	94
714	84	86	94	14	24 ^a	0
716	99	99	91	-	100 ^a	0
717	100	99	91	ND	100 ^a	0
719	100	100	90	1	39 ^a	0
720	99	94	53	25	0 ^a	47
721	99	94	32	25	94 ^a	82
722	100	99	74	76	73 ^a	47
723	100	100	91	76	84 ^a	0
724	100	100	94	-	100 ^a	0

204

725	100	99	91	76	100 ^a	47
727	99	99	90	23	86 ^a	83
728	99	100	90	23	91 ^a	0
729	99	100	90	86	100 ^a	0
730	100	99	90	0	50 ^a	70
731	100	100	90	63	100 ^a	0

^a Compound was tested at 10 ppm (equivalent to 25 g/ha).

^b Compound was tested at 40 ppm (equivalent to 100 g/ha).

^c Compound was tested at 2 ppm (equivalent to 5 g/ha).

^d Compound was tested at 100 ppm (equivalent to 250 g/ha).

5

Results for arthropodicide Tests G-L are given below for compounds of Formulae I, IA and IB.

TEST G

Fall Armyworm

- 10 Test units, each consisting of a H.I.S. (high impact styrene) tray with 16 cells were prepared. Wet filter paper and approximately 8 cm² of lima bean leaf was placed into twelve of the cells. A 0.5-cm layer of wheat germ diet was placed into the four remaining cells. Fifteen to twenty third-instar larvae of fall armyworm (*Spodoptera frugiperda*) were placed into a 230-mL (8-ounce) plastic cup. Solutions of each of the
- 15 test compounds in 75:25 acetone-distilled water solvent were sprayed into the tray and cup. Spraying was accomplished by passing the tray and cup on a conveyer belt directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). The insects were transferred from the 230-mL cup to the H.I.S. tray (one
- 20 insect per cell). The trays were covered and held at 27°C and 50% relative humidity for 48 hours, after which time readings were taken on the twelve cells with lima bean leaves. The four remaining cells were read at 6-8 days for delayed toxicity. Of the compounds tested, the following gave control efficacy levels of 80% or greater: 313, 329, 404, 493, 538, 543, 546, 672, 673, 674, 677, 678, 679, 680, 688, 699, 701, and 703.

25

TEST H

Southern Corn Rootworm

- Test units, each consisting of a 230-mL (8-ounce) plastic cup containing a 6.5-cm² (1-square-inch) plug of a wheatgerm diet, were prepared. The test units were sprayed as described in TEST G with individual solutions of the test compounds. After the spray on
- 30 the cups had dried, five second-instar larvae of the southern corn rootworm (*Diabrotica*

undecimpunctata howardi) were placed into each cup. The cups were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. The same units were read again at 6-8 days for delayed toxicity. Of the compounds tested, the following gave control efficacy levels of 80% or greater: 11*, 207, 304, 313, 341, 345, 403, 404, 413, 442, 443, 445, 451, 479, 500, 506, 514, 515, 537, 542, 546, 550, 675, 677, 679, 680, 682, 683, 684, 687, 688, 689, 699, 700, 701, 703, 704, 705, 706, 715, and 717.

* Tested at 0.55 kg/ha.

TEST I

10 Aster Leafhopper

Test units were prepared from a series of 350-mL (12-ounce) cups, each containing oat (*Avena sativa*) seedlings in a 2.5-cm (1-inch) layer of sterilized soil. The test units were sprayed as described in TEST G with individual solutions of the test compounds. After the oats had dried from the spraying, 10 to 15 adult aster leafhoppers (*Mascrosteles fascifrons*) were aspirated into each of the cups. The cups were covered with vented lids and held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 345, 672, 679, and 715.

TEST J

20 Contact Test Against Black Bean Aphid

Individual nasturtium leaves were infested with 10 to 15 aphids (all morphs and growth stages of *Aphis fabae*) and sprayed with their undersides facing up as described in TEST G. The leaves were then set in 0.94-cm (3/8-inch) diameter vials containing 4 mL of sugar solution (approximately 1.4 g per liter) and covered with a clear plastic 29-mL (1-ounce) cup to prevent escape of the aphids that drop from the leaves. The test units were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 187, 272, 288, 304, 321, 325, 329, 342, 343, 348, 400, 413, 515, 538, 550, 554, 674, 679, and 688.

30

TEST K

Two-Spotted Spider Mite

Pieces of kidney bean leaves, each approximately 6.5 cm² (1 square inch) in area, that had been infested on the undersides with 25 to 30 adult mites (*Tetranychus urticae*), were sprayed with their undersides facing up on a hydraulic sprayer with a solution of the test compound in 75:25 acetone-distilled water solvent. Spraying was accomplished by passing the leaves, on a conveyor belt, directly beneath a flat fan hydraulic nozzle which

discharged the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). The leaf squares were then placed underside-up on a square of wet cotton in a petri dish and the perimeter of the leaf square was tamped down onto the cotton with forceps so that the mites could not escape onto the untreated leaf surface. The test units were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 146, 162, 187, 239, 247, 296, 306, 321, 325, 329, 343, 345, 373, 378, 467, 490, 493, 500, 515, 531, 532, 537, 538, 550, 670, 672, 673, 674, 675, 676, 677, 679, 680, 681, 683, 690, 693, 699, 701, 715, and 717.

The same units were held an additional 5 days and read for larvicide/ovicide mortality and/or developmental effects. Of the compounds tested, the following gave activity levels of 80% or higher: 15*, 187, 343, 420, 466, 520, 534, 535, 536, 540, 541, 548, 550, 554, 682, 689, and 693.

* Tested at 0.55 kg/ha.

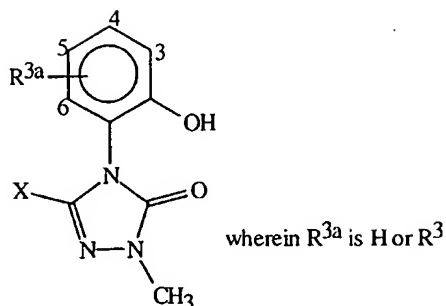
TEST L

Larval two-Spotted Spider Mites (*Tetranychus urticae*)

Solutions of the test compounds were prepared by dissolving in a minimum of acetone and then adding water containing a wetting agent until the concentration of the compound was 50 ppm. Two-week old red kidney bean plants infested with two-spotted spider mites eggs were sprayed to run-off (equivalent to 28 g/ha) with the test solution using a turntable sprayer. Plants were held in a chamber at 25°C and 50% relative humidity. Of the compounds tested, the following gave larvicide/ovicide activity of 80% or higher seven days after spraying: 187, 466, 670, 674, 675, and 677.

Specific compounds of Formula II which are useful as intermediates for the preparation of the fungicides and arthropodocides of Formula I where Y is oxygen are described in Index Tables N and O. The abbreviation "Ex." stands for "Example" and is followed by a number and step indicating in which example step the intermediate is prepared.

207

INDEX TABLE N

<u>Cmpd No.</u>	<u>X</u>	<u>R^{3a}</u>	<u>m.p. (°C)</u>
733 Ex. 1 Step C	Cl	H	solid*
734 Ex. 1 Step D	CH ₃ O	H	solid*
735 Ex. 22 Step D	CH ₃ O	6-CH ₃	194-196
736 Ex. 22 Step C	Cl	6-CH ₃	175-178
737	CH ₃ O	4-CH ₃ O	163-165
738	Cl	4-CH ₃ O	192-194
979	MeO	6-CH ₃ S	185-190
980	MeO	3-CH ₃	195-197

*See Index Table O for ¹H NMR data.

5

INDEX TABLE O

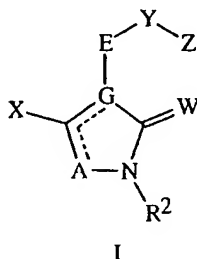
<u>Cmpd No.</u>	<u>¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a</u>
733	δ 8.18 (s,1H), 7.11 (t,2H), 6.91 (t,1H), 6.76 (d,1H), 3.56 (s,3H).
734	δ 8.40 (br s,1H), 7.20 (m,2H), 7.03 (d,1H), 6.94 (t,1H), 4.00 (s,3H), 3.48 (s,3H).

^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (m)-multiplet, (br s)-broad singlet.

CLAIMS

What is claimed is:

1. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound selected from Formula I, *N*-oxides and agriculturally suitable salts thereof,



wherein

E is selected from:

- 10 i) 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R³, R⁴, or both R³ and R⁴; and
- 15 iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;
- 20
- 25

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

30

- X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;
- R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxy carbonyl;
- R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;
- R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxy carbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or
- when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;
- R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxy carbonyl;
- Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-; -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-; -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-; -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-; -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-; -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-; -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-; -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-;

- $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{A}^3-$; $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{Z}^1)-$;
 $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{CH}_2\text{O}-$; $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{CH}_2\text{S}-$;
 $-\text{O}-\text{CH}_2\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; $-\text{CHR}^{15}\text{O}-\text{C}(\text{R}^{15})=\text{C}(\text{R}^7)-$; $-\text{CHR}^{15}\text{O}-\text{C}(\text{R}^7)=\text{N}-$;
 $-\text{CHR}^{15}\text{S}-\text{C}(\text{R}^7)=\text{N}-$; $-\text{C}(\text{R}^7)=\text{N}-\text{NR}^{15}-$; $-\text{CH}=\text{N}-\text{N}=\text{C}(\text{R}^7)-$;
5 $-\text{CHR}^{15}\text{N}(\text{R}^{15})-\text{N}=\text{C}(\text{R}^7)-$; $-\text{CHR}^{15}\text{N}(\text{COCH}_3)-\text{N}=\text{C}(\text{R}^7)-$;
 $-\text{OC}(=\text{S})\text{NR}^{15}\text{C}(=\text{O})-$; $-\text{CHR}^6-\text{C}(=\text{W}^1)-\text{A}^1-$; $-\text{CHR}^6\text{CHR}^6-\text{C}(=\text{W}^1)-\text{A}^1-$;
 $-\text{CR}^6=\text{CR}^6-\text{C}(=\text{W}^1)-\text{A}^1-$; $-\text{C}\equiv\text{C}-\text{C}(=\text{W}^1)-\text{A}^1-$; $-\text{N}=\text{CR}^6-\text{C}(=\text{W}^1)-\text{A}^1-$; or a
direct bond; and the directionality of the Y linkage is defined such that the
moiety depicted on the left side of the linkage is bonded to E and the moiety
10 on the right side of the linkage is bonded to Z;
- Z^1 is H or $-\text{A}^3-\text{Z}$;
 W^1 is O or S;
 A^1 is O; S; NR^{15} ; or a direct bond;
 A^2 is O; NR^{15} ; or a direct bond;
15 A^3 is $-\text{C}(=\text{O})-$; $-\text{S}(\text{O})_2-$; or a direct bond;
- each R^6 is independently H; 1-2 CH_3 ; C_2-C_3 alkyl; C_1-C_3 alkoxy; C_3-C_6
cycloalkyl; formylamino; C_2-C_4 alkylcarbonylamino; C_2-C_4
alkoxycarbonylamino; $\text{NH}_2\text{C}(\text{O})\text{NH}$; $(\text{C}_1-\text{C}_3 \text{ alkyl})\text{NHC}(\text{O})\text{NH}$;
 $(\text{C}_1-\text{C}_3 \text{ alkyl})_2\text{NC}(\text{O})\text{NH}$; $\text{N}(\text{C}_1-\text{C}_3 \text{ alkyl})_2$; piperidinyl; morpholinyl;
20 1-2 halogen; cyano; or nitro;
- each R^7 is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6
haloalkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_1-C_6
haloalkylthio; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; C_2-C_6
alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6
25 cycloalkyl; C_2-C_4 alkylcarbonyl; C_2-C_4 alkoxycarbonyl; halogen; cyano;
nitro; hydroxy; amino; $\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$; $\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$; or morpholinyl;
- each Z is independently selected from:
- i) C_1-C_{10} alkyl, C_2-C_{10} alkenyl, and C_2-C_{10} alkynyl each substituted with R^9
and optionally substituted with one or more R^{10} ;
 - 30 ii) C_3-C_8 cycloalkyl, C_3-C_8 cycloalkenyl and phenyl each substituted with R^9
and optionally substituted with one or more R^{10} ;
 - iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic
and fused tricyclic nonaromatic heterocyclic ring systems and 5 to
14-membered monocyclic, fused bicyclic and fused tricyclic aromatic
35 heterocyclic ring systems, each heterocyclic ring system containing 1 to 6
heteroatoms independently selected from the group nitrogen, oxygen, and

- sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R^9 and optionally substituted with one or more R^{10} ;
- 5 iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from $C(=O)$ and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each
- 10 multicyclic ring system substituted with R^9 and optionally substituted with one or more R^{10} ; and
- v) adamantyl substituted with R^9 and optionally substituted with one or more R^{10} ;
- 15 each Q is independently selected from the group $-CHR^{13}$ -, $-NR^{13}$ -, $-O$ -, and $-S(O)_p$ -;
- R^8 is H; 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl;
- 20 C_3 - C_6 cycloalkyl; C_3 - C_6 alkenyloxy; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6 alkyl); $N(C_1$ - C_6 alkyl) $_2$; cyano; nitro; $SiR^{19}R^{20}R^{21}$; or $GeR^{19}R^{20}R^{21}$;
- R^9 is H; 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl;
- 25 C_3 - C_6 cycloalkyl; C_3 - C_6 alkenyloxy; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6 alkyl); $N(C_1$ - C_6 alkyl) $_2$; $-C(R^{18})=NOR^{17}$; cyano; nitro; SF_5 ; $SiR^{22}R^{23}R^{24}$; or $GeR^{22}R^{23}R^{24}$; or R^9 is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;
- 30 each R^{10} is independently halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_1 - C_4 alkoxy; nitro; or cyano; or
- when R^9 and an R^{10} are attached to adjacent atoms on Z, R^9 and said adjacently attached R^{10} can be taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2 group of said taken together R^9 and R^{10} optionally substituted with 1-2
- 35 halogen; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -O-CH₂CH₂O-N=C(R⁷)-,
-CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CH=N-N=C(R⁷)-, -CHR¹⁵N(R¹⁵)-N=C(R⁷)- or
-CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be
5 taken together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or
-CH₂N(R¹⁶)-; each CH₂ group of said J optionally substituted with 1 to 2
CH₃;

R¹¹ and R¹² are each independently 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl;

10 C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆
alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀
tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄
haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy;
C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy;
15 C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄
alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄
haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆
alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅;
Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶;
20 C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶;
C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶;
SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷;
OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶;
S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl.
25 benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally
substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄
haloalkoxy, nitro or cyano;

each R¹³ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally
substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄
30 haloalkoxy, nitro or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl;
C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

each R¹⁵ is independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl or benzyl,
each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl,
35 C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; or

when Y is $-\text{CHR}^{15}\text{N}(\text{R}^{15})\text{C}(=\text{O})\text{N}(\text{R}^{15})-$, the two R^{15} attached to nitrogen atoms on said group can be taken together as $-(\text{CH}_2)_s-$; or

when Y is $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)\text{NR}^{15}-$, R^7 and the adjacently attached R^{15} can be taken together as $-\text{CH}_2-(\text{CH}_2)_s-$; $-\text{O}-(\text{CH}_2)_s-$; $-\text{S}-(\text{CH}_2)_s-$; or

5 $-\text{N}(\text{C}_1-\text{C}_3 \text{ alkyl})-(\text{CH}_2)_s-$; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;

10 R^{16} , R^{17} , and R^{18} are each independently H; C_1-C_3 alkyl; C_3-C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , and R^{24} are each independently C_1-C_6 alkyl; C_2-C_6 alkenyl; C_1-C_4 alkoxy; or phenyl;

15 each R^{25} is independently C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_1-C_4 alkoxy; or phenyl;

each R^{26} is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

20 each R^{27} is independently C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

m, n and p are each independently 0, 1 or 2;

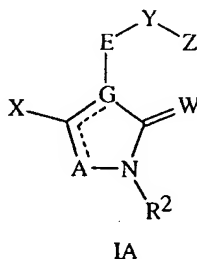
25 r is 0 or 1; and

s is 2 or 3;

provided that

(i) when E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; X is OR^1 , $\text{S}(\text{O})_m\text{R}^1$ or halogen; Y is $-\text{O}-$, $-\text{S}(\text{O})_n-$, $-\text{NR}^{15}-$,
 30 $-\text{C}(=\text{O})-$, $-\text{CH}(\text{OR}^{15})-$, $-\text{CHR}^6-$, $-\text{CHR}^6\text{CHR}^6-$, $-\text{CR}^6=\text{CR}^6-$, $-\text{C}\equiv\text{C}-$,
 $-\text{CHR}^{15}\text{O}-$, $-\text{OCHR}^{15}-$, $-\text{CHR}^{15}\text{S}(\text{O})_n-$, $-\text{S}(\text{O})_n\text{CHR}^{15}-$,
 $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-$, $-(\text{R}^7)\text{C}=\text{N}-\text{OCH}(\text{R}^{15})-$, $-\text{C}(\text{R}^7)=\text{N}-\text{O}-$, $-\text{O}-\text{N}=\text{C}(\text{R}^7)-$,
 $-\text{CHR}^{15}\text{OC}(=\text{O})\text{N}(\text{R}^{15})-$ or a direct bond; and R^9 is $\text{SiR}^{22}\text{R}^{23}\text{R}^{24}$ or
 $\text{GeR}^{22}\text{R}^{23}\text{R}^{24}$; then Z is other than phenyl or a 5 to 14-membered aromatic
 35 heterocyclic ring system each substituted with R^9 and optionally substituted with one or more R^{10} ;

- (ii) when E is a naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; R^3 or R^4 is $\text{Si}(\text{R}^{25})_3$ or $\text{Ge}(\text{R}^{25})_3$; and Y is $-\text{O}-$, $-\text{S}(\text{O})_n-$, $-\text{C}(=\text{O})-$, $-\text{CHR}^6-$, $-\text{CHR}^6\text{CHR}^6-$, $-\text{CR}^6=\text{CR}^6-$, $-\text{C}\equiv\text{C}-$, $-\text{OCHR}^{15}-$, $-\text{S}(\text{O})_n\text{CHR}^{15}-$ or a direct bond; then Z is other than C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each substituted with R^9 and optionally substituted with one or more R^{10} ; and
- (iii) when E is a naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; R^3 or R^4 is $\text{Si}(\text{R}^{25})_3$ or $\text{Ge}(\text{R}^{25})_3$; and Y is $-\text{S}(\text{O})_n-$, $-\text{C}(=\text{O})-$, $-\text{C}\equiv\text{C}-$ or a direct bond; then Z is other than phenyl substituted with R^9 and optionally substituted with one or more R^{10} .
2. A compound selected from Formula 1A, *N*-oxides and agriculturally suitable salts thereof,



15 wherein

- E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
 A is O or N;
 G is C or N; provided that when G is C, then A is O and the floating double bond is attached to G; and when G is N, then A is N and the floating double bond is attached to A;
- 20 W is O;
 X is OR^1 ;
 R^1 is C_1 - C_3 alkyl;
 R^2 is H or C_1 - C_2 alkyl;
- 25 R^3 and R^4 are each independently halogen; cyano; nitro; hydroxy; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyloxy; C_2 - C_6 alkynyloxy; C_1 - C_6 alkylthio; C_1 - C_6 alkylsulfanyl; C_1 - C_6 alkylsulfonyl; formyl; C_2 - C_6 alkylcarbonyl; C_2 - C_6 alkoxycarbonyl; $\text{NH}_2\text{C}(\text{O})$;
 30 $(\text{C}_1$ - C_4 alkyl) $\text{NHC}(\text{O})$; $(\text{C}_1$ - C_4 alkyl) $_2\text{NC}(\text{O})$; $\text{Si}(\text{R}^{25})_3$; $\text{Ge}(\text{R}^{25})_3$;

- (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰;
- Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-; -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-; or a direct bond; and
- 5 the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;
- Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; and pyrazinyl; each group substituted
- 10 with R⁹ and optionally substituted with one or more R¹⁰;
- R⁹ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂;
- 15 -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅; SiR²²R²³R²⁴; or GeR²²R²³R²⁴; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; provided that when Z is pyrazinyl, then R⁹ is other than H or C₁-C₆ haloalkyl;
- 20 each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or
- when R⁹ and an R¹⁰ are attached to adjacent atoms on Z, R⁹ and said adjacently attached R¹⁰ can be taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group of said taken together R⁹ and R¹⁰ optionally substituted with 1-2
- 25 halogen;
- R¹¹ and R¹² are each independently 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy;
- 30 C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅;
- 35 Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶;

- $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$;
 $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$;
 $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$;
 $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$;
 $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl,
 5 benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally
 substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4
 haloalkoxy, nitro or cyano;
- 10 R^{15} is H; C_1 - C_3 alkyl; or cyclopropyl;
 R^{17} and R^{18} are each independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or phenyl
 optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4
 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
 R^{22} , R^{23} , and R^{24} are each independently C_1 - C_6 alkyl; C_2 - C_6 alkenyl; C_1 - C_4
 alkoxy; or phenyl;
- 15 each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4
 alkoxy; or phenyl;
 each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6
 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl
 or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4
 20 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
 each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6
 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl
 or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4
 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano; and
- 25 n is 0, 1 or 2.
3. A compound of Claim 2 wherein:
 R^1 is methyl;
 R^2 is methyl;
 Y is $-O-$; $-S(O)_n-$; $-NR^{15}-$; $-C(=O)-$; $-CH(OR^{15})-$; $-CH_2-$; or a direct bond; and
- 30 R^9 is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy;
 C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6
 alkylsulfonyl; C_3 - C_6 cycloalkyl; $CO_2(C_1-C_6 \text{ alkyl})$; $-C(R^{18})=NOR^{17}$; cyano;
 nitro; SF_5 ; $SiR^{22}R^{23}R^{24}$; or $GeR^{22}R^{23}R^{24}$; or R^9 is phenyl, benzyl, phenoxy,
 pyridinyl, thienyl, furanyl, or pyrimidinyl each optionally substituted with one
 35 of R^{11} , R^{12} , or both R^{11} and R^{12} .
4. A compound of Claim 3 wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; 1,2,4-thiadiazolyl; and pyrazinyl; each group substituted with R⁹ and optionally substituted with R¹⁰; and

Y is -O-; and

5 R⁹ is phenyl optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

5. The compound of Claim 3 which is selected from the group:

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

10 4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

15 4-[2-[[3-(3,4-dichlorophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

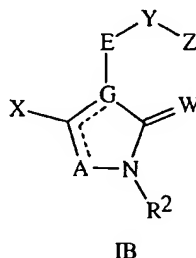
2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one;

4-[2-[[3-(4-bromophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

20 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-methyl-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3H-1,2,4-triazol-3-one; and

2,4-dihydro-5-methoxy-2-methyl-4-[2-[[6-[4-(trifluoromethyl)phenyl]-2-pyrazinyl]oxy]phenyl]-3H-1,2,4-triazol-3-one.

25 6. A compound selected from Formula IB, *N*-oxides and agriculturally suitable salts thereof,



wherein

30 E is selected from:

i) 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and

R⁴;

ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R³, R⁴, or both R³ and R⁴; and

5 iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when
10 G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the
20 floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;

25 R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;
30 R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl;

C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl;
35 C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃;

- (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms. R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;
- R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;
- Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-; -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-; -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-; -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-; -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-; -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-; -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-; -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-; -CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-; -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-; -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-; -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-; -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-; -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-; -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;
- Z¹ is H or -A³-Z;
- W¹ is O or S;
- A¹ is O; S; NR¹⁵; or a direct bond;
- A² is O; NR¹⁵; or a direct bond;
- A³ is -C(=O)-; -S(O)₂-; or a direct bond;

- each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆ cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄ alkoxy carbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH; (C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
- 5 1-2 halogen; cyano; or nitro;
- each R⁷ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkylthio; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; halogen; cyano; nitro; hydroxy; amino; NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; or morpholinyl;
- 10 each Z is independently selected from:
- i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each substituted with R⁹ and optionally substituted with one or more R¹⁰;
- 15 ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl or phenyl each substituted with R⁹ and optionally substituted with one or more R¹⁰;
- iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R⁹ and optionally substituted with one or more R¹⁰;
- 20 25 iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system substituted with R⁹ and optionally substituted with one or more R¹⁰; and
- 30 35 v) adamantyl substituted with R⁹ and optionally substituted with one or more R¹⁰;

each Q is independently selected from the group -CHR¹³-, -NR¹³-, -O-, and -S(O)_p-;

R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;

R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each substituted with R¹¹ and optionally substituted with R¹²;

each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or

when R⁹ and an R¹⁰ are attached to adjacent atoms on Z, R⁹ and said adjacently attached R¹⁰ can be taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group of said taken together R⁹ and R¹⁰ optionally substituted with 1-2 halogen; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -O-CH₂CH₂O-N=C(R⁷)-, -CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CH=N-N=C(R⁷)-, -CHR¹⁵N(R¹⁵)-N=C(R⁷)- or -CHR¹⁵N(COCH₃)-N=C(R⁷)-; R⁷ and said adjacently attached R¹⁰ can be taken together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group of said J optionally substituted with 1 to 2 CH₃;

R¹¹ is C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆ alkylthioalkylthio; thiocyanato; hydroxy; N(R²⁶)₂; SF₅; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶; C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷;

- $\text{OC(=O)N(R}^{26}\text{)}_2$; SC(=O)OR^{27} ; SC(=O)SR^{27} ; $\text{S(O)}_2\text{OR}^{26}$; $\text{S(O)}_2\text{N(R}^{26}\text{)}_2$;
 $\text{OS(O)}_2\text{R}^{27}$; $\text{N(R}^{26}\text{)S(O)}_2\text{R}^{27}$; or phenyl, phenoxy, benzyl, benzyloxy,
 phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted
 with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄
 haloalkoxy, nitro or cyano;
- 5 R^{12} is 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₆ alkenyl; C₂-C₆
 haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆ alkoxyalkyl; C₂-C₆
 alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl;
 benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; C₃-C₆ alkenyloxy;
 10 C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆
 alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄
 alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl;
 C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆
 haloalkenylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy;
- 15 $\text{N(R}^{26}\text{)}_2$; SF_5 ; $\text{Si(R}^{25}\text{)}_3$; $\text{Ge(R}^{25}\text{)}_3$; $(\text{R}^{25})_3\text{Si-C}\equiv\text{C-}$; $\text{OSi(R}^{25}\text{)}_3$; $\text{OGe(R}^{25}\text{)}_3$;
 C(=O)R^{26} ; C(=S)R^{26} ; C(=O)OR^{26} ; C(=S)OR^{26} ; C(=O)SR^{26} ; C(=S)SR^{26} ;
 $\text{C(=O)N(R}^{26}\text{)}_2$; $\text{C(=S)N(R}^{26}\text{)}_2$; OC(=O)R^{26} ; OC(=S)R^{26} ; SC(=O)R^{26} ;
 SC(=S)R^{26} ; $\text{N(R}^{26}\text{)C(=O)R}^{26}$; $\text{N(R}^{26}\text{)C(=S)R}^{26}$; OC(=O)OR^{27} ;
 OC(=O)SR^{27} ; $\text{OC(=O)N(R}^{26}\text{)}_2$; SC(=O)OR^{27} ; SC(=O)SR^{27} ; $\text{S(O)}_2\text{OR}^{26}$;
 20 $\text{S(O)}_2\text{N(R}^{26}\text{)}_2$; $\text{OS(O)}_2\text{R}^{27}$; $\text{N(R}^{26}\text{)S(O)}_2\text{R}^{27}$; or phenyl, phenoxy, benzyl,
 benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally
 substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄
 haloalkoxy, nitro or cyano;
- each R^{13} is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally
 25 substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄
 haloalkoxy, nitro or cyano;
- R^{14} is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl;
 C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;
- each R^{15} is independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl or benzyl,
 30 each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl,
 C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; or
- when Y is $-\text{CHR}^{15}\text{N(R}^{15}\text{)C(=O)N(R}^{15}\text{)-}$, the two R^{15} attached to nitrogen atoms
 on said group can be taken together as $-(\text{CH}_2)_5-$; or
- when Y is $-\text{CHR}^{15}\text{O-N=C(R}^7\text{)NR}^{15}-$, R^7 and the adjacently attached R^{15} can be
 35 taken together as $-\text{CH}_2-(\text{CH}_2)_5-$; $-\text{O}-(\text{CH}_2)_5-$; $-\text{S}-(\text{CH}_2)_5-$; or
 $-\text{N(C}_1\text{-C}_3\text{ alkyl)}-(\text{CH}_2)_5-$; with the directionality of said linkage defined such

that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;

- 5 R^{16} is H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
- R^{19} , R^{20} , and R^{21} are each independently C_1 - C_6 alkyl; C_2 - C_6 alkenyl; C_1 - C_4 alkoxy; or phenyl;
- 10 each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4 alkoxy; or phenyl;
- each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
- 15 each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
- m , n and p are each independently 0, 1 or 2;
- 20 r is 0 or 1; and
- s is 2 or 3.

7. A compound of Claim 6 wherein:

- E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-,
- 25
- 30
- 35

- 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl;
 1*H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-,
 5 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl; 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and
 10 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7- and 7,8-quinoxalinediyl; 1,8-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-, 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl;
 15 pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl; thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl; 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl; 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-, 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl;
 20 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-, 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and 5,6-diyl;
 25 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl; 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl;
 30 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl; and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

W is O;

35 R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;

- R^3 and R^4 are each independently halogen; cyano; nitro; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_1 - C_6 alkylthio; C_1 - C_6 alkylsulfonyl; C_2 - C_6 alkylcarbonyl; C_2 - C_6 alkoxycarbonyl; (C_1 - C_4 alkyl)NHC(O); (C_1 - C_4 alkyl) $_2$ NC(O); benzoyl; or phenylsulfonyl;
- 5 Y is -O-; -S(O) $_n$ -; -NR 15 -; -C(=O)-; -CH(OR 15)-; -CH $_2$ -; -CH $_2$ CH $_2$ -; -CH=CH-; -C \equiv C-; -CH $_2$ O-; -OCH $_2$ -; -CH $_2$ S(O) $_n$ -; -S(O) $_n$ CH $_2$ -; -CH $_2$ O-N=C(R 7)-; - (R 7)C=N-OCH(R 15)-; -C(R 7)=N-O-; or a direct bond;
- R^7 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 alkylthio; C_2 - C_6 alkenyl; C_2 - C_6 alkynyl; C_3 - C_6 cycloalkyl; halogen; or cyano; or
- 10 when Y and an R^{10} are attached to adjacent atoms on Z and Y is -CH $_2$ O-N=C(R 7)-, R^7 and said adjacently attached R^{10} can be taken together as -(CH $_2$) $_f$ -J- such that J is attached to Z;
- Z is selected from the group C_1 - C_{10} alkyl; C_3 - C_8 cycloalkyl; phenyl; naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl; thienyl; 1*H*-pyrazolyl;
- 15 1*H*-imidazolyl; isoxazolyl; oxazolyl; isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl; 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl; 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl; 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl; pyridazinyl; pyrimidinyl; pyrazinyl;
- 20 1,3,5-triazinyl; 1,2,4-triazinyl; 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl; 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl; quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl; quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl; 1,2,3,4-tetrahydronaphthalenyl;
- 25 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl; 5,6,7,8,9,10-hexahydrobenzocyclooctenyl; 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl; 2,3-dihydro-2-oxobenzofuranyl; 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl; 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl;
- 30 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl; 2-oxo-2*H*-1-benzopyranyl; 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl; 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl; 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl;
- 35 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl; 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl; 2-oxo-1,3-benzodioxyl;

2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9H-fluorenyl; azulenylyl; and thiazolo[2,3-c]-1,2,4-triazolyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰; and

R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.

5 8. A compound of Claim 7 wherein:

E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and

2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl; 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and

10 6,7-benzofurandiyl; and benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl; each aromatic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R⁹ and optionally substituted with

15 one or more R¹⁰;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆ alkenyl; C₂-C₆ alkynyl; cyclopropyl; halogen; or cyano; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken

20 together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂- or -CH₂CH₂-; and

r is 1.

9. The compound of Claim 8 which is selected from the group:

4-[2-[[3-(3-ethynylphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one; and

25 [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] trifluoromethanesulfonate.

10. A fungicidal composition comprising a fungicidally effective amount of a compound of any of Claims 2-9 and at least one of a surfactant, a solid diluent or a liquid

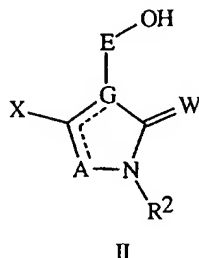
30 diluent.

11. An arthropodicidal composition comprising an arthropodicidally effective amount of a compound of any of Claims 2-9 and at least one of a surfactant, a solid diluent or a liquid diluent.

12. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a

35 fungicidally effective amount of a compound of any of Claims 2-9.

13. A compound selected from Formula II,



wherein

- 5 E is 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;
 A is O; S; N; NR⁵; or CR¹⁴;
 G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating
 double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the
 floating double bond is attached to A;
- 10 W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);
 X is OR¹; S(O)_mR¹; or halogen;
 R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄
 alkoxycarbonyl;
- 15 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄
 alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;
- R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆
 haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆
 alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl;
 C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O);
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃;
 (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each
 substituted with R⁸ and optionally substituted with one or more R¹⁰; or
 when R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together
 as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅
 haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;
- 20 R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄
 alkoxycarbonyl;
- 30

- R^8 is H; 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl; C_3 - C_6 cycloalkyl; C_3 - C_6 alkenyloxy; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6 alkyl); $N(C_1$ - C_6 alkyl)₂; cyano; nitro; $SiR^{19}R^{20}R^{21}$; or $GeR^{19}R^{20}R^{21}$;
- 5 each R^{10} is independently halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_1 - C_4 alkoxy; nitro; or cyano;
- R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl;
- 10 R^{19} , R^{20} and R^{21} are each independently C_1 - C_6 alkyl; C_2 - C_6 alkenyl; C_1 - C_4 alkoxy; or phenyl;
- each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4 alkoxy; or phenyl; and
- m is 0, 1 or 2.
- 15 14. The compound of Claim 13 which is selected from the group:
- 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one; and
- 20 5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US96/18916

A. CLASSIFICATION OF SUBJECT MATTER

IPC(6) : A01N 43/653; C07D 241/08, 249/08, 249/12, 275/02, 285/08, 417/04
US CL : 514/249, 384; 544/336; 548/128, 263.2, 263.4, 263.6, 264
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/249, 384; 544/336; 548/128, 263.2, 263.4, 263.6, 264

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

STN, CAS ONLINE
search terms: triazol7, thiadiazol7, 1,2,4-triazol-3-one

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96/36615 A1 (E. I. DU PONT DE NEMOURS AND COMPANY) 21 November 1996 (21.11.96), see entire document, especially abstract.	5, 9-12 and 14
X	WO 96/26191 A1 (E. I. DU PONT DE NEMOURS AND COMPANY) 29 August 1996 (29.08.96), see entire document, especially abstract.	5, 9-12 and 14
A	US 5,108,486 A (KONDO ET AL) 28 April 1992 (28.04.92), page 1, abstract.	5, 9-12 and 14

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:	*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
A document defining the general state of the art which is not considered to be of particular relevance	*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
E earlier document published on or after the international filing date	*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	*Z* document member of the same patent family
O document referring to an oral disclosure, use, exhibition or other means	
P document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search

28 JANUARY 1997

Date of mailing of the international search report

27 FEB 1997

Name and mailing address of the ISA/US
Commissioner of Patents and Trademarks
Box PCT
Washington, D.C. 20231

Facsimile No. (703) 305-3230

Authorized officer

JANE OSWECKI

Telephone No. (703) 308-1235

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US96/18916

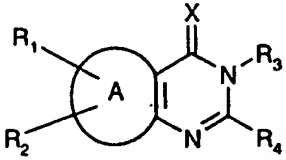
BOX I. OBSERVATIONS WHERE CLAIMS WERE FOUND UNSEARCHABLE

2. Where no meaningful search could be carried out, specifically:

The claims were found unsearchable because the multitude of variables (e.g., G, W, X, Y, Z, R-1 to R-26, etc.) and their permutations and combinations result in claims so broad in scope that they are virtually incomprehensible, and so no meaningful search can be made. Therefore, the first discernable inventions as found in claims 5, 9-12 and 14 (i.e., where G, A, N and W represent 1,2,4-triazol-3-ones or 1,2-oxazol-3-ones with optional substitutions) were searched.



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 239/00	A2	(11) International Publication Number: WO 99/14202 (43) International Publication Date: 25 March 1999 (25.03.99)
(21) International Application Number: PCT/EP98/05790 (22) International Filing Date: 10 September 1998 (10.09.98) (30) Priority Data: 9719411.2 12 September 1997 (12.09.97) GB (71) Applicant (for all designated States except AT US): NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH). (71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT]; Brunner Strasse 59, A-1235 Vienna (AT). (72) Inventor; and (75) Inventor/Applicant (for US only): WALTER, Harald [DE/CH]; Chilchmattstrasse 12b, CH-4118 Rodersdorf (CH). (74) Agent: BECKER, Konrad; Novartis AG, Patent- und Markenabteilung, Lichtstrasse 35, CH-4002 Basel (CH).		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>Without international search report and to be republished upon receipt of that report.</i>
(54) Title: NOVEL PYRIMIDIN-4-ONE AND PYRIMIDIN-4-THIONE AS FUNGICIDE <div style="text-align: center;">  (I) </div> (57) Abstract <p>Novel pyrimidin-4-one and pyrimidin-4-thione derivatives of formula (I) wherein A is phenyl, thienyl (including all 3 isomers), thiazolyl, pyridyl or pyridazinyl; X is oxygen or sulfur; R₁ is hydrogen, halogen or trimethylsilyl; R₂ is hydrogen, halogen or trimethylsilyl; at least one of R₁ and R₂ is not hydrogen; R₃ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₆alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl; R₄ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, cyano, C₁-C₆alkoxy or C₁-C₆haloalkoxy; C₁-C₄alkoxy-C₁-C₄alkoxy; C₁-C₄alkoxy-C₁-C₄alkylthio; nitro; -CO-C₁-C₆alkyl; C₃-C₆cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, cyano, nitro, amino, mono-C₁-C₆alkylamino, di-C₁-C₆alkylamino, C₁-C₆alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy or C₁-C₆haloalkoxy. The novel compounds have plant-protective properties and are suitable for protecting plants against infestation by phytopathogenic microorganisms, in particular fungi.</p>		

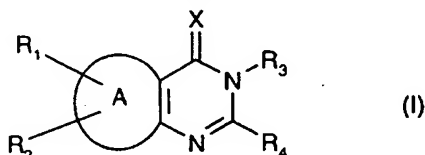
FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece	ML	Mali	TR	Turkey
BG	Bulgaria	HU	Hungary	MN	Mongolia	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MR	Mauritania	UA	Ukraine
BR	Brazil	IL	Israel	MW	Malawi	UG	Uganda
BY	Belarus	IS	Iceland	MX	Mexico	US	United States of America
CA	Canada	IT	Italy	NE	Niger	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NL	Netherlands	VN	Viet Nam
CG	Congo	KE	Kenya	NO	Norway	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NZ	New Zealand	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	PL	Poland		
CM	Cameroon	KR	Republic of Korea	PT	Portugal		
CN	China	KZ	Kazakhstan	RO	Romania		
CU	Cuba	LC	Saint Lucia	RU	Russian Federation		
CZ	Czech Republic	LI	Liechtenstein	SD	Sudan		
DE	Germany	LK	Sri Lanka	SE	Sweden		
DK	Denmark	LR	Liberia	SG	Singapore		
EE	Estonia						

NOVEL PYRIMIDIN-4-ONE and PYRIMIDIN-4-THIONE AS FUNGICIDE

The present invention relates to novel pyrimidin-4-one and pyrimidin-4-thione derivatives of formula I, which have pesticidal activity, in particular fungicidal activity,



wherein

A is phenyl, thienyl (including all 3 isomers), thiazolyl, pyridyl or pyridazinyl;

X is oxygen or sulfur;

R₁ is hydrogen, halogen or trimethylsilyl;

R₂ is hydrogen, halogen or trimethylsilyl; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₆alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, cyano, C₁-C₆alkoxy or C₁-C₆haloalkoxy; C₁-C₄alkoxy-C₁-C₄alkoxy; C₁-C₄alkoxy-C₁-C₄alkylthio; nitro; -CO-C₁-C₆alkyl; C₃-C₆cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, cyano, nitro, amino, mono-C₁-C₆alkylamino, di-C₁-C₆alkylamino, C₁-C₆alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy or C₁-C₆haloalkoxy.

The invention also relates to the preparation of these compounds, to agrochemical compositions comprising as active ingredient at least one of these compounds, as well as to the use of the active ingredients or compositions for pest control, in particular as fungicides, in agriculture and horticulture.

The compounds I and, optionally, their tautomers may be obtained in the form of their salts. Because the compounds I have at least one basic center they can, for example, form acid addition salts. Said acid addition salts are, for example, formed with mineral acids, typically

sulfuric acid, a phosphoric acid or a hydrogen halide, with organic carboxylic acids, typically acetic acid, oxalic acid, malonic acid, maleic acid, fumaric acid or phthalic acid, with hydroxycarboxylic acids, typically ascorbic acid, lactic acid, malic acid, tartaric acid or citric acid, or with benzoic acid, or with organic sulfonic acids, typically methanesulfonic acid or p-toluenesulfonic acid.

Together with at least one acidic group, the compounds of formula I can also form salts with bases. Suitable salts with bases are, for example, metal salts, typically alkali metal salts or alkaline earth metal salts, e.g. sodium salts, potassium salts or magnesium salts, or salts with ammonia or an organic amine, e.g. morpholine, piperidine, pyrrolidine, a mono-, di- or trialkylamine, typically ethylamine, diethylamine, triethylamine or dimethylpropylamine, or a mono-, di- or trihydroxyalkylamine, typically mono-, di- or triethanolamine. Where appropriate, the formation of corresponding internal salts is also possible. Within the scope of this invention, agrochemical acceptable salts are preferred.

Where asymmetrical carbon atoms are present in the compounds of formula I, these compounds are in optically active form. Owing to the presence of double bonds, the compounds can be obtained in the [E] and/or [Z] form. Atropisomerism can also occur. The invention relates to the pure isomers, such as enantiomers and diastereomers, as well as to all possible mixtures of isomers, e.g. mixtures of diastereomers, racemates or mixtures of racemates.

The general terms used hereinabove and hereinbelow have the following meanings, unless otherwise defined:

Alkyl groups on their own or as structural element of other groups such as alkoxy are, in accordance with the number of carbon atoms, straight-chain or branched and will typically be methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, n-amyl, tert-amyl, 1-hexyl, 3-hexyl, 1-heptyl or 1-octyl.

Alkenyl will be understood as meaning straight-chain or branched alkenyl such as allyl, methallyl, 1-methylvinyl, but-2-en-1-yl, 1-pentenyl, 1-hexenyl, 1-heptenyl or 1-octenyl. Preferred alkenyl radicals contain 3 to 4 carbon atoms in the chain.

Alkynyl can likewise, in accordance with the number of carbon atoms, be straight-chain or branched and is typically propargyl, but-1-yn-1-yl, but-1-yn-3-yl, 1-pentynyl, 1-hexynyl, 1-heptynyl or 1-octynyl. The preferred meaning is propargyl.

Halogen and halo substituents will be understood generally as meaning fluorine, chlorine, bromine or iodine. Fluorine, chlorine or bromine are preferred meanings.

Haloalkyl can contain identical or different halogen atoms, typically fluoromethyl, difluoromethyl, difluorochloromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl, 2,2,2-trichloroethyl, 3,3,3-trifluoropropyl.

Cycloalkyl is, depending on the ring size, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

Preferred compounds are those of formula I, wherein

A is thienyl, including all 3 isomers (subgroup A).

Within the scope of said subgroup A, those compounds of formula I are preferred wherein

R₁ is hydrogen, fluorine, chlorine, bromine or iodine;

R₂ is hydrogen, fluorine, chlorine, bromine or iodine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy (subgroup B).

A special group within the scope of subgroup B is that of the compounds of formula I, wherein

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₄cycloalkyl, fluorine, chlorine, bromine or C₁-C₄alkoxy; O-C₁-C₆alkyl; O-C₂-C₆alkenyl; O-C₂-C₆alkynyl; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₄cycloalkyl, fluorine, chlorine, bromine or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine (subgroup C).

A preferred group within the scope of subgroup C is that of the compounds of the formula I, wherein

A is thienyl[2.3-d],

X is oxygen,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which the phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine (subgroup D1).

Another preferred group within the scope of subgroup C is that of compounds of the formula I, wherein

A is thienyl[2.3-d],

X is sulfur,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which the phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine (subgroup D2).

Another preferred group within the scope of subgroup C is that of the compounds of the formula I, wherein

- 5 -

A is thienyl[3.2-d],

X is oxygen,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which the phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine (subgroup E).

Another preferred group of compounds are those of formula I, wherein

A is pyridyl (subgroup F).

Within the scope of said group F, those compounds of formula I are preferred wherein

X is oxygen;

R₁ is hydrogen, fluorine, chlorine, bromine or iodine;

R₂ is hydrogen, fluorine, chlorine, bromine or iodine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy,

C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy (subgroup G).

Other preferred group of compounds are those of formula I, wherein

A is phenyl (subgroup H).

Within the scope of said group H, those compounds of formula I are preferred wherein

X is oxygen;

- 6 -

R₁ is hydrogen, fluorine, chlorine, bromine or iodine;

R₂ is hydrogen, fluorine, chlorine, bromine or iodine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy (subgroup J1).

Another preferred group within the scope of subgroup H is that of compounds of the formula I, wherein

X is sulfur;

R₁ is hydrogen, fluorine, chlorine or bromine;

R₂ is hydrogen, fluorine, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy (subgroup J2).

Other preferred groups of compounds are those of formula I, wherein

A is thiazolyl (subgroup K).

Within the scope of said group K, those compounds of formula I are preferred wherein

X is oxygen;

R₁ is hydrogen, fluorine, chlorine, bromine or iodine;

R₂ is hydrogen, fluorine, chlorine, bromine or iodine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy (subgroup L).

Another preferred group of compounds are those of formula I, wherein

A is pyridazinyl (subgroup M).

Within the scope of said group M, those compounds of formula I are preferred wherein

X is oxygen;

R₁ is hydrogen, fluorine, chlorine, bromine or iodine;

R₂ is hydrogen, fluorine, chlorine, bromine or iodine; at least one of R₁ and R₂ is not hydrogen;

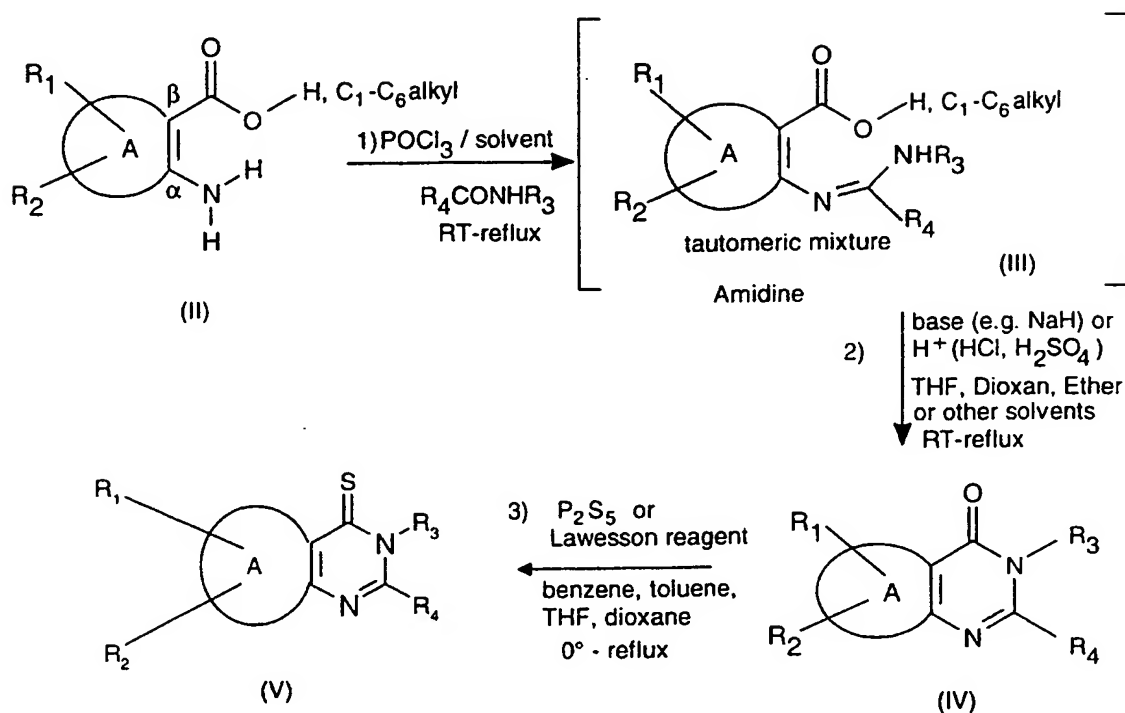
R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or

C₁-C₄haloalkoxy (subgroup N).

The compounds of formula I can be prepared as follows :

Scheme 1



The compounds of formula I are preferably prepared starting from α -amino- β -carboalkoxy-heterocycles or α -amino- β -carbocyclic acid heterocycles, some of which, where Het = thienyl, are commercially available (2 isomers). The methyl thiophene-2-amino-3-carboxylate can be prepared, for example, in accordance with Acta Pharm. Suecica 1968, Vol. 5, p.563, according to S.Gronowitz et al. Other heterocycles can be prepared according to instructions in the literature. The synthesis of, for example, ethyl 5-aminothiazole-4-carboxylate and ethyl 5-amino-2-methylthiazole-4-carboxylate is described by Golankiewicz et al. in Tetrahedron 1985, 41, 5989. The reaction of the α -amino- β -carboalkoxyheterocycles or α -amino- β -carbocyclic acid heterocycles with amides (R_4CONHR_3) (step 1 in scheme 1) is conveniently carried out in the presence of $POCl_3$, $SOCl_2$ or SO_2Cl_2 , in solvents, such as $ClCH_2CH_2Cl$, $CHCl_3$, CH_2Cl_2 , benzene, toluene, hexane, cyclohexane or others in the temperature range from RT to reflux temperature. The resulting amidines (III) either cyclise spontaneously to the pyrimidin-4-ones or were converted into the cyclised products by

treatment with bases such as t-Butyl-O-K, NaH, KH, n-BuLi, NaOH, Na₂CO₃ or others in solvents such as THF, dioxane, hexane, toluene, DMSO, DMF, dimethylacetamid or others at temperatures between 20°C and reflux-temperature.

The replacement of the 4-one group with sulfur to the 4-thione group (step 3 in scheme 1) is carried out by reaction with P₂S₅ or Lawesson-reagent in tetrahydrofurane, dioxane or toluene as solvent in the temperature range of RT to reflux temperature.

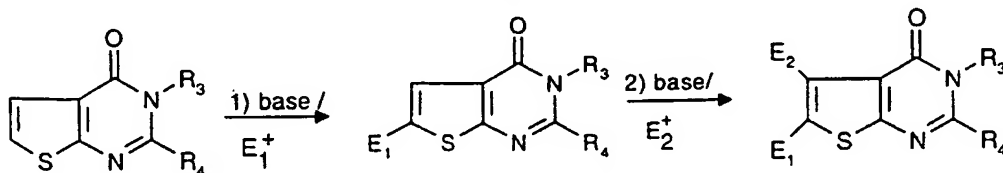
The above synthesis route is the first disclosure of how to prepare 3H-thieno[2.3-d]-pyrimidin-4-one derivatives within the structural pattern of formula I herein.

Methods for the preparation of compounds of the general formula I wherein R₁ = R₂ = hydrogen are described in Chemical Scripta 1981, 18, 135, Synthesis 1977, 180, Chem.Pharm.Bull. 1989, 37,2122 and DE-OS-2411273.

The invention also relates to the intermediates of the formula III, IV and V, and especially to those wherein A represents thienyl[2.3-d].

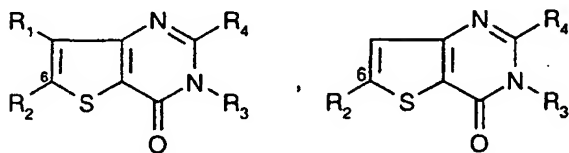
The introduction of further substituents into the 5-ring of the thienopyrimidin-4-ones may also conveniently be carried out using metallorganic methodology. Thieno[3.2-d]-pyrimidin-4-ones and thieno[2.3-d]pyrimidin-4-ones, for example, can be deprotonised selectively in 6-position. Particularly suitable bases for this purpose are lithium diisopropylamide (LDA), lithium cyclohexylisopropylamide (LICA) or secondary butyl lithium/TMEDA. A great number of the radicals R₁ or R₂ indicated above can be introduced by reacting the resulting anions with electrophiles (step 1 in scheme 2), typically Br₂, NBS, F₂, ICl, Cl₂, F⁺ reagents, trimethylsilyl chloride.

Scheme 2 : Synthesis of special heterocycles



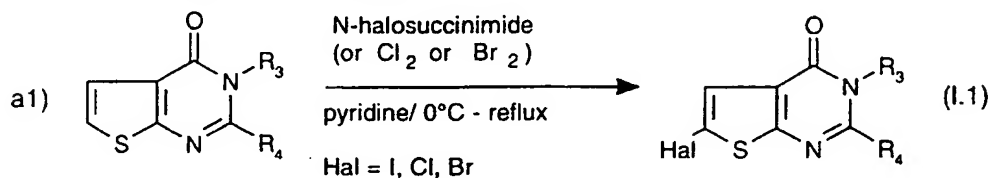
E_{1,2}⁺ = NBS (N-Bromsuccinimide), NCS (N-Chlorsuccinimide), I₂, Cl₂, Br₂, FCl, F⁺ reagents, TMS and similar Si reagents.

The following compounds can likewise be prepared in general accordance with the methods described in scheme 2:



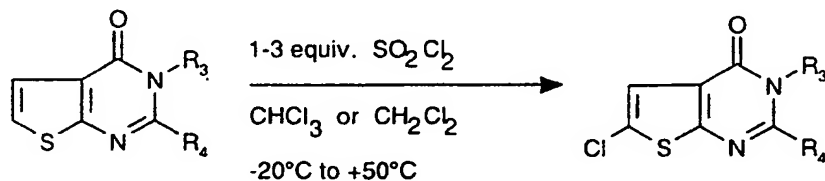
Scheme 3 : Synthesis of special thienopyrimidin-4-ones (special methods for the introduction of halogen into the thiophene ring)

a) Thieno[2.3-d]pyrimidin-4-ones :



1-3 molar equivalents of N-bromosuccinimide or N-chlorosuccinimide (or Cl_2 gas or Br_2) are used for halogenation. The solvent used is, for example, pyridine in the temperature range from 0°C to reflux. The reaction time is 1 to 24 hours.

a2) "Pure" chlorinating method :



The described reactions are carried out in per se known manner, e.g. in the presence or absence of a suitable solvent or diluent or of a mixture thereof, if appropriate with cooling, at room temperature or with heating, e.g. in the temperature range from about -20°C to the boiling temperature of the reaction medium, preferably in the range from about -20°C to about $+150^\circ\text{C}$ and, if required, in a closed vessel, under pressure, in an inert gas atmosphere and/or under anhydrous conditions.

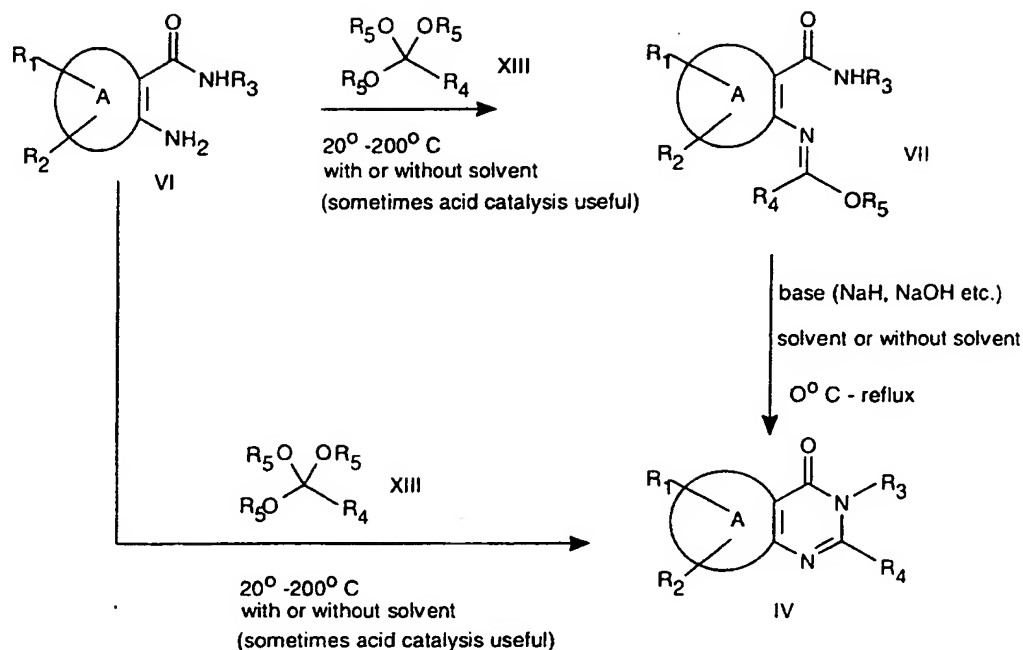
Illustrative examples of such solvents or diluents are: aromatic, aliphatic and alicyclic hydrocarbons and halogenated hydrocarbons, typically benzene, toluene, xylene, chlorobenzene, bromobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, trichloromethane,

dichloroethane or trichloroethane; ethers, typically diethyl ether, tert-butylmethyl ether, tetrahydrofuran or dioxane; ketones, typically acetone or methyl ethyl ketone; alcohols, typically methanol, ethanol, propanol, butanol, ethylene glycol or glycerol; esters, typically ethyl acetate or butyl acetate; amides, typically N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone or hexamethylphosphoric acid triamide; nitriles, typically acetonitrile; and sulfoxides, typically dimethylsulfoxide. Bases used in excess, such as triethylamine, pyridine, N-methylmorpholine or N,N-diethylaniline, can also be used as solvents or diluents.

Suitable bases are, for example, alkali metal hydroxide or alkaline earth metal hydroxide, alkali metal hydride or alkaline earth metal hydride, alkali metal amide or alkaline earth metal amide, alkali metal alkanolate or alkaline earth metal alkanolate, alkali metal carbonate or alkaline earth metal carbonate, alkali metal dialkylamide or alkaline earth metal dialkylamide, or alkali metal alkylsilylamide or alkaline earth metal alkylsilylamide, alkylamines, alkylenediamines, optionally N-alkylated, optionally unsaturated cycloalkylamines, basic heterocycles, ammonium hydroxides and carbocyclic amines. Examples meriting mention are sodium hydroxide, sodium hydride, sodium amide, sodium methanolate, sodium carbonate, potassium tert-butanolate, potassium carbonate, lithium diisopropylamide, potassium bis(trimethylsilyl)amide, calcium hydride, triethylamine, triethylenediamine, cyclohexylamine, N-cyclohexyl-N,N-dimethylamine, N,N-diethylaniline, pyridine, 4-(N,N-dimethylamino)pyridine, N-methylmorpholine, benzyltrimethylammonium hydroxide, and 1,8-diazabicyclo[5.4.0]undec-5-ene (DBU).

The compounds of the formula I can also be prepared as follows

Scheme 4:



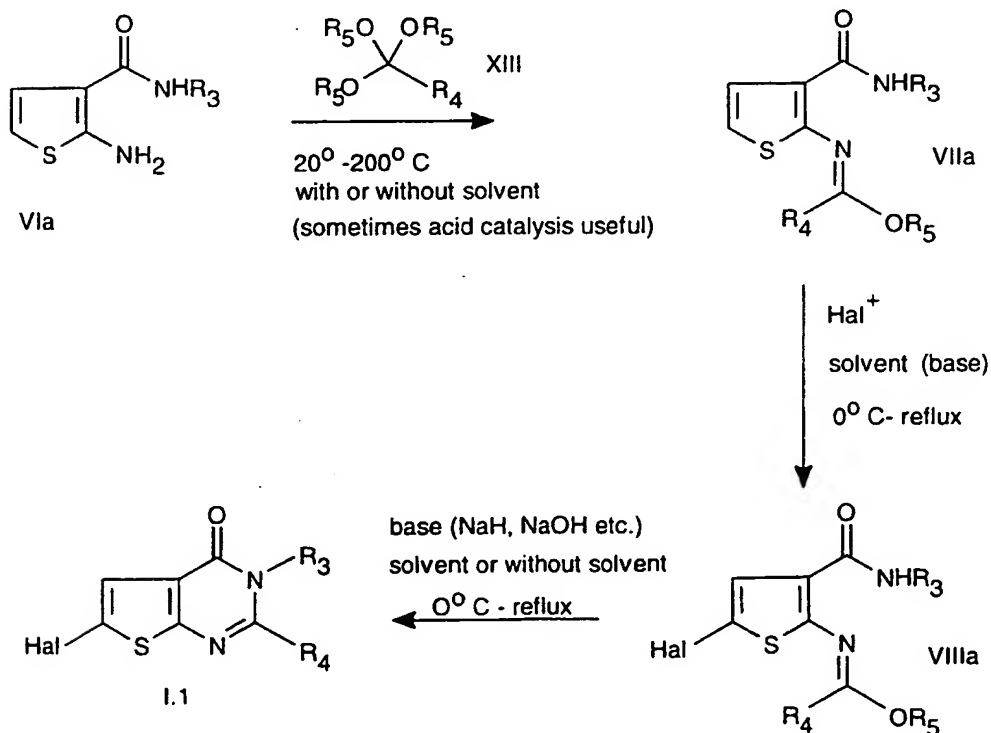
$\text{R}_5 = \text{C}_1\text{-C}_6\text{-alkyl}$

The amino carboxylic acidamide of formula VI reacts with the orthoester of formula XIII in the presence or absence of a suitable solvent or diluent, if required in the presence of an acid catalyst at room temperature or with heating, e.g. in the temperature range from about 20 to 200°C. Illustrative examples of solvents or diluents are ethers like tert.butylmethylether, tetrahydrofurane, dimethylether; amides like N,N-dimethylformamide or N-methyl-pyrrolidone; sulfoxides, typically dimethylsulfoxid and alcohols like methanol, ethanol, propanol, butanol, ethylene glycol or glycerol. As catalyst can be used hydrogen halides, methanesulfonic acid, triflouromethyl aceticacid, p-toluenesulfonic acid and others in the absence of water. Commonly used bases are sodium hydroxid, potassium hydroxid, sodium hydrogencarbonate, sodium carbonate, sodium hydride, potassium hydride, potassium carbonate and others.

Especially for the thienopyrimidinone, scheme 5 describes the reaction of the aminothiophene-carboxylic-acid amide VIa with the orthoester XIII in the presence or absence of a solvent, if required in the presence of an acid catalyst in the temperature range from 20 to 200°C. The resulting intermediate VIIa is then halogenated in the presence of a solvent at temperatures from 20°C to reflux. The halogenated intermediate VIIa is then cyclised in the presence of a base, in the presence or absence of a suitable solvent at temperatures from 20°C to reflux. Halogenation reagents are typically N-Bromosuccinimide, N-Chlorosuccinimide, N-iodosuccinimide, Chlorgas, Br₂, thionylchloride and others. Preferably solvents used for the halogenation are tert.-butylmethylether, tetrahydrofurane, chloroform, methylenechloride, pyridine and quinoline.

Scheme 5:

(Thienopyrimidinones)

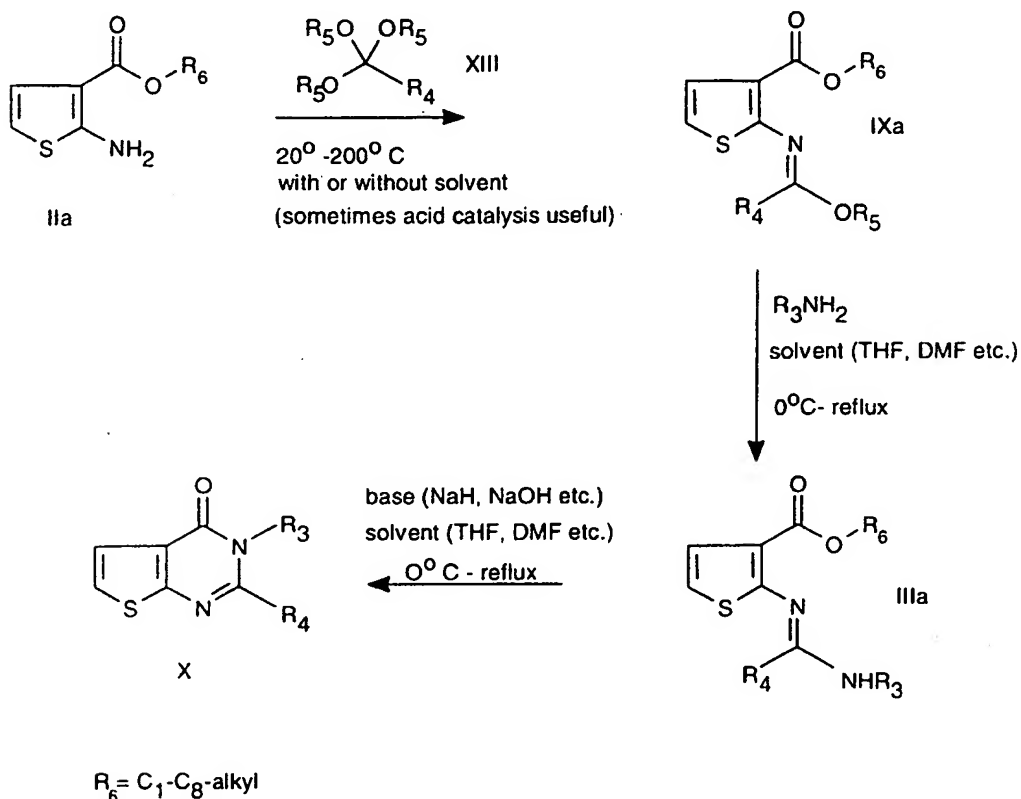


Hal⁺ = NCS, NBS, NIS, Cl₂, Br₂, SO₂Cl₂

solvents for halogenation: THF, TBME, CHCl₃, CH₂Cl₂, pyridine, quinoline and others

Another alternative is described in scheme 6, in which the amino-carboalkoxy-thiophene of formula IIa reacts with the orthoester XIII to the intermediate IXa, than the compound IXa is transformed to the amidine IIIa and cyclised to the thienopyrimidinone X. The halogenation of X to obtain I.1 is as described in Scheme 3.

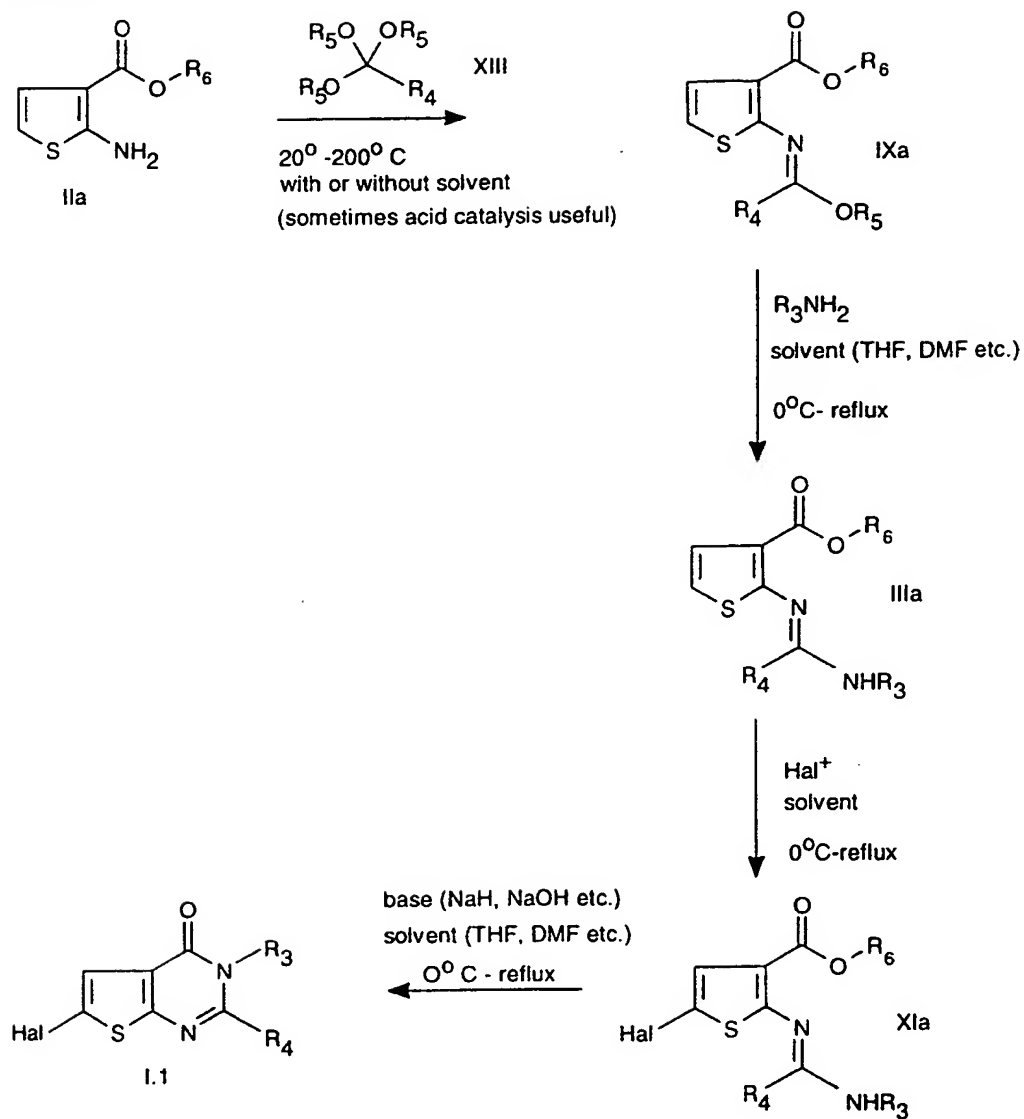
Scheme 6:



The reaction conditions from IIa to IXa is as described in the schemes 4 or 5, as well as the cyclisation from IIIa to X is as described above. The reaction from IXa to IIIa requires as solvent for example tetrahydrofurane, N,N-dimethylformamide or others at a temperature range from 0°C to reflux.

The scheme 7 describes the reaction of the compound IIa with the orthoester XIII to obtain the intermediate IXa, which is converted to the intermediate IIIa and than halogenated to the thiophene XIa. Cyclisation of XIa gives the compound I.1.

Scheme 7

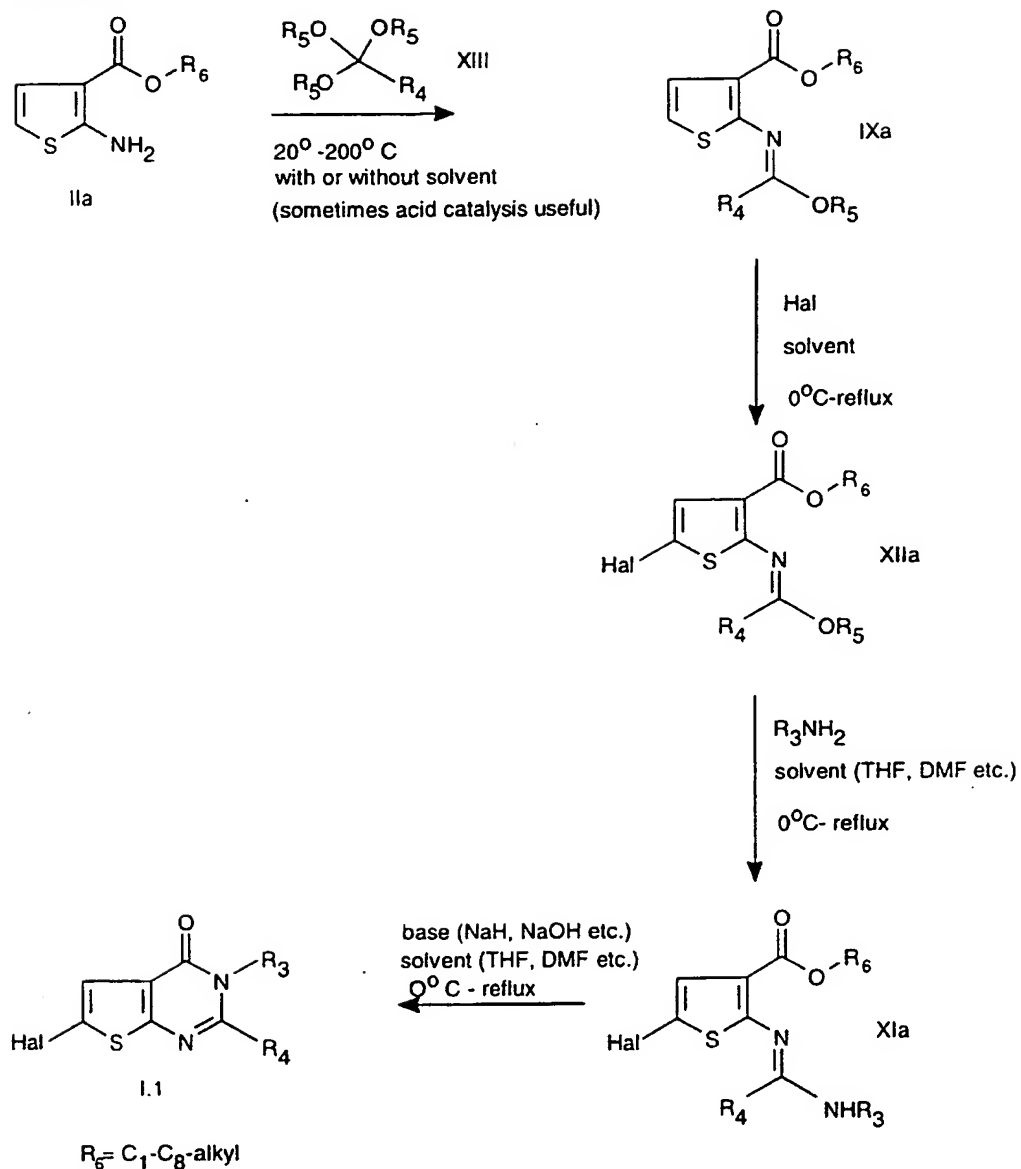


R₆ = C₁-C₈-alkyl

The reactions conditions described in process 4 are as described analogously in the schemes 4, 5 or 6.

Scheme 8 describes the alternative route comprising the reaction of the compound IIa with the orthoester XIII to the intermediate IXa, which is halogenated to the intermediate XIa and then converted to the thiophene XIa. Cyclisation of XIa gives the end product I.1. The reactions conditions are as described in the schemes 4, 5, 6 and 7.

Scheme 8:



Quinazolinone derivatives having fungicidal properties are known from WO-94/26722 or EP-A-276825 and thienopyrimidinones are known from WO-97/02262.

Surprisingly, it has now been found that the novel compounds of formula I have, for practical purposes, a very advantageous spectrum of activities for protecting plants against diseases that are caused by fungi as well as by bacteria and viruses.

The compounds of formula I can be used in the agricultural sector and related fields as active ingredients for controlling plant pests. The novel compounds are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous cultivated plants. The compounds of formula I can be used to inhibit or destroy the pests that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later e.g. from phytopathogenic micro-organisms.

It is also possible to use compounds of formula I as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

The compounds I are, for example, effective against the phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. *Botrytis*, *Pyricularia*, *Helminthosporium*, *Fusarium*, *Septoria*, *Cercospora* and *Alternaria*) and Basidiomycetes (e.g. *Rhizoctonia*, *Hemileia*, *Puccinia*). Additionally, they are also effective against the Ascomycetes classes (e.g. *Venturia* and *Erysiphe*, *Podosphaera*, *Monilinia*, *Uncinula*) and of the Oomycetes classes (e.g. *Phytophthora*, *Pythium*, *Plasmopara*). Furthermore, the novel compounds of formula I are effective against phytopathogenic bacteria and viruses (e.g. against *Xanthomonas* spp, *Pseudomonas* spp, *Erwinia amylovora* as well as against the tobacco mosaic virus).

Within the scope of this invention, target crops to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fiber plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamomum, camphor) or

plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The compounds of formula I are normally used in the form of compositions and can be applied to the crop area or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be, e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as well as insecticides, fungicides, bactericides, nematocides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

The compounds of formula I can be mixed with other fungicides, resulting in some cases in unexpected synergistic activities.

Mixing components which are particularly preferred are azoles such as azaconazole, bitertanol, propiconazole, difenoconazole, diniconazole, cyproconazole, epoxiconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, imibenconazole, ipconazole, tebuconazole, tetraconazole, fenbuconazole, metconazole, myclobutanil, perfurazate, penconazole, bromuconazole, pyrifenoxy, prochloraz, triadimefon, triadimenol, triflumizole or triticonazole; pyrimidinyl carbinols such as ancymidol, fenarimol or nuarimol; 2-amino-pyrimidine such as bupirimate, dimethirimol or ethirimol; morpholines such as dodemorph, fenpropidin, fenpropimorph, spiroxamin or tridemorph; anilinopyrimidines such as cyprodinil, pyrimethanil or mepanipyrim; pyrroles such as fenpiclonil or fludioxonil; phenylamides such as benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace or oxadixyl; benzimidazoles such as benomyl, carbendazim, debacarb, fuberidazole or thiabendazole; dicarboximides such as chlozolinate, dichlozoline, iprodione, myclozoline, procymidone or vinclozolin; carboxamides such as carboxin, fenfuram, flutolanil, mepronil, oxycarboxin or thifluzamide; guanidines such as guazatine, dodine or iminoctadine; strobilurines such as azoxystrobin, kresoxim-methyl, metominostrobin, SSF-129 or 2- α [[α -methyl-3-trifluoromethyl-benzyl]imino]-oxy)-o-tolyl]-glyoxylic acid-methylester-O-methyloxime; dithiocarbamates such as ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb or ziram; N-halomethylthio-dicarboximides such as captan, captan, dichlofluanid, fluoromide, folpet or tolyfluanid; copper compounds such as Bordeaux mixture, copper hydroxide, copper oxychloride, copper sulfate, cuprous oxide, mancozeb or oxine-copper; nitrophenol derivatives such as dinocap or nitrothal-isopropyl; organo phosphorous derivatives such as edifenphos,

iprobenthos, isoprothiolane, phosdiphen, pyrazophos or toclofos-methyl; and other compounds of diverse structures such as acibenzolar-S-methyl, anilazine, blastidyn-S, chinomethionat, chloroneb, chlorothalonil, cymoxanil, dichlorone, diclomezine, dicloran, diethofencarb, dimethomorph, dithianon, etridiazole, famoxadone, fentin, ferimzone, fluazinam, flusulfamid, fenhexamid, fosetyl-aluminium, hymexazol, kasugamycin, methasulfocarb, pencycuron, phthalide, polyoxins, probenazole, propamocarb, pyroquilon, quinoxifen, quintozone, sulfur, triazoxide, tricyclazole, triforine or validamycin.

Preferred compound for mixing with the above-mentioned mixing components is compound no. 3.30.

Another preferred compound for mixing with the above-mentioned mixing components is compound no. 3.31.

Another preferred compound for mixing with the above-mentioned mixing components is compound no. 3.58.

Another preferred compound for mixing with the above-mentioned mixing components is compound no. 3.59.

Suitable carriers and adjuvants can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers.

A preferred method of applying a compound of formula I, or an agrochemical composition which contains at least one of said compounds, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula I can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula I may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

The compounds of formula I are used in unmodified form or, preferably, together with the adjuvants conventionally employed in the art of formulation. To this end they are conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the methods of application, such as spraying, atomizing, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances.

Advantageous rates of application are normally from 5 g to 2 kg of active ingredient (a.i.) per hectare (ha), preferably from 10 g to 1 kg a.i./ha, most preferably from 20 g to 600 g a.i./ha. When used as seed drenching agent, convenient dosages are from 10 mg to 1 g of active substance per kg of seeds.

The formulation, i.e. the compositions containing the compound of formula I and, if desired, a solid or liquid adjuvant, are prepared in known manner, typically by intimately mixing and/or grinding the compound with extenders, e.g. solvents, solid carriers and, optionally, surface active compounds (surfactants).

Suitable carriers and adjuvants may be solid or liquid and correspond to the substances ordinarily employed in formulation technology, such as, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners binding agents or fertilizers. Such carriers are for example described in WO 97/33890.

Further surfactants customarily employed in the art of formulation are known to the expert or can be found in the relevant literature.

The agrochemical formulations will usually contain from 0.1 to 99 % by weight, preferably from 0.1 to 95 % by weight, of the compound of formula I, 99.9 to 1 % by weight, preferably 99.8 to 5 % by weight, of a solid or liquid adjuvant, and from 0 to 25 % by weight, preferably from 0.1 to 25 % by weight, of a surfactant.

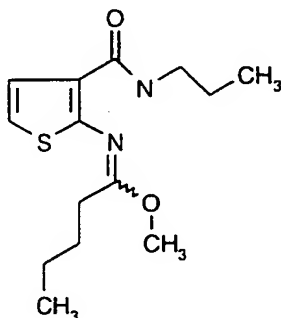
Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

The following non-limitative Examples illustrate the above-described invention in more detail. Temperatures are given in degrees Celsius. The following abbreviations are used: Et = ethyl; i-propyl = isopropyl; Me = methyl; m.p. = melting point. "NMR" means nuclear magnetic resonance spectrum. MS = mass spectrum. "%" is percent by weight, unless corresponding concentrations are indicated in other units.

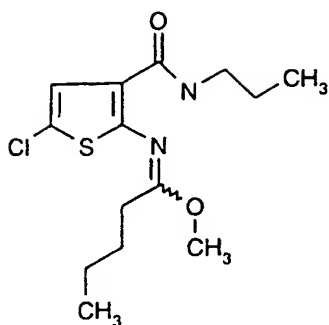
Preparation examples:

Example P-1 : 2-(1-n-butyl-1-methoxymethyleneamino)thiophene-3-carboxylic-acid propylamide



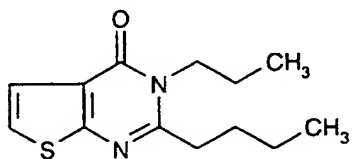
In a distillation apparatus, a mixture of 1.84 g of 2-aminothiophene-3-carboxylic-acid propylamide and 2.43 g of trimethyl orthovalerate is heated for 2 hours at 130°C. Methanol, which arises during the reaction is directly distilled out of the reaction flask. After cooling, the crude product is purified by column chromatography (eluant: hexane/ethylacetate = 1:2). Yield : 1.9 g pure 2-(1-n-butyl-1-methoxymethyleneamino)thiophene-3-carboxylic-acid propylamide; m.p. 68-70°C.

Example P-2 : 5-Chloro-2-(1-n-butyl-1-methoxymethyleneamino)thiophene-3-carboxylic-acid propylamide



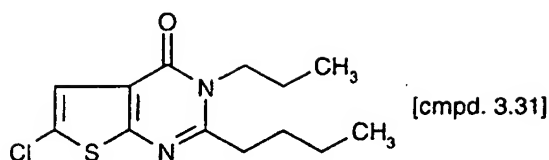
In a sulfonation flask 0.85 g 2-(1-n-butyl-1-methoxymethyleneamino)thiophene-3-carboxylic acid propylamide are added with stirring to 10 ml absolute pyridine. The internal temperature is then raised to 60°C and 0.5 g of N-chlorosuccinimide (NCS) are added in two portions. After stirring for 1 hour at 60°C, the pyridine is removed in a water jet vacuum. The residue is taken up in ethylacetate and the organic phase is washed twice with water. After drying of the organic phase, the solvent is removed in a water jet vacuum and the raw material purified by column chromatography over silica gel (eluant : hexane/ethylacetate = 3:1). Yield : 0.6 g 5-Chloro-2-(1-n-butyl-1-methoxymethyleneamino)thiophene-3-carboxylic acid propylamide in the form of brownish crystals; m.p. 110-112°C.

Example P-3 : 2-n-Butyl-3-n-propyl-3H-thieno[2,3-d]pyrimidin-4-one



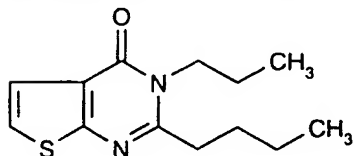
In a sulfonation flask, 0.85 g of 2-(1-n-butyl-1-methoxymethyleneamino)-thiophene-3-carboxylic acid propylamide is dissolved in 20 ml of absolute THF and 0.15 g of a ca. 55% NaH dispersion is added in small portions. The mixture is stirred for 15 minutes at room temperature and 1 hour at reflux temperature. Then the solvent is removed in a water jet vacuum and the residue taken up in ethylacetate. The organic phase is washed twice with water and after drying of the organic phase with sodium sulfate, the solvent is removed in a water jet vacuum. The resulting crude product (yield: 0.8g of 2-n-butyl-3-n-propyl-3H-thieno[2,3-d]-pyrimidine-4-one in the form of a brown liquid) can be used without further purification for the halogenation step.

Example P-4 : 2-n-butyl-6-chloro-3-n-propyl-3H-thieno[2,3-d]pyrimidine-4-one



In a sulfonation flask, 0.36g of 5-Chloro-2-(1-n-butyl-1-methoxymethylene-amino)thiophene-3-carboxylic-acid propylamide is dissolved in 20 ml of absolute THF and 0.085g of a ca. 55% NaH dispersion is added in one portion. The mixture is stirred for 15 minutes at room temperature and 1 hour at reflux temperature. Then the solvent is removed in a water jet vacuum and the residue taken up in ethylacetate. The organic phase is washed twice with water and after drying of the organic phase with sodium sulfate, the solvent is removed in a water jet vacuum. The resulting crude product is purified by column chromatography over silica gel (eluant: hexane/ethylacetate = 5:1). Yield: 0.2 g 2-n-butyl-6-chloro-3-n-propyl-3H-thieno[2,3-d]pyrimidin-4-one in the form of a slightly yellowish powder; m.p. 67-69° C.

Example P-3a : 2-n-butyl-3-n-propyl-3H-thieno[2,3-d]pyrimidin-4-one

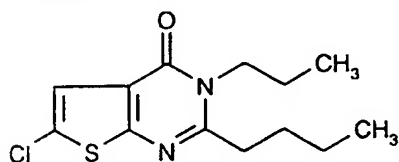


In a sulfonation flask, 11.0 g (70 mmol) of 2-amino-3-carbomethoxythiophen and 10.9 g (76 mmol) valeric acid propylamide are added to 60 ml 1,2-dichloroethane. Under stirring and at room temperature 7 ml of phosphoroxychloride is slowly added dropwise. After 3 hours at reflux temperature the mixture is poured into ice water and adjusted light alkali with sodium hydrogencarbonat. The resulting mixture is then extracted three times with methylene-chloride and the separated organic phase dried over sodium sulfate. The solvent is then removed in a water-jet vacuum.

In a sulfonation flask, the crude product is added to 100 ml of absolute tetrahydrofurane and under stirring 4.36 g (0.1 mol) NaH in 50 ml abs. THF is carefully added. After stirring for 2 hours at reflux temperature, the solvent is removed in a water-jet vacuum and the residue is taken up in ethyl acetate/water. The water-phase is extracted with additionally ethyl acetate. The organic phase is dried over sodium sulfate and the solvent removed in a water-jet vacuum. The crude product is purified by column chromatography over silica gel

(eluant : TBME/hexane = 1:2). 12.0 g of 2-n-butyl-3-n-propyl-3H-thieno[2.3-d]pyrimidin-4-one are obtained in the form of a yellow powder having a melting point of 70-72°C.

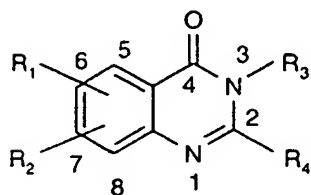
Example P-4a 2-n-butyl-6-chloro-3-n-propyl-3H-thieno-[2.3-d]pyrimidin-4-one





[cmpd. no. 3.31]









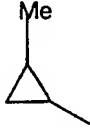
In a sulfonation flask, 2.0 g (8 mmol) of 2-n-butyl-3-propyl-3H-thieno[2.3-d]pyrimidin-4-one are added, with stirring, to 15 ml of absolute pyridine. The internal temperature is then raised to 80°C and then 1.87 g (14 mmol) of N-chlorosuccinimide (NCS) are added in smallish portions. After stirring for 3 hours at 90°C 1.0 g of NCS is added and the mixture is stirred another 3 hours at 90°C. The pyridine is removed in a water-jet vacuum and the crude product so obtained is purified by column chromatography over silica gel (eluant: n-hexane/tert.butylmethylether = 3:1), giving 0.9 g of 2-n-butyl-6-chloro-3-propyl-3H-thieno-[2.3-d]pyrimidin-4-one in the form of a beige powder having a melting point of 67-69°C.

Table 1: A = Phenyl







compd. No.	R ₁	R ₂	R ₃	R ₄	phys. data m.p.°C
1.1	6-Br	H	Me	Me	
1.2	6-Cl	H	Me	Et	
1.3	6-Br	H	Me	n-Propyl	
1.4	6-Cl	H	Me	n-Propyl	
1.5	H	7-Cl	Me	n-Propyl	
1.6	6-Br	H	Me	n-Butyl	
1.7	6-Cl	H	Me	n-Butyl	
1.8	H	7-Cl	Me	n-Butyl	
1.9	6-Br	H	Me	i-Butyl	
1.10	6-Cl	H	Me	i-Butyl	
1.11	6-Br	H	Me	n-Pentyl	
1.12	6-Br	H	Me		
1.13	6-Cl	H	Me		
1.14	6-Br	H	Et	Me	
1.15	6-Cl	H	Et	Et	
1.16	6-Br	H	Et	n-Propyl	
1.17	6-Cl	H	Et	n-Propyl	
1.18	H	7-Cl	Et	n-Propyl	
1.19	6-Br	H	Et	n-Butyl	
1.20	6-Cl	H	Et	n-Butyl	
1.21	H	7-Cl	Et	n-Butyl	
1.22	6-Br	H	Et	i-Butyl	




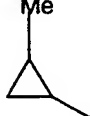

- 26 -

1.23	6-Cl	H	Et	i-Butyl	
1.24	6-Br	H	Et	n-Pentyl	
1.25	6-Br	H	Et		
1.26	6-Cl	H	Et		
1.27	6-Br	H	n-Propyl	Me	
1.28	6-Cl	H	n-Propyl	Et	
1.29	6-Br	H	n-Propyl	n-Propyl	
1.30	6-Cl	H	n-Propyl	n-Propyl	
1.31	H	7-Cl	n-Propyl	n-Propyl	
1.32	H	7-I	n-Propyl	n-Propyl	
1.33	6-Br	H	n-Propyl		
1.34	6-Cl	H	n-Propyl		
1.35	H	7-Cl	n-Propyl		
1.36	6-Br	H	n-Propyl	n-Butyl	130-135
1.37	6-Cl	H	n-Propyl	n-Butyl	
1.38	H	7-Cl	n-Propyl	n-Butyl	
1.39	H	7-I	n-Propyl	n-Butyl	
1.40	6-Br	H	n-Propyl	i-Butyl	
1.41	6-Cl	H	n-Propyl	i-Butyl	
1.42	6-Br	H	n-Propyl		
1.43	6-Cl	H	n-Propyl		
1.44	H	7-Cl	n-Propyl		
1.45	6-Br	H	n-Propyl	Me 	











- 27 -

1.46	6-Cl	H	n-Prpyl	Me 
1.47	6-Br	H	n-Propyl	Cyclobutyl
1.48	6-Br	H	n-Propyl	n-Pentyl
1.49	6-Cl	H	n-Propyl	n-Pentyl
1.50	H	7-Cl	n-Propyl	n-Pentyl
1.51	6-Br	H	n-Propyl	Cyclopentyl
1.52	6-Br	H	n-Propyl	n-Hexyl
1.53	6-Br	H	n-Propyl	Cyclohexyl
1.54	6-Br	H	n-Propyl	Phenyl
1.55	6-Br	H	n-Propyl	4-Chloro-phenyl
1.56	6-Cl	H	n-Propyl	4-Chloro-phenyl
1.57	6-Br	H	n-Propyl	4-Phenoxy-phenyl
1.58	6-Br	H	n-Butyl	Me
1.59	6-Cl	H	n-Butyl	Et
1.60	6-Br	H	n-Butyl	n-Propyl
1.61	6-Cl	H	n-Butyl	n-Propyl
1.62	H	7-Cl	n-Butyl	n-Propyl
1.63	H	7-I	n-Butyl	n-Propyl
1.64	6-Br	H	n-Butyl	
1.65	6-Cl	H	n-Butyl	
1.66	H	7-Cl	n-Butyl	
1.67	6-Br	H	n-Butyl	n-Butyl
1.68	6-Cl	H	n-Butyl	n-Butyl
1.69	H	7-Cl	n-Butyl	n-Butyl
1.70	H	7-I	n-Butyl	n-Butyl
1.71	6-Br	H	n-Butyl	i-Butyl

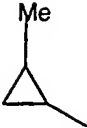




- 28 -

1.72	6-Cl	H	n-Butyl	i-Butyl
1.73	6-Br	H	n-Butyl	
1.74	6-Cl	H	n-Butyl	
1.75	H	7-Cl	n-Butyl	
1.76	6-Br	H	n-Butyl	
1.77	6-Cl	H	n-Butyl	
1.78	6-Br	H	n-Butyl	Cyclobutyl
1.79	6-Br	H	n-Butyl	n-Pentyl
1.80	6-Cl	H	n-Butyl	n-Pentyl
1.81	H	7-Cl	n-Butyl	n-Pentyl
1.82	6-Br	H	n-Butyl	Cyclopentyl
1.83	6-Br	H	n-Butyl	n-Hexyl
1.84	6-Br	H	n-Butyl	Cyclohexyl
1.85	6-Br	H	n-Butyl	Phenyl
1.86	6-Br	H	n-Butyl	4-Chloro-phenyl
1.87	6-Cl	H	n-Butyl	4-Chloro-phenyl
1.88	6-Br	H	n-Butyl	4-Phenoxy-phenyl
1.89	6-Br	H	i-Butyl	n-Propyl
1.90	6-Cl	H	i-Butyl	n-Propyl
1.91	6-Br	H	i-Butyl	n-Butyl
1.92	6-Cl	H	i-Butyl	n-Butyl






- 29 -

1.93	6-Br	H		n-Propyl
1.94	6-Cl	H		n-Propyl
1.95	6-Br	H		n-Propyl
1.96	6-Br	H		n-Butyl
1.97	6-Br	H	n-Pentyl	Me
1.98	6-Cl	H	n-Pentyl	Et
1.99	6-Br	H	n-Pentyl	n-Propyl
1.100	6-Cl	H	n-Pentyl	n-Propyl
1.101	H	7-Cl	n-Pentyl	n-Propyl
1.102	H	7-I	n-Pentyl	n-Propyl
1.103	6-Br	H	n-Pentyl	
1.104	6-Cl	H	n-Pentyl	
1.105	H	7-Cl	n-Pentyl	
1.106	6-Br	H	n-Pentyl	n-Butyl
1.107	6-Cl	H	n-Pentyl	n-Butyl
1.108	H	7-Cl	n-Pentyl	n-Butyl
1.109	H	7-I	n-Pentyl	n-Butyl
1.110	6-Br	H	n-Pentyl	i-Butyl
1.111	6-Cl	H	n-Pentyl	i-Butyl
1.112	6-Br	H	n-Pentyl	
1.113	6-Cl	H	n-Pentyl	
1.114	H	7-Cl	n-Pentyl	








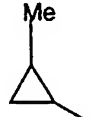
- 30 -



1.115	6-Br	H	n-Pentyl	Me 
1.116	6-Cl	H	n-Pentyl	Me 
1.117	6-Br	H	n-Pentyl	Cyclobutyl
1.118	6-Br	H	n-Pentyl	n-Pentyl
1.119	6-Cl	H	n-Pentyl	n-Pentyl
1.120	H	7-Cl	n-Pentyl	n-Pentyl
1.121	6-Br	H	n-Pentyl	Cyclopentyl
1.122	6-Br	H	n-Pentyl	n-Hexyl
1.123	6-Br	H	n-Pentyl	Cyclohexyl
1.124	6-Br	H	n-Pentyl	Phenyl
1.125	6-Br	H	n-Pentyl	4-Chloro-phenyl
1.126	6-Cl	H	n-Pentyl	4-Chloro-phenyl
1.127	6-Br	H	n-Pentyl	4-Phenoxy-phenyl
1.128	6-Br	H	OEt	Me
1.129	6-Cl	H	OEt	Et
1.130	6-Br	H	OEt	n-Propyl
1.131	6-Cl	H	OEt	n-Propyl
1.132	H	7-Cl	OEt	n-Propyl
1.133	H	7-I	OEt	n-Propyl
1.134	6-Br	H	OEt	
1.135	6-Cl	H	OEt	
1.136	H	7-Cl	OEt	
1.137	6-Br	H	OEt	n-Butyl

- 31 -

1.138	6-Cl	H	OEt	n-Butyl
1.139	H	7-Cl	OEt	n-Butyl
1.140	H	7-I	OEt	n-Butyl
1.141	6-Br	H	OEt	i-Butyl
1.142	6-Cl	H	OEt	i-Butyl
1.143	6-Br	H	OEt	
1.144	6-Cl	H	OEt	
1.145	H	7-Cl	OEt	
1.146	6-Br	H	OEt	
1.147	6-Cl	H	OEt	
1.148	6-Br	H	OEt	Cyclobutyl
1.149	6-Br	H	OEt	n-Pentyl
1.150	6-Cl	H	OEt	n-Pentyl
1.151	H	7-Cl	OEt	n-Pentyl
1.152	6-Br	H	OEt	Cyclopentyl
1.153	6-Br	H	OEt	n-Hexyl
1.154	6-Br	H	OEt	Cyclohexyl
1.155	6-Br	H	OEt	Phenyl
1.156	6-Br	H	OEt	4-Chloro-phenyl
1.157	6-Cl	H	OEt	4-Chloro-phenyl
1.158	6-Br	H	OEt	4-Phenoxy-phenyl
1.159	6-Br	H	O-n-Propyl	Me

- 32 -

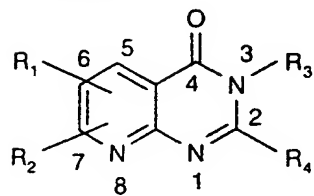
1.160	6-Cl	H	O-n-Propyl	Et
1.161	6-Br	H	O-n-Propyl	n-Propyl
1.162	6-Cl	H	O-n-Propyl	n-Propyl
1.163	H	7-Cl	O-n-Propyl	n-Propyl
1.164	H	7-I	O-n-Propyl	n-Propyl
1.165	6-Br	H	O-n-Propyl	
1.166	6-Cl	H	O-n-Propyl	
1.167	H	7-Cl	O-n-Propyl	
1.168	6-Br	H	O-n-Propyl	n-Butyl
1.169	6-Cl	H	O-n-Propyl	n-Butyl
1.170	H	7-Cl	O-n-Propyl	n-Butyl
1.171	H	7-I	O-n-Propyl	n-Butyl
1.172	6-Br	H	O-n-Propyl	i-Butyl
1.173	6-Cl	H	O-n-Propyl	i-Butyl
1.174	6-Br	H	O-n-Propyl	
1.175	6-Cl	H	O-n-Propyl	
1.176	H	7-Cl	O-n-Propyl	
1.177	6-Br	H	O-n-Propyl	Me 
1.178	6-Cl	H	O-n-Propyl	Me 
1.179	6-Br	H	O-n-Propyl	Cyclobutyl
1.180	6-Br	H	O-n-Propyl	n-Pentyl
1.181	6-Cl	H	O-n-Propyl	n-Pentyl
1.182	H	7-Cl	O-n-Propyl	n-Pentyl





1.183	6-Br	H	O-n-Propyl	Cyclopentyl
1.184	6-Br	H	O-n-Prpyl	n-Hexyl
1.185	6-Br	H	O-n-Prpyl	Cyclohexyl
1.186	6-Br	H	O-n-Prpyl	Phenyl
1.187	6-Br	H	O-n-Prpyl	4-Chloro-phenyl
1.188	6-Cl	H	O-n-Prpyl	4-Chloro-phenyl
1.189	6-Br	H	O-n-Prpyl	4-Phenoxy-phenyl
1.190	6-Br	H	Et	CH ₂ OMe
1.191	6-Cl	H	Et	CH ₂ OMe
1.192	6-Br	H	n-Prpyl	CH ₂ OMe
1.193	6-Cl	H	n-Prpyl	CH ₂ OMe
1.194	H	7-Cl	n-Prpyl	CH ₂ OMe
1.195	6-Br	H	n-Butyl	CH ₂ OMe
1.196	6-Cl	H	n-Butyl	CH ₂ OMe
1.197	6-Br	H		CH ₂ OMe
1.198	6-Br	H	n-Pentyl	CH ₂ OMe
1.199	6-Br	H	Et	CH ₂ OEt
1.200	6-Cl	H	Et	CH ₂ OEt
1.201	6-Br	H	n-Propyl	CH ₂ OEt
1.202	6-Cl	H	n-Propyl	CH ₂ OEt
1.203	H	7-Cl	n-Propyl	CH ₂ OEt
1.204	6-Br	H	n-Butyl	CH ₂ OEt
1.205	6-Cl	H	n-Butyl	CH ₂ OEt
1.206	6-Br	H		CH ₂ OEt
1.207	6-Br	H	n-Pentyl	CH ₂ OEt
1.208	6-Br	H	n-Propyl	CH ₂ CN
1.209	6-Br	H	n-Butyl	CH ₂ CN
1.210	6-Br	H	n-Propyl	t-Butyl
1.211	6-Br	H	n-Propyl	t-Butyl






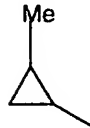
- 34 -








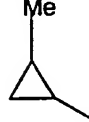
1.212	6-Cl	H	n-Propyl	CF ₃
1.213	6-Br	H	n-Propyl	CF ₃
1.214	6-Br	H	n-Butyl	CF ₃







Tabelle 2: A = Pyridyl



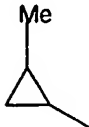



compd. No.	R ₁	R ₂	R ₃	R ₄	phys. data m.p.°C
2.1	6-Br	H	Me	Me	
2.2	6-Cl	H	Me	Et	
2.3	6-Br	H	Me	n-Propyl	
2.4	6-Cl	H	Me	n-Propyl	
2.5	6-Br	H	Me	n-Butyl	
2.6	6-Cl	H	Me	n-Butyl	
2.7	6-Br	H	Me	i-Butyl	
2.8	6-Cl	H	Me	i-Butyl	
2.9	6-Br	H	Me	n-Pentyl	
2.10	6-Br	H	Me		
2.11	6-Cl	H	Me		
2.12	6-Br	H	Et	Me	
2.13	6-Cl	H	Et	Et	
2.14	6-Br	H	Et	n-Propyl	
2.15	6-Cl	H	Et	n-Propyl	
2.16	6-Br	H	Et	n-Butyl	
2.17	6-Cl	H	Et	n-Butyl	
2.18	6-Br	H	Et	i-Butyl	
2.19	6-Cl	H	Et	i-Butyl	
2.20	6-Br	H	Et	n-Pentyl	
2.21	6-Br	H	Et		
2.22	6-Cl	H	Et		
2.23	6-Br	H	n-Propyl	Me	







2.24	6-Cl	H	n-Propyl	Et	Oil, ¹ H-NMR
2.25	6-Br	H	n-Propyl	n-Propyl	
2.26	6-Cl	H	n-Propyl	n-Propyl	
2.27	7-I	H	n-Propyl	n-Propyl	
2.28	6-Br	H	n-Propyl		
2.29	6-Cl	H	n-Propyl		
2.30	6-Br	H	n-Propyl	n-Butyl	
2.31	6-Cl	H	n-Propyl	n-Butyl	
2.32	6-I	H	n-Propyl	n-Butyl	
2.33	6-Br	H	n-Propyl	i-Butyl	
2.34	6-Cl	H	n-Propyl	i-Butyl	
2.35	6-Br	H	n-Propyl		
2.36	6-Cl	H	n-Propyl		
2.37	6-Br	H	n-Propyl		
2.38	6-Cl	H	n-Propyl		
2.39	6-Br	H	n-Propyl	Cyclobutyl	
2.40	6-Br	H	n-Propyl	n-Pentyl	
2.41	6-Cl	H	n-Propyl	n-Pentyl	
2.42	6-Br	H	n-Propyl	Cyclopentyl	
2.43	6-Br	H	n-Propyl	n-Hexyl	
2.44	6-Br	H	n-Propyl	Cyclohexyl	
2.45	6-Br	H	n-Propyl	Phenyl	
2.46	6-Br	H	n-Propyl	4-Chloro-phenyl	







2.47	6-Cl	H	n-Propyl	4-Chloro-phenyl
2.48	6-Br	H	n-Propyl	4-Phenoxy-phenyl
2.49	6-Br	H	n-Butyl	Me
2.50	6-Cl	H	n-Butyl	Et
2.51	6-Br	H	n-Butyl	n-Propyl
2.52	6-Cl	H	n-Butyl	n-Propyl
2.53	H	7-Cl	n-Butyl	n-Propyl
2.54	H	7-I	n-Butyl	n-Propyl
2.55	6-Br	H	n-Butyl	
2.56	6-Cl	H	n-Butyl	
2.57	H	7-Cl	n-Butyl	
2.58	6-Br	H	n-Butyl	n-Butyl
2.59	6-Cl	H	n-Butyl	n-Butyl
2.60	6-I	H	n-Butyl	n-Butyl
2.61	6-Br	H	n-Butyl	i-Butyl
2.62	6-Cl	H	n-Butyl	i-Butyl
2.63	6-Br	H	n-Butyl	
2.64	6-Cl	H	n-Butyl	
2.65	6-I	H	n-Butyl	
2.66	6-Br	H	n-Butyl	Me 
2.67	6-Cl	H	n-Butyl	Me 

2.68	6-Br	H	n-Butyl	Cyclobutyl
2.69	6-Br	H	n-Butyl	n-Pentyl
2.70	6-Cl	H	n-Butyl	n-Pentyl
2.71	6-Br	H	n-Butyl	Cyclopentyl
2.72	6-Br	H	n-Butyl	n-Hexyl
2.73	6-Br	H	n-Butyl	Cyclohexyl
2.74	6-Br	H	n-Butyl	Phenyl
2.75	6-Br	H	n-Butyl	4-Chloro-phenyl
2.76	6-Cl	H	n-Butyl	4-Chloro-phenyl
2.77	6-Br	H	n-Butyl	4-Phenoxy-phenyl
2.78	6-Br	H	i-Butyl	n-Propyl
2.79	6-Cl	H	i-Butyl	n-Prpyl
2.80	6-Br	H	i-Butyl	n-Butyl
2.81	6-Cl	H	i-Butyl	n-Butyl
2.82	6-Br	H		n-Propyl
2.83	6-Cl	H		n-Propyl
2.84	6-Br	H		n-Propyl
2.85	6-Br	H		n-Butyl
2.86	6-Br	H	n-Pentyl	Me
2.87	6-Cl	H	n-Pentyl	Et
2.88	6-Br	H	n-Pentyl	n-Propyl
2.89	6-Cl	H	n-Pentyl	n-Propyl
2.90	6-Br	H	n-Pentyl	
2.91	6-Cl	H	n-Pentyl	
2.92	6-Br	H	n-Pentyl	n-Butyl

2.93	6-Cl	H	n-Pentyl	n-Butyl
2.94	6-I	H	n-Pentyl	n-Butyl
2.95	6-Br	H	n-Pentyl	i-Butyl
2.96	6-Cl	H	n-Pentyl	i-Butyl
2.97	6-Br	H	n-Pentyl	
2.98	6-Cl	H	n-Pentyl	
2.99	6-Br	H	n-Pentyl	
2.100	6-Cl	H	n-Pentyl	
2.101	6-Br	H	n-Pentyl	Cyclobutyl
2.102	6-Br	H	n-Pentyl	n-Pentyl
2.103	6-Cl	H	n-Pentyl	n-Pentyl
2.104	6-Br	H	n-Pentyl	Cyclopentyl
2.105	6-Br	H	n-Pentyl	n-Hexyl
2.106	6-Br	H	n-Pentyl	Cyclohexyl
2.107	6-Br	H	n-Pentyl	Phenyl
2.108	6-Br	H	n-Pentyl	4-Chloro- phenyl
2.109	6-Cl	H	n-Pentyl	4-Chloro- phenyl
2.110	6-Br	H	n-Pentyl	4-Phenoxy- phenyl
2.111	6-Br	H	OEt	Me
2.112	6-Cl	H	OEt	Et
2.113	6-Br	H	OEt	n-Propyl
2.114	6-Cl	H	OEt	n-Propyl

- 40 -

2.115	6-Br	H	OEt	
2.116	6-Cl	H	OEt	
2.117	6-Br	H	OEt	n-Butyl
2.118	6-Cl	H	OEt	n-Butyl
2.119	6-I	H	OEt	n-Butyl
2.120	6-Br	H	OEt	i-Butyl
2.121	6-Cl	H	OEt	i-Butyl
2.122	6-Br	H	OEt	
2.123	6-Cl	H	OEt	
2.124	6-Br	H	OEt	
2.125	6-Cl	H	OEt	
2.126	6-Br	H	OEt	Cyclobutyl
2.127	6-Br	H	OEt	n-Pentyl
2.128	6-Cl	H	OEt	n-Pentyl
2.129	6-Br	H	OEt	Cyclopentyl
2.130	6-Br	H	OEt	n-Hexyl
2.131	6-Br	H	OEt	Cyclohexyl
2.132	6-Br	H	OEt	Phenyl
2.133	6-Br	H	OEt	4-Chloro-phenyl
2.134	6-Cl	H	OEt	4-Chloro-phenyl
2.135	6-Br	H	OEt	4-Phenoxy-phenyl
2.136	6-Br	H	O-n-Propyl	Me

2.137	6-Cl	H	O-n-Propyl	Et
2.138	6-Br	H	O-n-Propyl	n-Propyl
2.139	6-Cl	H	O-n-Propyl	n-Propyl
2.140	6-Br	H	O-n-Propyl	
2.141	6-Cl	H	O-n-Propyl	
2.142	6-Br	H	O-n-Propyl	n-Butyl
2.143	6-Cl	H	O-n-Propyl	n-Butyl
2.144	6-Br	H	O-n-Propyl	i-Butyl
2.145	6-Cl	H	O-n-Propyl	i-Butyl
2.146	6-Br	H	O-n-Propyl	
2.147	6-Cl	H	O-n-Propyl	
2.148	6-Br	H	O-n-Propyl	Me 
2.149	6-Cl	H	O-n-Propyl	Me 
2.150	6-Br	H	O-n-Propyl	Cyclobutyl
2.151	6-Br	H	O-n-Propyl	n-Pentyl
2.152	6-Cl	H	O-n-Propyl	n-Pentyl
2.153	6-Br	H	O-n-Propyl	Cyclopentyl
2.154	6-Br	H	O-n-Propyl	n-Hexyl
2.155	6-Br	H	O-n-Propyl	Cyclohexyl
2.156	6-Br	H	O-n-Propyl	Phenyl
2.157	6-Br	H	O-n-Propyl	4-Chloro- phenyl
2.158	6-Cl	H	O-n-Propyl	4-Chloro- phenyl



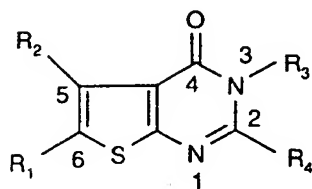










2.159	6-Br	H	O-n-Propyl	4-Phenoxy- phenyl
2.160	6-Br	H	Et	CH ₂ OMe
2.161	6-Cl	H	Et	CH ₂ OMe
2.162	6-Br	H	n-Propyl	CH ₂ OMe
2.163	6-Cl	H	n-Propyl	CH ₂ OMe
2.164	6-Br	H	n-Butyl	CH ₂ OMe
2.165	6-Cl	H	n-Butyl	CH ₂ OMe
2.166	6-Br	H		CH ₂ OMe
2.167	6-Br	H	n-Pentyl	CH ₂ OMe
2.168	6-Br	H	Et	CH ₂ OEt
2.169	6-Cl	H	Et	CH ₂ OEt
2.170	6-Br	H	n-Propyl	CH ₂ OEt
2.171	6-Cl	H	n-Propyl	CH ₂ OEt
2.172	6-Br	H	n-Butyl	CH ₂ OEt
2.173	6-Cl	H	n-Butyl	CH ₂ OEt
2.174	6-Br	H		CH ₂ OEt
2.175	6-Br	H	n-Pentyl	CH ₂ OEt
2.176	6-Br	H	n-Prpyl	CH ₂ CN
2.177	6-Br	H	n-Butyl	CH ₂ CN
2.178	6-Br	H	n-Propyl	t-Butyl
2.179	6-Br	H	n-Propyl	t-Butyl
2.180	6-Br	H	n-Propyl	CF ₃
2.181	6-Br	H	n-Butyl	CF ₃








Tabelle 3: A = Thienyl[2.3-d]

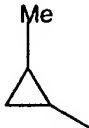












compd. No.	R ₁	R ₂	R ₃	R ₄	phys. data m.p. °C
3.1	Cl	H	Me	Me	139-141
3.2	Cl	H	Me	Et	
3.3	Br	H	Me	n-Propyl	
3.4	Cl	H	Me	n-Propyl	
3.5	Br	H	Me	n-Butyl	
3.6	Cl	H	Me	n-Butyl	63-65
3.7	Br	H	Me	i-Butyl	
3.8	Cl	H	Me	i-Butyl	87-89
3.9	Br	H	Me	n-Pentyl	
3.10	Br	H	Me		80-82
3.11	Cl	H	Me		
3.12	Br	H	Et	Me	
3.13	Cl	H	Et	Et	
3.14	Br	H	Et	n-Propyl	
3.15	Cl	H	Et	n-Propyl	
3.16	Br	H	Et	n-Butyl	
3.17	Cl	H	Et	n-Butyl	
3.18	Br	H	Et	i-Butyl	
3.19	Cl	H	Et	i-Butyl	
3.20	Br	H	Et	n-Pentyl	Oil, ¹ H-NMR
3.21	Br	H	Et		







3.22	Cl	H	Et		
3.23	Br	H	n-Propyl	Me	
3.24	Cl	H	n-Propyl	Et	
3.25	Br	H	n-Propyl	n-Propyl	
3.26	Cl	H	n-Propyl	n-Propyl	74-76
3.27	I	H	n-Propyl	n-Propyl	
3.28	Br	H	n-Propyl		
3.29	Cl	H	n-Propyl		
3.30	Br	H	n-Propyl	n-Butyl	63-66
3.31	Cl	H	n-Propyl	n-Butyl	67-69
3.32	I	H	n-Propyl	n-Butyl	
3.33	Br	H	n-Propyl	i-Butyl	
3.34	Cl	H	n-Propyl	i-Butyl	Oil, ¹ H-NMR
3.35	Br	H	n-Propyl		
3.36	Cl	H	n-Propyl		Oil, ¹ H-NMR
3.37	Br	H	n-Propyl	Me 	
3.38	Cl	H	n-Propyl	Me 	
3.39	Br	H	n-Propyl	Cyclobutyl	
3.40	Br	H	n-Propyl	n-Pentyl	
3.41	Cl	H	n-Propyl	n-Pentyl	
3.42	Br	H	n-Propyl	Cyclopentyl	
3.43	Br	H	n-Propyl	n-Hexyl	
3.44	Br	H	n-Propyl	Cyclohexyl	
3.45	Br	H	n-Propyl	Phenyl	





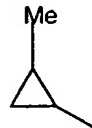
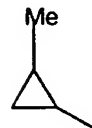
- 45 -

3.46	Br	H	n-Propyl	4-Chloro-phenyl	126-128
3.47	Cl	H	n-Propyl	4-Chloro-phenyl	
3.48	Br	H	n-Propyl	4-Phenoxy-phenyl	
3.49	Br	H	n-Butyl	Me	Oil
3.50	Cl	H	n-Butyl	Et	
3.51	Br	H	n-Butyl	n-Propyl	
3.52	Cl	H	n-Butyl	n-Propyl	
3.53	I	H	n-Butyl	n-Propyl	54-56
3.54	I	H	n-Butyl	n-Propyl	
3.55	Br	H	n-Butyl		
3.56	Cl	H	n-Butyl		
3.57	I	H	n-Butyl		Oil 57-58
3.58	Br	H	n-Butyl	n-Butyl	
3.59	Cl	H	n-Butyl	n-Butyl	
3.60	I	H	n-Butyl	n-Butyl	
3.61	Br	H	n-Butyl	i-Butyl	
3.62	Cl	H	n-Butyl	i-Butyl	
3.63	Br	H	n-Butyl		
3.64	Cl	H	n-Butyl		
3.65	I	H	n-Butyl		
3.66	Br	H	n-Butyl	Me 	

3.67	Cl	H	n-Butyl		
3.68	Br	H	n-Butyl	Cyclobutyl	
3.69	Br	H	n-Butyl	n-Pentyl	
3.70	Cl	H	n-Butyl	n-Pentyl	
3.71	Br	H	n-Butyl	Cyclopentyl	
3.72	Br	H	n-Butyl	n-Hexyl	
3.73	Br	H	n-Butyl	Cyclohexyl	
3.74	Cl	H	n-Butyl	Phenyl	
3.75	Br	H	n-Butyl	4-Chloro-phenyl	
3.76	Cl	H	n-Butyl	4-Chloro-phenyl	
3.77	Br	H	n-Butyl	4-Phenoxy-phenyl	
3.78	Br	H	i-Butyl	n-Propyl	
3.79	Cl	H	i-Butyl	n-Propyl	Oil, ¹ H-NMR
3.80	Br	H	i-Butyl	n-Butyl	
3.81	Cl	H	i-Butyl	n-Butyl	
3.82	Br	H		n-Propyl	
3.83	Cl	H		n-Propyl	
3.84	Cl	H		n-Propyl	
3.85	Br	H		n-Butyl	
3.86	Br	H	n-Pentyl	Me	
3.87	Cl	H	n-Pentyl	Et	
3.88	Br	H	n-Pentyl	n-Propyl	
3.89	Cl	H	n-Pentyl	n-Propyl	

3.90	Br	H	n-Pentyl	
3.91	Cl	H	n-Pentyl	
3.92	Br	H	n-Pentyl	n-Butyl
3.93	Cl	H	n-Pentyl	n-Butyl
3.94	I	H	n-Pentyl	n-Butyl
3.95	Br	H	n-Pentyl	i-Butyl
3.96	Cl	H	n-Pentyl	i-Butyl
3.97	Br	H	n-Pentyl	
3.98	Cl	H	n-Pentyl	
3.99	Br	H	n-Pentyl	Me 
3.100	Cl	H	n-Pentyl	Me 
3.101	Cl	H	n-Pentyl	Cyclobutyl
3.102	Br	H	n-Pentyl	n-Pentyl
3.103	Cl	H	n-Pentyl	n-Pentyl
3.104	Cl	H	n-Pentyl	Cyclopentyl
3.105	Br	H	n-Pentyl	n-Hexyl
3.106	Cl	H	n-Pentyl	Cyclohexyl
3.107	Br	H	n-Pentyl	Phenyl
3.108	Br	H	n-Pentyl	4-Chloro- phenyl
3.109	Cl	H	n-Pentyl	4-Chloro- phenyl
3.110	Br	H	n-Pentyl	4-Phenoxy- phenyl
3.111	Br	H	OEt	Me

3.112	Cl	H	OEt	Et	
3.113	Br	H	OEt	n-Propyl	
3.114	Cl	H	OEt	n-Propyl	
3.115	Br	H	OEt		
3.116	Cl	H	OEt		
3.117	Br	H	OEt	n-Butyl	75-77
3.118	Cl	H	OEt	n-Butyl	66-69
3.119	I	H	OEt	n-Butyl	
3.120	Br	H	OEt	i-Butyl	
3.121	Cl	H	OEt	i-Butyl	
3.122	Br	H	OEt		
3.123	Cl	H	OEt		
3.124	Br	H	OEt		
3.125	Cl	H	OEt		
3.126	Br	H	OEt	Cyclobutyl	
3.127	Br	H	OEt	n-Pentyl	
3.128	Cl	H	OEt	n-Pentyl	
3.129	Br	H	OEt	Cyclopentyl	
3.130	Br	H	OEt	n-Hexyl	
3.131	Br	H	OEt	Cyclohexyl	
3.132	Br	H	OEt	Phenyl	
3.133	Br	H	OEt	4-Chloro-phenyl	
3.134	Cl	H	OEt	4-Chloro-phenyl	

3.135	Cl	H	OEt	4-Phenoxy-phenyl
3.136	Br	H	O-n-Propyl	Me
3.137	Cl	H	O-n-Propyl	Et
3.138	Br	H	O-n-Propyl	n-Propyl
3.139	Cl	H	O-n-Propyl	n-Propyl
3.140	Br	H	O-n-Propyl	
3.141	Cl	H	O-n-Propyl	
3.142	Br	H	O-n-Propyl	n-Butyl
3.143	Cl	H	O-n-Propyl	n-Butyl
3.144	Br	H	O-n-Propyl	i-Butyl
3.145	Cl	H	O-n-Propyl	i-Butyl
3.146	Br	H	O-n-Propyl	
3.147	Cl	H	O-n-Propyl	
3.148	Br	H	O-n-Propyl	
3.149	Cl	H	O-n-Propyl	
3.150	Br	H	O-n-Propyl	Cyclobutyl
3.151	Br	H	O-n-Propyl	n-Pentyl
3.152	Cl	H	O-n-Propyl	n-Pentyl
3.153	Br	H	O-n-Propyl	Cyclopentyl
3.154	Cl	H	O-n-Propyl	n-Hexyl
3.155	Br	H	O-n-Propyl	Cyclohexyl
3.156	Cl	H	O-n-Propyl	Phenyl
3.157	Br	H	O-n-Propyl	4-Chloro-phenyl



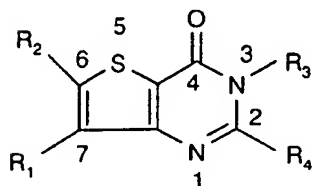










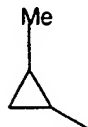
3.158	Cl	H	O-n-Propyl	4-Chloro-phenyl	Oil, ¹ H-NMR
3.159	Br	H	O-n-Propyl	4-Phenoxy-phenyl	
3.160	Br	H	Et	CH ₂ OMe	
3.161	Cl	H	Et	CH ₂ OMe	
3.162	Br	H	n-Propyl	CH ₂ OMe	
3.163	Cl	H	n-Propyl	CH ₂ OMe	
3.164	Br	H	n-Butyl	CH ₂ OMe	
3.165	Cl	H	n-Butyl	CH ₂ OMe	40-41
3.166	Br	H		CH ₂ OMe	
3.167	Br	H	n-Pentyl	CH ₂ OMe	
3.168	Br	H	Et	CH ₂ OEt	
3.169	Cl	H	Et	CH ₂ OEt	
3.170	Br	H	n-Propyl	CH ₂ OEt	
3.171	Cl	H	n-Propyl	CH ₂ OEt	
3.172	Br	H	n-Butyl	CH ₂ OEt	
3.173	Cl	H	n-Butyl	CH ₂ OEt	
3.174	Br	H		CH ₂ OEt	
3.175	Br	H	n-Pentyl	CH ₂ OEt	
3.176	Br	H	n-Propyl	CH ₂ CN	
3.177	Cl	H	n-Butyl	CH ₂ CN	
3.178	Br	H	n-Propyl	t-Butyl	
3.179	Cl	H	n-Propyl	t-Butyl	
3.180	Br	H	n-Propyl	CF ₃	
3.181	Cl	H	n-Butyl	CF ₃	
3.182	Cl	H	n-Pentyl	CF ₃	
3.183	Cl	Cl	n-Propyl	n-Propyl	
3.184	Cl	Cl	n-Propyl	n-Butyl	
3.185	Br	Br	n-Propyl	n-Butyl	
3.186	Br	Br	n-Butyl	n-Butyl	

Tabelle 4: A=Thienyl[3.2-d]







Cmpd. No.	R ₁	R ₂	R ₃	R ₄	phys. data
4.1	Br	H	Me	Me	
4.2	H	Cl	Me	Et	
4.3	Br	H	Me	n-Propyl	
4.4	H	Cl	Me	n-Propyl	
4.5	H	Cl	Me	n-Propyl	
4.6	Br	H	Me	n-Butyl	
4.7	H	Cl	Me	n-Butyl	
4.8	H	Cl	Me	n-Butyl	
4.9	Br	H	Me	i-Butyl	
4.10	H	Cl	Me	i-Butyl	
4.11	Br	H	Me	n-Pentyl	
4.12	Br	H	Me		
4.13	H	Cl	Me		
4.14	Br	H	Et	Me	
4.15	H	Cl	Et	Et	
4.16	Br	H	Et	n-Propyl	
4.17	H	Cl	Et	n-Propyl	
4.18	H	Cl	Et	n-Propyl	
4.19	Br	H	Et	n-Butyl	
4.20	H	Cl	Et	n-Butyl	
4.21	H	Cl	Et	n-Butyl	
4.22	Br	H	Et	i-Butyl	






4.23	H	Cl	Et	i-Butyl
4.24	Br	H	Et	n-Pentyl
4.25	Br	H	Et	
4.26	H	Cl	Et	
4.27	Br	H	n-Propyl	Me
4.28	H	Cl	n-Propyl	Et
4.29	Br	H	n-Propyl	n-Propyl
4.30	H	Cl	n-Propyl	n-Propyl
4.31	H	Cl	n-Propyl	n-Propyl
4.32	H	I	n-Propyl	n-Propyl
4.33	Br	H	n-Propyl	
4.34	H	Cl	n-Propyl	
4.35	H	Cl	n-Propyl	
4.36	Br	H	n-Propyl	n-Butyl
4.37	H	Cl	n-Propyl	n-Butyl
4.38	H	Cl	n-Propyl	n-Butyl
4.39	H	I	n-Propyl	n-Butyl
4.40	Br	H	n-Propyl	i-Butyl
4.41	H	Cl	n-Propyl	i-Butyl
4.42	Br	H	n-Propyl	
4.43	H	Cl	n-Propyl	
4.44	H	Cl	n-Propyl	
4.45	H	Cl	n-Propyl	











120-121

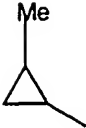
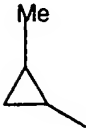



- 53 -






4.46	H	Cl	n-Propyl	
4.47	Br	H	n-Propyl	Cyclobutyl
4.48	Br	H	n-Propyl	n-Pentyl
4.49	H	Cl	n-Propyl	n-Pentyl
4.50	H	Cl	n-Propyl	n-Pentyl
4.51	Br	H	n-Propyl	Cyclopentyl
4.52	Br	H	n-Propyl	n-Hexyl
4.53	Br	H	n-Propyl	Cyclohexyl
4.54	Br	H	n-Propyl	Phenyl
4.55	Br	H	n-Propyl	4-Chloro-phenyl
4.55	H	Cl	n-Propyl	4-Chloro-phenyl
4.56	Br	H	n-Propyl	4-Phenoxy-phenyl
4.57	Br	H	n-Butyl	Me
4.58	H	Cl	n-Butyl	Et
4.59	Br	H	n-Butyl	n-Propyl
4.60	H	Cl	n-Butyl	n-Propyl
4.61	H	Cl	n-Butyl	n-Propyl
4.62	H	I	n-Butyl	n-Propyl
4.62	Br	H	n-Butyl	
4.63	H	Cl	n-Butyl	
4.64	H	Cl	n-Butyl	
4.65	Br	H	n-Butyl	n-Butyl
4.66	H	Cl	n-Butyl	n-Butyl
4.67	H	Cl	n-Butyl	n-Butyl
4.68	H	I	n-Butyl	n-Butyl
4.69	Br	H	n-Butyl	i-Butyl









- 54 -



4.70	H	Cl	n-Butyl	i-Butyl
4.71	Br	H	n-Butyl	
4.72	H	Cl	n-Butyl	
4.73	H	Cl	n-Butyl	
4.74	Br	H	n-Butyl	
4.75	H	Cl	n-Butyl	
4.76	Br	H	n-Butyl	Cyclobutyl
4.77	Br	H	n-Butyl	n-Pentyl
4.78	H	Cl	n-Butyl	n-Pentyl
4.79	H	Cl	n-Butyl	n-Pentyl
4.80	Br	H	n-Butyl	Cyclopentyl
4.81	Br	H	n-Butyl	n-Hexyl
4.82	Br	H	n-Butyl	Cyclohexyl
4.83	Br	H	n-Butyl	Phenyl
4.84	Br	H	n-Butyl	4-Chloro-phenyl
4.85	H	Cl	n-Butyl	4-Chloro-phenyl
4.86	Br	H	n-Butyl	4-Phenoxy-phenyl
4.87	Br	H	i-Butyl	n-Propyl
4.88	H	Cl	i-Butyl	n-Propyl
4.89	Br	H	i-Butyl	n-Butyl
4.90	H	Cl	i-Butyl	n-Butyl

4.91	Br	H		n-Propyl
4.92	H	Cl		n-Propyl
4.93	Br	H		n-Propyl
4.94	Br	H		n-Butyl
4.95	Br	H	n-Pentyl	Me
4.96	H	Cl	n-Pentyl	Et
4.97	Br	H	n-Pentyl	n-Propyl
4.98	Cl	H	n-Pentyl	n-Propyl
4.99	H	Cl	n-Pentyl	n-Propyl
4.100	H	I	n-Pentyl	n-Propyl
4.101	Br	H	n-Pentyl	
4.102	H	Cl	n-Pentyl	
4.103	H	Cl	n-Pentyl	
4.104	Br	H	n-Pentyl	n-Butyl
4.105	H	Cl	n-Pentyl	n-Butyl
4.106	H	Cl	n-Pentyl	n-Butyl
4.107	H	I	n-Pentyl	n-Butyl
4.108	Br	H	n-Pentyl	i-Butyl
4.109	H	Cl	n-Pentyl	i-Butyl
4.110	Br	H	n-Pentyl	
4.111	H	Cl	n-Pentyl	
4.112	H	Cl	n-Pentyl	

4.113	Br	H	n-Pentyl	
4.114	H	Cl	n-Pentyl	
4.115	Br	H	n-Pentyl	Cyclobutyl
4.116	Br	H	n-Pentyl	n-Pentyl
4.117	Cl	Cl	n-Pentyl	n-Pentyl
4.118	H	Cl	n-Pentyl	n-Pentyl
4.119	Br	H	n-Pentyl	Cyclopentyl
4.120	Br	H	n-Pentyl	n-Hexyl
4.121	Br	H	n-Pentyl	Cyclohexyl
4.122	Br	H	n-Pentyl	Phenyl
4.123	Br	H	n-Pentyl	4-Chloro-phenyl
4.124	H	Cl	n-Pentyl	4-Chloro-phenyl
4.125	Br	H	n-Pentyl	4-Phenoxy-phenyl
4.126	Br	H	OEt	Me
4.127	Cl	H	OEt	Et
4.128	Br	H	OEt	n-Propyl
4.129	H	Cl	OEt	n-Propyl
4.130	H	Cl	OEt	n-Propyl
4.131	H	I	OEt	n-Propyl
4.132	Br	H	OEt	
4.133	H	Cl	OEt	
4.134	H	Cl	OEt	
4.135	Br	H	OEt	n-Butyl

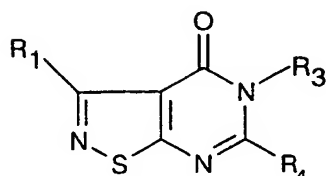
4.136	H	Cl	OEt	n-Butyl
4.137	H	Cl	OEt	n-Butyl
4.138	H	I	OEt	n-Butyl
4.139	Br	H	OEt	i-Butyl
4.140	H	Cl	OEt	i-Butyl
4.141	Br	H	OEt	
4.142	H	Cl	OEt	
4.143	H	Cl	OEt	
4.144	Br	H	OEt	
4.145	H	Cl	OEt	
4.146	Br	H	OEt	Cyclobutyl
4.147	Br	H	OEt	n-Pentyl
4.148	H	Cl	OEt	n-Pentyl
4.149	H	Cl	OEt	n-Pentyl
4.150	Br	H	OEt	Cyclopentyl
4.151	Br	H	OEt	n-Hexyl
4.152	Br	H	OEt	Cyclohexyl
4.153	Br	H	OEt	Phenyl
4.154	Br	H	OEt	4-Chloro-phenyl
4.155		Cl	OEt	4-Chloro-phenyl
4.156	Br	H	OEt	4-Phenoxy-phenyl
4.157	Br	H	O-n-Propyl	Me

4.158	H	Cl	O-n-Propyl	Et
4.159	Br	H	O-n-Propyl	n-Propyl
4.160	H	Cl	O-n-Propyl	n-Propyl
4.161	H	Cl	O-n-Propyl	n-Propyl
4.162	H	I	O-n-Propyl	n-Propyl
4.163	Br	H	O-n-Propyl	
4.164	H	Cl	O-n-Propyl	
4.165	H	Cl	O-n-Propyl	
4.166	Br	H	O-n-Propyl	n-Butyl
4.167	H	Cl	O-n-Propyl	n-Butyl
4.168	H	Cl	O-n-Propyl	n-Butyl
4.169	H	II	O-n-Propyl	n-Butyl
4.170	Br	H	O-n-Propyl	i-Butyl
4.171	H	Cl	O-n-Propyl	i-Butyl
4.172	Br	H	O-n-Propyl	
4.173	H	Cl	O-n-Propyl	
4.174	H	Cl	O-n-Propyl	
4.175	Br	H	O-n-Propyl	Me 
4.176	H	Cl	O-n-Propyl	Me 
4.177	Br	H	O-n-Propyl	Cyclobutyl
4.178	Br	H	O-n-Propyl	n-Pentyl
4.179	H	Cl	O-n-Propyl	n-Pentyl
4.180	H	Cl	O-n-Propyl	n-Pentyl

4.181	Br	H	O-n-Propyl	Cyclopentyl
4.182	Br	H	O-n-Propyl	n-Hexyl
4.183	Br	H	O-n-Propyl	Cyclohexyl
4.184	Br	H	O-n-Propyl	Phenyl
4.185	Br	H	O-n-Propyl	4-Chloro-phenyl
4.186	H	Cl	O-n-Propyl	4-Chloro-phenyl
4.187	Br	H	O-n-Propyl	4-Phenoxy-phenyl
4.188	Br	H	Et	CH ₂ OMe
4.189	H	Cl	Et	CH ₂ OMe
4.190	Br	H	n-Propyl	CH ₂ OMe
4.191	H	Cl	n-Propyl	CH ₂ OMe
4.192	H	Cl	n-Propyl	CH ₂ OMe
4.193	Br	H	n-Butyl	CH ₂ OMe
4.194	H	Cl	n-Butyl	CH ₂ OMe
4.195	Br	H		CH ₂ OMe
4.195	Br	H	n-Pentyl	CH ₂ OMe
4.196	Br	H	Et	CH ₂ OEt
4.197	H	Cl	Et	CH ₂ OEt
4.198	Br	H	n-Propyl	CH ₂ OEt
4.199	H	Cl	n-Propyl	CH ₂ OEt
4.200	H	Cl	n-Propyl	CH ₂ OEt
4.201	Br	H	n-Butyl	CH ₂ OEt
4.202	H	Cl	n-Butyl	CH ₂ OEt
4.203	Br	H		CH ₂ OEt
4.204	Br	H	n-Pentyl	CH ₂ OEt
4.205	Br	H	n-Propyl	CH ₂ CN
4.206	Br	H	n-Butyl	CH ₂ CN
4.207	Br	H	n-Propyl	t-Butyl
4.208	Br	H	n-Propyl	t-Butyl

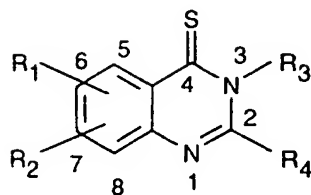
4.209	H	Cl	n-Propyl	CF ₃
4.210	Br	H	n-Propyl	CF ₃
4.211	H	Cl	n-Butyl	CF ₃
4.212	Br	H	n-Butyl	CF ₃
4.213	Cl	Cl	n-Propyl	n-Propyl
4.214	Cl	Cl	n-Propyl	n-Butyl
4.215	Br	Br	n-Propyl	n-Butyl
4.216	Br	Br	n-Butyl	n-Butyl



Tabelle 5: A= Thiazolyl












Cmpd. No.	R ₁	R ₃	R ₄	phys. data
5.1	H	Et	n-Propyl	
5.2	H	n-Propyl	n-Propyl	
5.3	H	n-Propyl	n-Butyl	
5.4	H	n-Butyl	n-Butyl	
5.5	Me	n-Propyl	n-Propyl	
5.6	Me	n-Propyl	n-Butyl	
5.7	Me	n-Butyl	n-Butyl	
5.8	H	n-Propyl	Phenyl	

Table 6: A = Phenyl

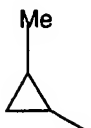





compd. No.	R ₁	R ₂	R ₃	R ₄	phys. data m.p. °C
6.1	6-Br	H	Me	Me	
6.2	6-Cl	H	Me	Et	
6.3	6-Br	H	Me	n-Propyl	
6.4	6-Cl	H	Me	n-Propyl	
6.5	H	7-Cl	Me	n-Propyl	
6.6	6-Br	H	Me	n-Butyl	
6.7	6-Cl	H	Me	n-Butyl	
6.8	H	7-Cl	Me	n-Butyl	
6.9	6-Br	H	Me	i-Butyl	
6.10	6-Cl	H	Me	i-Butyl	
6.11	6-Br	H	Me	n-Pentyl	
6.12	6-Br	H	Me		
6.13	6-Cl	H	Me		
6.14	6-Br	H	Et	Me	
6.15	6-Cl	H	Et	Et	
6.16	6-Br	H	Et	n-Propyl	
6.17	6-Cl	H	Et	n-Propyl	
6.18	H	7-Cl	Et	n-Propyl	
6.19	6-Br	H	Et	n-Butyl	
6.20	6-Cl	H	Et	n-Butyl	
6.21	H	7-Cl	Et	n-Butyl	
6.22	6-Br	H	Et	i-Butyl	




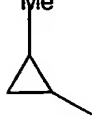
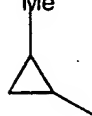
- 62 -

6.23	6-Cl	H	Et	i-Butyl
6.24	6-Br	H	Et	n-Pentyl
6.25	6-Br	H	Et	
6.26	6-Cl	H	Et	
6.27	6-Br	H	n-Propyl	Me
6.28	6-Cl	H	n-Propyl	Et
6.29	6-Br	H	n-Propyl	n-Propyl
6.30	6-Cl	H	n-Propyl	n-Propyl
6.31	H	7-Cl	n-Propyl	n-Propyl
6.32	H	7-I	n-Propyl	n-Propyl
6.33	6-Br	H	n-Propyl	
6.34	6-Cl	H	n-Propyl	
6.35	H	7-Cl	n-Propyl	
6.36	6-Br	H	n-Propyl	n-Butyl
6.37	6-Cl	H	n-Propyl	n-Butyl
6.38	H	7-Cl	n-Propyl	n-Butyl
6.39	H	7-I	n-Propyl	n-Butyl
6.40	6-Br	H	n-Propyl	i-Butyl
6.41	6-Cl	H	n-Propyl	i-Butyl
6.42	6-Br	H	n-Propyl	
6.43	6-Cl	H	n-Propyl	
6.44	H	7-Cl	n-Propyl	
6.45	6-Br	H	n-Propyl	Me 











Oil, ¹H-NMR

6.46	6-Cl	H	n-Prpyl	
6.47	6-Br	H	n-Propyl	Cyclobutyl
6.48	6-Br	H	n-Propyl	n-Pentyl
6.49	6-Cl	H	n-Propyl	n-Pentyl
6.50	H	7-Cl	n-Propyl	n-Pentyl
6.51	6-Br	H	n-Propyl	Cyclopentyl
6.52	6-Br	H	n-Propyl	n-Hexyl
6.53	6-Br	H	n-Propyl	Cyclohexyl
6.54	6-Br	H	n-Propyl	Phenyl
6.55	6-Br	H	n-Propyl	4-Chloro-phenyl
6.56	6-Cl	H	n-Propyl	4-Chloro-phenyl
6.57	6-Br	H	n-Propyl	4-Phenoxy-phenyl
6.58	6-Br	H	n-Butyl	Me
6.59	6-Cl	H	n-Butyl	Et
6.60	6-Br	H	n-Butyl	n-Propyl
6.61	6-Cl	H	n-Butyl	n-Propyl
6.62	H	7-Cl	n-Butyl	n-Propyl
6.63	H	7-I	n-Butyl	n-Propyl
6.64	6-Br	H	n-Butyl	
6.65	6-Cl	H	n-Butyl	
6.66	H	7-Cl	n-Butyl	
6.67	6-Br	H	n-Butyl	n-Butyl
6.68	6-Cl	H	n-Butyl	n-Butyl
6.69	H	7-Cl	n-Butyl	n-Butyl
6.70	H	7-I	n-Butyl	n-Butyl
6.71	6-Br	H	n-Butyl	i-Butyl






- 64 -

6.72	6-Cl	H	n-Butyl	i-Butyl
6.73	6-Br	H	n-Butyl	
6.74	6-Cl	H	n-Butyl	
6.75	H	7-Cl	n-Butyl	
6.76	6-Br	H	n-Butyl	Me 
6.77	6-Cl	H	n-Butyl	Me 
6.78	6-Br	H	n-Butyl	Cyclobutyl
6.79	6-Br	H	n-Butyl	n-Pentyl
6.80	6-Cl	H	n-Butyl	n-Pentyl
6.81	H	7-Cl	n-Butyl	n-Pentyl
6.82	6-Br	H	n-Butyl	Cyclopentyl
6.83	6-Br	H	n-Butyl	n-Hexyl
6.84	6-Br	H	n-Butyl	Cyclohexyl
6.85	6-Br	H	n-Butyl	Phenyl
6.86	6-Br	H	n-Butyl	4-Chloro-phenyl
6.87	6-Cl	H	n-Butyl	4-Chloro-phenyl
6.88	6-Br	H	n-Butyl	4-Phenoxy-phenyl
6.89	6-Br	H	i-Butyl	n-Propyl
6.90	6-Cl	H	i-Butyl	n-Propyl
6.91	6-Br	H	i-Butyl	n-Butyl
6.92	6-Cl	H	i-Butyl	n-Butyl





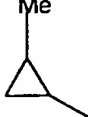
- 65 -









6.93	6-Br	H		n-Propyl
6.94	6-Cl	H		n-Propyl
6.95	6-Br	H		n-Propyl
6.96	6-Br	H		n-Butyl
6.97	6-Br	H	n-Pentyl	Me
6.98	6-Cl	H	n-Pentyl	Et
6.99	6-Br	H	n-Pentyl	n-Propyl
6.100	6-Cl	H	n-Pentyl	n-Propyl
6.101	H	7-Cl	n-Pentyl	n-Propyl
6.102	H	7-I	n-Pentyl	n-Propyl
6.103	6-Br	H	n-Pentyl	
6.104	6-Cl	H	n-Pentyl	
6.105	H	7-Cl	n-Pentyl	
6.106	6-Br	H	n-Pentyl	n-Butyl
6.107	6-Cl	H	n-Pentyl	n-Butyl
6.108	H	7-Cl	n-Pentyl	n-Butyl
6.109	H	7-I	n-Pentyl	n-Butyl
6.110	6-Br	H	n-Pentyl	i-Butyl
6.111	6-Cl	H	n-Pentyl	i-Butyl
6.112	6-Br	H	n-Pentyl	
6.113	6-Cl	H	n-Pentyl	
6.114	H	7-Cl	n-Pentyl	



- 66 -

6.115	6-Br	H	n-Pentyl	Me 
6.116	6-Cl	H	n-Pentyl	Me 
6.117	6-Br	H	n-Pentyl	Cyclobutyl
6.118	6-Br	H	n-Pentyl	n-Pentyl
6.119	6-Cl	H	n-Pentyl	n-Pentyl
6.120	H	7-Cl	n-Pentyl	n-Pentyl
6.121	6-Br	H	n-Pentyl	Cyclopentyl
6.122	6-Br	H	n-Pentyl	n-Hexyl
6.123	6-Br	H	n-Pentyl	Cyclohexyl
6.124	6-Br	H	n-Pentyl	Phenyl
6.125	6-Br	H	n-Pentyl	4-Chloro-phenyl
6.126	6-Cl	H	n-Pentyl	4-Chloro-phenyl
6.127	6-Br	H	n-Pentyl	4-Phenoxy-phenyl
6.128	6-Br	H	OEt	Me
6.129	6-Cl	H	OEt	Et
6.130	6-Br	H	OEt	n-Propyl
6.131	6-Cl	H	OEt	n-Propyl
6.132	H	7-Cl	OEt	n-Propyl
6.133	H	7-I	OEt	n-Propyl
6.134	6-Br	H	OEt	
6.135	6-Cl	H	OEt	
6.136	H	7-Cl	OEt	
6.137	6-Br	H	OEt	n-Butyl

- 67 -

6.138	6-Cl	H	OEt	n-Butyl
6.139	H	7-Cl	OEt	n-Butyl
6.140	H	7-I	OEt	n-Butyl
6.141	6-Br	H	OEt	i-Butyl
6.142	6-Cl	H	OEt	i-Butyl
6.143	6-Br	H	OEt	
6.144	6-Cl	H	OEt	
6.145	H	7-Cl	OEt	
6.146	6-Br	H	OEt	Me 
6.147	6-Cl	H	OEt	Me 
6.148	6-Br	H	OEt	Cyclobutyl
6.149	6-Br	H	OEt	n-Pentyl
6.150	6-Cl	H	OEt	n-Pentyl
6.151	H	7-Cl	OEt	n-Pentyl
6.152	6-Br	H	OEt	Cyclopentyl
6.153	6-Br	H	OEt	n-Hexyl
6.154	6-Br	H	OEt	Cyclohexyl
6.155	6-Br	H	OEt	Phenyl
6.156	6-Br	H	OEt	4-Chloro- phenyl
6.157	6-Cl	H	OEt	4-Chloro- phenyl
6.158	6-Br	H	OEt	4-Phenoxy- phenyl
6.159	6-Br	H	O-n-Propyl	Me

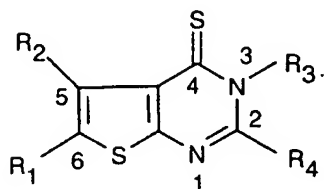
6.160	6-Cl	H	O-n-Propyl	Et
6.161	6-Br	H	O-n-Propyl	n-Propyl
6.162	6-Cl	H	O-n-Propyl	n-Propyl
6.163	H	7-Cl	O-n-Propyl	n-Propyl
6.164	H	7-I	O-n-Propyl	n-Propyl
6.165	6-Br	H	O-n-Propyl	
6.166	6-Cl	H	O-n-Propyl	
6.167	H	7-Cl	O-n-Propyl	
6.168	6-Br	H	O-n-Propyl	n-Butyl
6.169	6-Cl	H	O-n-Propyl	n-Butyl
6.170	H	7-Cl	O-n-Propyl	n-Butyl
6.171	H	7-I	O-n-Propyl	n-Butyl
6.172	6-Br	H	O-n-Propyl	i-Butyl
6.173	6-Cl	H	O-n-Propyl	i-Butyl
6.174	6-Br	H	O-n-Propyl	
6.175	6-Cl	H	O-n-Propyl	
6.176	H	7-Cl	O-n-Propyl	
6.177	6-Br	H	O-n-Propyl	Me 
6.178	6-Cl	H	O-n-Propyl	Me 
6.179	6-Br	H	O-n-Propyl	Cyclobutyl
6.180	6-Br	H	O-n-Propyl	n-Pentyl
6.181	6-Cl	H	O-n-Propyl	n-Pentyl
6.182	H	7-Cl	O-n-Propyl	n-Pentyl




6.183	6-Br	H	O-n-Propyl	Cyclopentyl
6.184	6-Br	H	O-n-Prpyl	n-Hexyl
6.185	6-Br	H	O-n-Prpyl	Cyclohexyl
6.186	6-Br	H	O-n-Prpyl	Phenyl
6.187	6-Br	H	O-n-Prpyl	4-Chloro-phenyl
6.188	6-Cl	H	O-n-Prpyl	4-Chloro-phenyl
6.189	6-Br	H	O-n-Prpyl	4-Phenoxy-phenyl
6.190	6-Br	H	Et	CH ₂ OMe
6.191	6-Cl	H	Et	CH ₂ OMe
6.192	6-Br	H	n-Prpyl	CH ₂ OMe
6.193	6-Cl	H	n-Prpyl	CH ₂ OMe
6.194	H	7-Cl	n-Prpyl	CH ₂ OMe
6.195	6-Br	H	n-Butyl	CH ₂ OMe
6.196	6-Cl	H	n-Butyl	CH ₂ OMe
6.197	6-Br	H		CH ₂ OMe
6.198	6-Br	H	n-Pentyl	CH ₂ OMe
6.199	6-Br	H	Et	CH ₂ OEt
6.200	6-Cl	H	Et	CH ₂ OEt
6.201	6-Br	H	n-Propyl	CH ₂ OEt
6.202	6-Cl	H	n-Propyl	CH ₂ OEt
6.203	H	7-Cl	n-Propyl	CH ₂ OEt
6.204	6-Br	H	n-Butyl	CH ₂ OEt
6.205	6-Cl	H	n-Butyl	CH ₂ OEt
6.206	6-Br	H		CH ₂ OEt
6.207	6-Br	H	n-Pentyl	CH ₂ OEt
6.208	6-Br	H	n-Propyl	CH ₂ CN
6.209	6-Br	H	n-Butyl	CH ₂ CN
6.210	6-Br	H	n-Propyl	t-Butyl
6.211	6-Br	H	n-Propyl	t-Butyl

- 70 -






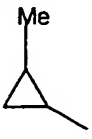

6.212	6-Cl	H	n-Propyl	CF ₃
6.213	6-Br	H	n-Propyl	CF ₃
6.214	6-Br	H	n-Butyl	CF ₃

Tabelle 7: A = Thienyl[2.3-d]







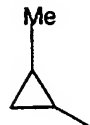







cmpd. No.	R ₁	R ₂	R ₃	R ₄	phys. data m.p.°C
7.1	Br	H	Me	Me	
7.2	Cl	H	Me	Et	
7.3	Br	H	Me	n-Propyl	
7.4	Cl	H	Me	n-Propyl	
7.5	Br	H	Me	n-Butyl	
7.6	Cl	H	Me	n-Butyl	113-114
7.7	Br	H	Me	i-Butyl	
7.8	Cl	H	Me	i-Butyl	
7.9	Br	H	Me	n-Pentyl	
7.10	Br	H	Me		
7.11	Cl	H	Me		
7.12	Br	H	Et	Me	
7.13	Cl	H	Et	Et	
7.14	Br	H	Et	n-Propyl	
7.15	Cl	H	Et	n-Propyl	
7.16	Br	H	Et	n-Butyl	
7.17	Cl	H	Et	n-Butyl	
7.18	Br	H	Et	i-Butyl	
7.19	Cl	H	Et	i-Butyl	
7.20	Br	H	Et	n-Pentyl	
7.21	Br	H	Et		

- 72 -





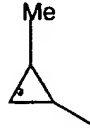
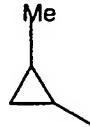
7.22	Cl	H	Et		
7.23	Br	H	n-Propyl	Me	
7.24	Cl	H	n-Propyl	Et	
7.25	Br	H	n-Propyl	n-Propyl	
7.26	Cl	H	n-Propyl	n-Propyl	
7.27	I	H	n-Propyl	n-Propyl	
7.28	Br	H	n-Propyl		
7.29	Cl	H	n-Propyl		
7.30	Br	H	n-Propyl	n-Butyl	
7.31	Cl	H	n-Propyl	n-Butyl	Oil, ¹ H-NMR
7.32	I	H	n-Propyl	n-Butyl	
7.33	Br	H	n-Propyl	i-Butyl	
7.34	Cl	H	n-Propyl	i-Butyl	57-60
7.35	Br	H	n-Propyl		
7.36	Cl	H	n-Propyl		
7.37	Br	H	n-Propyl	Me 	
7.38	Cl	H	n-Propyl	Me 	
7.39	Br	H	n-Propyl	Cyclobutyl	
7.40	Br	H	n-Propyl	n-Pentyl	
7.41	Cl	H	n-Propyl	n-Pentyl	
7.42	Br	H	n-Propyl	Cyclopentyl	
7.43	Br	H	n-Propyl	n-Hexyl	
7.44	Br	H	n-Propyl	Cyclohexyl	
7.45	Br	H	n-Propyl	Phenyl	

- 73 -





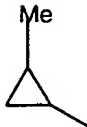
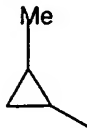
7.46	Br	H	n-Propyl	4-Chloro-phenyl
7.47	Cl	H	n-Propyl	4-Chloro-phenyl
7.48	Br	H	n-Propyl	4-Phenoxy-phenyl
7.49	Br	H	n-Butyl	Me
7.50	Cl	H	n-Butyl	Et
7.51	Br	H	n-Butyl	n-Propyl
7.52	Cl	H	n-Butyl	n-Propyl
7.53	I	H	n-Butyl	n-Propyl
7.54	I	H	n-Butyl	n-Propyl
7.55	Br	H	n-Butyl	
7.56	Cl	H	n-Butyl	
7.57	I	H	n-Butyl	
7.58	Br	H	n-Butyl	n-Butyl
7.59	Cl	H	n-Butyl	n-Butyl
7.60	I	H	n-Butyl	n-Butyl
7.61	Br	H	n-Butyl	i-Butyl
7.62	Cl	H	n-Butyl	i-Butyl
7.63	Br	H	n-Butyl	
7.64	Cl	H	n-Butyl	
7.65	I	H	n-Butyl	
7.66	Br	H	n-Butyl	





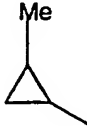
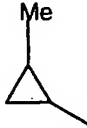
7.67	Cl	H	n-Butyl	
7.68	Br	H	n-Butyl	Cyclobutyl
7.69	Br	H	n-Butyl	n-Pentyl
7.70	Cl	H	n-Butyl	n-Pentyl
7.71	Br	H	n-Butyl	Cyclopentyl
7.72	Br	H	n-Butyl	n-Hexyl
7.73	Br	H	n-Butyl	Cyclohexyl
7.74	Cl	H	n-Butyl	Phenyl
7.75	Br	H	n-Butyl	4-Chloro-phenyl
7.76	Cl	H	n-Butyl	4-Chloro-phenyl
7.77	Br	H	n-Butyl	4-Phenoxy-phenyl
7.78	Br	H	i-Butyl	n-Propyl
7.79	Cl	H	i-Butyl	n-Propyl
7.80	Br	H	i-Butyl	n-Butyl
7.81	Cl	H	i-Butyl	n-Butyl
7.82	Br	H		n-Propyl
7.83	Cl	H		n-Propyl
7.84	Cl	H		n-Propyl
7.85	Br	H		n-Butyl
7.86	Br	H	n-Pentyl	Me
7.87	Cl	H	n-Pentyl	Et
7.88	Br	H	n-Pentyl	n-Propyl
7.89	Cl	H	n-Pentyl	n-Propyl

- 75 -



7.90	Br	H	n-Pentyl	
7.91	Cl	H	n-Pentyl	
7.92	Br	H	n-Pentyl	n-Butyl
7.93	Cl	H	n-Pentyl	n-Butyl
7.94	I	H	n-Pentyl	n-Butyl
7.95	Br	H	n-Pentyl	i-Butyl
7.96	Cl	H	n-Pentyl	i-Butyl
7.97	Br	H	n-Pentyl	
7.98	Cl	H	n-Pentyl	
7.99	Br	H	n-Pentyl	Me 
7.100	Cl	H	n-Pentyl	Me 
7.101	Cl	H	n-Pentyl	Cyclobutyl
7.102	Br	H	n-Pentyl	n-Pentyl
7.103	Cl	H	n-Pentyl	n-Pentyl
7.104	Cl	H	n-Pentyl	Cyclopentyl
7.105	Br	H	n-Pentyl	n-Hexyl
7.106	Cl	H	n-Pentyl	Cyclohexyl
7.107	Br	H	n-Pentyl	Phenyl
7.108	Br	H	n-Pentyl	4-Chloro-phenyl
7.109	Cl	H	n-Pentyl	4-Chloro-phenyl
7.110	Br	H	n-Pentyl	4-Phenoxy-phenyl
7.111	Br	H	OEt	Me

- 76 -

7.112	Cl	H	OEt	Et
7.113	Br	H	OEt	n-Propyl
7.114	Cl	H	OEt	n-Propyl
7.115	Br	H	OEt	
7.116	Cl	H	OEt	
7.117	Br	H	OEt	n-Butyl
7.118	Cl	H	OEt	n-Butyl
7.119	I	H	OEt	n-Butyl
7.120	Br	H	OEt	i-Butyl
7.121	Cl	H	OEt	i-Butyl
7.122	Br	H	OEt	
7.123	Cl	H	OEt	
7.124	Br	H	OEt	
7.125	Cl	H	OEt	
7.126	Br	H	OEt	Cyclobutyl
7.127	Br	H	OEt	n-Pentyl
7.128	Cl	H	OEt	n-Pentyl
7.129	Br	H	OEt	Cyclopentyl
7.130	Br	H	OEt	n-Hexyl
7.131	Br	H	OEt	Cyclohexyl
7.132	Br	H	OEt	Phenyl
7.133	Br	H	OEt	4-Chloro-phenyl
7.134	Cl	H	OEt	4-Chloro-phenyl

7.135	Cl	H	OEt	4-Phenoxy- phenyl
7.136	Br	H	O-n-Propyl	Me
7.137	Cl	H	O-n-Propyl	Et
7.138	Br	H	O-n-Propyl	n-Propyl
7.139	Cl	H	O-n-Propyl	n-Propyl
7.140	Br	H	O-n-Propyl	
7.141	Cl	H	O-n-Propyl	
7.142	Br	H	O-n-Propyl	n-Butyl
7.143	Cl	H	O-n-Propyl	n-Butyl
7.144	Br	H	O-n-Propyl	i-Butyl
7.145	Cl	H	O-n-Propyl	i-Butyl
7.146	Br	H	O-n-Propyl	
7.147	Cl	H	O-n-Propyl	
7.148	Br	H	O-n-Propyl	Me 
7.149	Cl	H	O-n-Propyl	Me 
7.150	Br	H	O-n-Propyl	Cyclobutyl
7.151	Br	H	O-n-Propyl	n-Pentyl
7.152	Cl	H	O-n-Propyl	n-Pentyl
7.153	Br	H	O-n-Propyl	Cyclopentyl
7.154	Cl	H	O-n-Propyl	n-Hexyl
7.155	Br	H	O-n-Propyl	Cyclohexyl
7.156	Cl	H	O-n-Propyl	Phenyl
7.157	Br	H	O-n-Propyl	4-Chloro- phenyl

- 78 -

7.158	Cl	H	O-n-Propyl	4-Chloro-phenyl
7.159	Br	H	O-n-Propyl	4-Phenoxy-phenyl
7.160	Br	H	Et	CH ₂ OMe
7.161	Cl	H	Et	CH ₂ OMe
7.162	Br	H	n-Propyl	CH ₂ OMe
7.163	Cl	H	n-Propyl	CH ₂ OMe
7.164	Br	H	n-Butyl	CH ₂ OMe
7.165	Cl	H	n-Butyl	CH ₂ OMe
7.166	Br	H		CH ₂ OMe
7.167	Br	H	n-Pentyl	CH ₂ OMe
7.168	Br	H	Et	CH ₂ OEt
7.169	Cl	H	Et	CH ₂ OEt
7.170	Br	H	n-Propyl	CH ₂ OEt
7.171	Cl	H	n-Propyl	CH ₂ OEt
7.172	Br	H	n-Butyl	CH ₂ OEt
7.173	Cl	H	n-Butyl	CH ₂ OEt
7.174	Br	H		CH ₂ OEt
7.175	Br	H	n-Pentyl	CH ₂ OEt
7.176	Br	H	n-Propyl	CH ₂ CN
7.177	Cl	H	n-Butyl	CH ₂ CN
7.178	Br	H	n-Propyl	t-Butyl
7.179	Cl	H	n-Propyl	t-Butyl
7.180	Br	H	n-Propyl	CF ₃
7.181	Cl	H	n-Butyl	CF ₃
7.182	Cl	H	n-Pentyl	CF ₃
7.183	Cl	Cl	n-Propyl	n-Propyl
7.184	Cl	Cl	n-Propyl	n-Butyl
7.185	Br	Br	n-Propyl	n-Butyl
7.186	Br	Br	n-Butyl	n-Butyl

Examples for specific formulations-combination are as disclosed e.g. in WO 97/33890, e.g. for wettable powders, emulsifiable concentrates, dusts, extruder granules, coated granules, solutions and suspension concentrates.

Biological Examples: Fungicidal actions

Example B-1: Action against *Colletotrichum lagenarium* on cucumbers

After a growth period of 2 weeks, cucumber plants are sprayed with an aqueous spray mixture (concentration 0.002%) prepared from a wettable powder formulation of the test compound and infected 2 days later with a spore suspension (1.5×10^5 spores/ml) of the fungus and incubated for 36 hours at 23°C and high humidity. Incubation is then continued at normal humidity and c. 22°C. Evaluation of the fungal infestation is made 8 days after infection.

The compounds of the Tables 1-7 show good to excellent activity, preferably the compounds 1.36, 2.30, 3.1, 3.6, 3.8, 3.15, 3.17, 3.26, 3.30, 3.31, 3.47, 3.52, 3.56, 3.58, 3.59, 3.79, 3.117, 3.118, 3.163, 3.171, 4.36, 6.29, 7.6, 7.31 and 7.34.

Example B-2: Residual-protective action against *Venturia inaequalis* on apples

Apple cuttings with fresh shoots 10 to 20 cm long are sprayed to drip point with a spray mixture (0.02% a.i.) prepared from a wettable powder formulation of the test compound. The plants are infected 24 hours later with a conidia suspension of the fungus. The plants are then incubated for 5 days at 90 to 100 % relative humidity and stood in a greenhouse for a further 10 days at 20 to 24°C. Evaluation of the fungal infestation is made 12 days after infection.

Compounds of Tables 1-7 show good activity, preferably the compounds 1.36, 2.30, 3.1, 3.6, 3.8, 3.15, 3.17, 3.26, 3.30, 3.31, 3.34, 3.36, 3.47, 3.52, 3.56, 3.58, 3.59, 3.79, 3.117, 3.118, 3.163, 3.171, 4.36, 6.29, 7.6, 7.31 and 7.34.

Example B-3: Action against *Erysiphe graminis* on barley

a) Residual-protective action

Barley plants about 8 cm in height are sprayed to drip point with a spray mixture (0.02% a.i.) prepared from a wettable powder formulation of the test compound, and the treated plants are dusted with conidia of the fungus 3 to 4 hours later. The infected plants are stood in a greenhouse at 22°C. Evaluation of the fungal infection is made 12 days after infection.

b) Systemic action

Barley plants about 8 cm in height are drenched with an aqueous spray mixture (0.002% a.i., based on the volume of the soil) prepared from a wettable powder formulation of the test compound. Care is taken that the spray mixture does not come into contact with the growing parts of the plants. The treated plants are dusted 48 hours later with conidia of the fungus. The infected plants are then stood in a greenhouse at 22°C. Evaluation of the fungal infestation is made 12 days after infection.

Compared with the control plants, infestation of the plants treated with compounds of formula I from Tables 1-7, for example the compounds 1.36, 2.30, 3.1, 3.6, 3.8, 3.15, 3.17, 3.26, 3.30, 3.31, 3.34, 3.36, 3.47, 3.52, 3.56, 3.58, 3.59, 3.79, 3.117, 3.118, 3.163, 3.171, 4.36, 6.29, 7.6, 7.31 and 7.34 is 20 % or less.

Example B-4: Action against Podosphaera leucotricha on apple shoots

Apple cuttings with fresh shoots about 15cm long are sprayed with a spray mixture (0.06% a.i.). The plants are infected 24 hours later with a conidia suspension of the fungus and stood in a climatic chamber at 70% relative humidity and 20°C. Evaluation of the fungal infestation is made 12 days after infection.

Compounds of Tables 1-7 show good activity. The following compounds exhibit especially strong efficacy : 1.36, 2.30, 3.1, 3.6, 3.8, 3.15, 3.17, 3.26, 3.30, 3.31, 3.34, 3.36, 3.47, 3.52, 3.56, 3.58, 3.59, 3.79, 3.117, 3.118, 3.163, 3.171, 4.36, 6.29, 7.6, 7.31 and 7.34 (0-5% infestation).

Example B-5: Action against Plasmopara viticola on vines

a) Residual-preventive action: Vine cuttings of the Chasselas variety are raised in a greenhouse. At the 10-leaf stage, 3 plants are sprayed with a spray mixture (200 ppm a.i.). After the spray coating has dried, the plants are infected uniformly on the underside of the leaves with a spore suspension of the fungus. The plants are then kept in a humidity chamber for 8 days, after which time marked symptoms of disease are observed on the control plants. The number and size of the infected areas on the untreated plants act as an indicator of the efficacy of the tested compounds.

b) Curative action: Vine cuttings of the Chasselas variety are raised in a greenhouse and sprayed at the 10-leaf stage on the underside of the leaves with a spore suspension of *Plasmopara viticola*. After 24 hours in the humidity chamber, the plants are sprayed with a

spray mixture (200 ppm a.i.). The plants are then kept for another 7 days in the humidity chamber. After this time the control plants exhibit symptoms of the disease. The number and size of the infected areas on the untreated plants act as an indicator of the efficacy of the tested compounds.

Compounds of Tables 1-7 show good efficacy, preferably the compounds 1.36, 2.30, 3.1, 3.6, 3.8, 3.15, 3.17, 3.26, 3.30, 3.31, 3.34, 3.36, 3.47, 3.52, 3.56, 3.58, 3.59, 3.79, 3.117, 3.118, 3.163, 3.171, 4.36, 6.29, 7.6, 7.31 and 7.34.

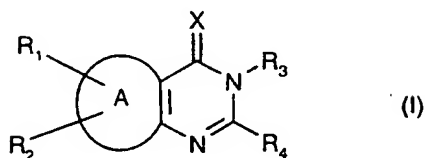
Example B-6: Action against *Uncinula necator* on vines

5 week old vine cuttings are sprayed with a spray mixture (200 ppm a.i.) prepared from a wettable powder formulation of the test compound. The plants are infected 24 hours later by conidias from strongly infested vine leafs that are shaken off over the test plants. The plants are then incubated at 26°C and 60% relative humidity. The evaluation of the fungal infestation is made ca. 14 days after infection.

Compared with the control plants, infestation of the plants treated with compounds of formula I from the Tables 1-7, for example the compounds 1.36, 2.30, 3.1, 3.6, 3.8, 3.15, 3.17, 3.26, 3.30, 3.31, 3.34, 3.36, 3.47, 3.52, 3.56, 3.58, 3.59, 3.79, 3.117, 3.118, 3.163, 3.171, 4.36, 6.29, 7.6, 7.31 and 7.34 is 20 % or less.

What is claimed is

1. A compound of formula I



wherein

A is phenyl, thienyl (including all 3 isomers), thiazolyl, pyridyl or pyridazinyl;

X is oxygen or sulfur;

R₁ is hydrogen, halogen or trimethylsilyl;

R₂ is hydrogen, halogen or trimethylsilyl; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₆alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, cyano, C₁-C₆alkoxy or C₁-C₆haloalkoxy; C₁-C₄alkoxy-C₁-C₄alkoxy; C₁-C₄alkoxy-C₁-C₄alkylthio; nitro; -CO-C₁-C₆alkyl; C₃-C₆cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, cyano, nitro, amino, mono-C₁-C₆alkylamino, di-C₁-C₆alkylamino, C₁-C₆alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy or C₁-C₆haloalkoxy.

2. A compound of formula I according to claim 1, wherein

A is thienyl, including all 3 isomers.

3. A compounds of formula I according to claim 2 wherein

R₁ is hydrogen, fluorine, chlorine, bromine or iodine;

R₂ is hydrogen, fluorine, chlorine, bromine or iodine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

4. A compound of formula I according to claim 3, wherein

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₄cycloalkyl, fluorine, chlorine, bromine or C₁-C₄alkoxy; O-C₁-C₆alkyl; O-C₂-C₆alkenyl; O-C₂-C₆alkynyl; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₄cycloalkyl, fluorine, chlorine, bromine or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

5. A compound of the formula I according to claim 4, wherein

A is thienyl[2.3-d],

X is oxygen,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

6. A compound of the formula I according to claim 4, wherein

A is thienyl[2.3-d],

X is sulfur,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

7. A compound of the formula I according to claim 4, wherein

A is thienyl[3.2-d],

X is oxygen,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

8. A compound of formula I according to claim 1, wherein

A is pyridyl.

9. A compound of formula I according to claim 1, wherein

A is phenyl.

10. A compound of formula I according to claim 1, wherein

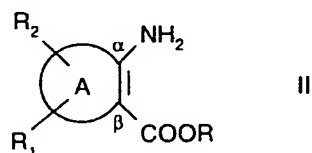
A is thiazolyl.

11. A compound of formula I according to claim 1, wherein

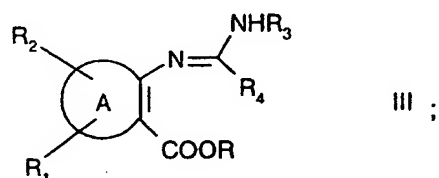
A is pyridazinyl.

12. A composition for controlling and preventing pests, wherein the active ingredient is a compound as claimed in claim 1 together with a suitable carrier.

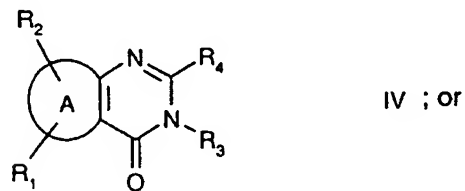
13. Use of a compound of formula I according to claim 1 for protecting plants against infestation by phytopathogenic microorganisms.
14. A method of controlling or preventing infestation of cultivated plants by phytopathogenic microorganisms by application of a compound of formula I as claimed in claim 1 to plants, to parts thereof or to the locus thereof.
15. A method according to claim 14, wherein the phytopathogenic microorganism is a fungal organism.
16. A method for the preparation of a compound of formula I according to claim 1, which comprises
- a) converting an α -amino- β -carboalkoxyheterocycle of formula II, wherein R_1 and R_2 have the meanings stated for formula I and R is hydrogen, C_1 - C_6 alkyl,



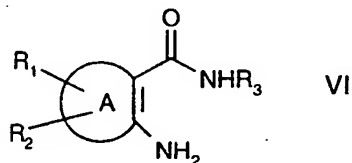
with $POCl_3$ in the presence of a solvent and R_4CONHR_3 into an amidine of formula III, wherein R_3 and R_4 have the meanings stated for formula I



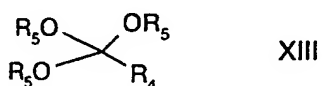
b) and treating the amidine, in the presence of a solvent and if necessary in the presence of a base, and obtaining, with ring closure, the pyrimidin-4-one derivative of formula IV



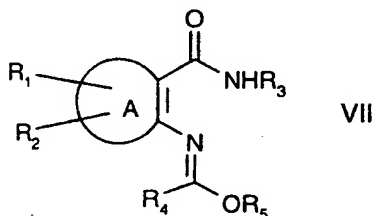
c) reacting an amino carboxylic acidamide of formula VI



wherein A, R₁, R₂ and R₃ have the meanings stated for formula I with an orthoester of formula XIII

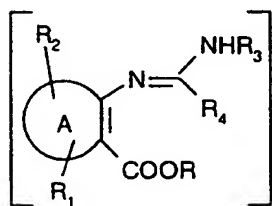


wherein R₄ has the meaning stated for formula I and R₅ is C₁-C₅alkyl, in the presence or absence of a solvent, in the presence or absence of an acid catalyst at 20-200°C, and obtaining the pyrimidin-4-one derivative of formula IV; and
d) if the intermediate VII is formed



treating the compound VII in the presence of a solvent and if necessary in the presence of a base, and obtaining with ring closure the pyrimidin-4-one derivative of formula IV.

17. A compound of formula III



III

wherein

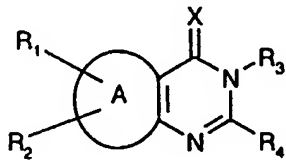
A is thienyl[2.3-d] or thienyl[3.2-d];

R₁ is hydrogen, halogen or trimethylsilyl;

R_2 is hydrogen, halogen or trimethylsilyl; and at least one of R_1 and R_2 is not hydrogen;
 R_3 is C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl which are unsubstituted or mono to tri-substituted by C_3 - C_6 cycloalkyl, halogen, C_1 - C_6 alkoxy or C_1 - C_6 haloalkoxy; O - C_1 - C_6 alkyl, O - C_2 - C_6 alkenyl, O - C_2 - C_6 alkynyl, which are unsubstituted or mono to tri-substituted by C_3 - C_6 cycloalkyl, halogen or C_1 - C_6 alkoxy; N - C_1 - C_6 alkyl; or $N=CHC_1$ - C_6 alkyl;
 R_4 is C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl which are unsubstituted or mono to tri-substituted by C_3 - C_6 cycloalkyl, halogen, cyano, C_1 - C_6 alkoxy or C_1 - C_6 haloalkoxy; C_1 - C_4 alkoxy- C_1 - C_4 alkoxy; C_1 - C_4 alkoxy- C_1 - C_4 alkylthio; nitro; $-CO$ - C_1 - C_6 alkyl; C_3 - C_6 cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, cyano, nitro, amino, mono- C_1 - C_6 alkylamino, di- C_1 - C_6 alkylamino, C_1 - C_6 alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy or C_1 - C_6 haloalkoxy; and
 R is hydrogen or C_1 - C_6 alkyl.



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 495/04, A01N 43/90, 43/54, C07D 239/90, 239/91, 239/92, 471/04, 513/04, 487/04, C07F 7/10, C07D 239/86 // (C07D 495/04, 333:00, 239:00) (C07D 471/04, 239:00, 221:00) (C07D 513/04, 275:00, 239:00)	A3	(11) International Publication Number: WO 99/14202 (43) International Publication Date: 25 March 1999 (25.03.99)
(21) International Application Number: PCT/EP98/05790 (22) International Filing Date: 10 September 1998 (10.09.98) (30) Priority Data: 9719411.2 12 September 1997 (12.09.97) GB (71) Applicant (for all designated States except AT US): NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH). (71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT]; Brunner Strasse 59, A-1235 Vienna (AT). (72) Inventor; and (75) Inventor/Applicant (for US only): WALTER, Harald [DE/CH]; Chilchmattstrasse 12b, CH-4118 Rodersdorf (CH). (74) Agent: BECKER, Konrad; Novartis AG, Patent- und Markenabteilung, Lichtstrasse 35, CH-4002 Basel (CH).		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> (88) Date of publication of the international search report: 14 May 1999 (14.05.99)
(54) Title: NOVEL PYRIMIDIN-4-ONE AND PYRIMIDIN-4-THIONE AS FUNGICIDE <div style="text-align: center;">  <p>(I)</p> </div> (57) Abstract Novel pyrimidin-4-one and pyrimidin-4-thione derivatives of formula (I) wherein A is phenyl, thienyl (including all 3 isomers), thiazolyl, pyridyl or pyridazinyl; X is oxygen or sulfur; R ₁ is hydrogen, halogen or trimethylsilyl; R ₂ is hydrogen, halogen or trimethylsilyl; at least one of R ₁ and R ₂ is not hydrogen; R ₃ is C ₁ -C ₈ alkyl, C ₁ -C ₈ alkenyl, C ₁ -C ₈ alkinyl which are unsubstituted or mono to tri-substituted by C ₃ -C ₆ cycloalkyl, halogen, C ₁ -C ₆ alkoxy or C ₁ -C ₆ haloalkoxy; O-C ₁ -C ₆ alkyl, O-C ₂ -C ₆ alkenyl, O-C ₂ -C ₆ alkynyl, which are unsubstituted or mono to tri-substituted by C ₃ -C ₆ cycloalkyl, halogen or C ₁ -C ₆ alkoxy; N-C ₁ -C ₆ alkyl; or N=CHC ₁ -C ₆ alkyl; R ₄ is C ₁ -C ₈ alkyl, C ₁ -C ₈ alkenyl, C ₁ -C ₈ alkinyl which are unsubstituted or mono to tri-substituted by C ₃ -C ₆ cycloalkyl, halogen, cyano, C ₁ -C ₆ alkoxy or C ₁ -C ₆ haloalkoxy; C ₁ -C ₄ alkoxy-C ₁ -C ₄ alkoxy; C ₁ -C ₄ alkoxy-C ₁ -C ₄ alkylthio; nitro; -CO-C ₁ -C ₆ alkyl; C ₃ -C ₆ cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C ₁ -C ₆ alkyl, C ₁ -C ₆ haloalkyl, C ₁ -C ₆ alkoxy, C ₁ -C ₆ haloalkoxy, cyano, nitro, amino, mono-C ₁ -C ₆ alkylamino, di-C ₁ -C ₆ alkylamino, C ₁ -C ₆ alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C ₁ -C ₆ alkyl, C ₁ -C ₆ haloalkyl, C ₁ -C ₆ alkoxy or C ₁ -C ₆ haloalkoxy. The novel compounds have plant-protective properties and are suitable for protecting plants against infestation by phytopathogenic microorganisms, in particular fungi.		

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece	ML	Mali	TR	Turkey
BG	Bulgaria	HU	Hungary	MN	Mongolia	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MR	Mauritania	UA	Ukraine
BR	Brazil	IL	Israel	MW	Malawi	UG	Uganda
BY	Belarus	IS	Iceland	MX	Mexico	US	United States of America
CA	Canada	IT	Italy	NE	Niger	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NL	Netherlands	VN	Viet Nam
CG	Congo	KE	Kenya	NO	Norway	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NZ	New Zealand	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	PL	Poland		
CM	Cameroon	KR	Republic of Korea	PT	Portugal		
CN	China	KZ	Kazakstan	RO	Romania		
CU	Cuba	LC	Saint Lucia	RU	Russian Federation		
CZ	Czech Republic	LI	Liechtenstein	SD	Sudan		
DE	Germany	LK	Sri Lanka	SE	Sweden		
DK	Denmark	LR	Liberia	SG	Singapore		
EE	Estonia						

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 98/05790

A. CLASSIFICATION OF SUBJECT MATTER IPC 6 C07D495/04 A01N43/90 A01N43/54 C07D239/90 C07D239/91 C07D239/92 C07D471/04 C07D513/04 C07D487/04 C07F7/10 C07D239/86 //(C07D495/04,333:00,239:00),(C07D471/04,239:00, According to International Patent Classification (IPC) or to both national classification and IPC																							
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 6 C07D A01N Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used)																							
C. DOCUMENTS CONSIDERED TO BE RELEVANT <table border="1" style="width: 100%; border-collapse: collapse;"> <thead> <tr> <th style="width: 10%;">Category *</th> <th style="width: 70%;">Citation of document, with indication, where appropriate, of the relevant passages</th> <th style="width: 20%;">Relevant to claim No.</th> </tr> </thead> <tbody> <tr> <td style="text-align: center;">X</td> <td>EP 0 360 417 A (SCHERING) 28 March 1990 see page 3, line 6 - line 35; claim 1 ---</td> <td style="text-align: center;">1,12</td> </tr> <tr> <td style="text-align: center;">X</td> <td>WO 97 02262 A (DU PONT) 23 January 1997 cited in the application see claims 1,9 ---</td> <td style="text-align: center;">1,12</td> </tr> <tr> <td style="text-align: center;">X</td> <td>WO 94 26722 A (DU PONT) 24 November 1994 cited in the application see claims 1,15 ---</td> <td style="text-align: center;">1,12</td> </tr> <tr> <td style="text-align: center;">E</td> <td>WO 98 49899 A (AGREVO) 12 November 1998 see claim 1; examples 72B,73B,83B ---</td> <td style="text-align: center;">1,12</td> </tr> <tr> <td style="text-align: center;">X</td> <td>DE 23 00 050 A (PFIZER) 19 July 1973 see example 4A ---</td> <td style="text-align: center;">1</td> </tr> <tr> <td colspan="3" style="text-align: center;">-/--</td> </tr> </tbody> </table>			Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	X	EP 0 360 417 A (SCHERING) 28 March 1990 see page 3, line 6 - line 35; claim 1 ---	1,12	X	WO 97 02262 A (DU PONT) 23 January 1997 cited in the application see claims 1,9 ---	1,12	X	WO 94 26722 A (DU PONT) 24 November 1994 cited in the application see claims 1,15 ---	1,12	E	WO 98 49899 A (AGREVO) 12 November 1998 see claim 1; examples 72B,73B,83B ---	1,12	X	DE 23 00 050 A (PFIZER) 19 July 1973 see example 4A ---	1	-/--		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.																					
X	EP 0 360 417 A (SCHERING) 28 March 1990 see page 3, line 6 - line 35; claim 1 ---	1,12																					
X	WO 97 02262 A (DU PONT) 23 January 1997 cited in the application see claims 1,9 ---	1,12																					
X	WO 94 26722 A (DU PONT) 24 November 1994 cited in the application see claims 1,15 ---	1,12																					
E	WO 98 49899 A (AGREVO) 12 November 1998 see claim 1; examples 72B,73B,83B ---	1,12																					
X	DE 23 00 050 A (PFIZER) 19 July 1973 see example 4A ---	1																					
-/--																							
<div style="display: flex; justify-content: space-between;"> <div> <input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. </div> <div> <input checked="" type="checkbox"/> Patent family members are listed in annex. </div> </div>																							
<div style="display: flex;"> <div style="flex: 1;"> <p>* Special categories of cited documents :</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="flex: 1;"> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"&" document member of the same patent family</p> </div> </div>																							
Date of the actual completion of the international search <div style="text-align: center; font-weight: bold;">24 February 1999</div>		Date of mailing of the international search report <div style="text-align: center; font-weight: bold;">15/03/1999</div>																					
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016		Authorized officer <div style="text-align: center; font-weight: bold;">Alfaro Faus, I</div>																					

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 98/05790

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 221:00), (C07D513/04, 275:00, 239:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	FEKRY ISMAIL ET AL: "Reactions with 3,1-benzoxazin-4-ones. 3. Reactions of 6,8-dibromo-2-methyl-3,1-benzoxazin-4-ones with amines" JOURNAL OF ORGANIC CHEMISTRY., vol. 48, no. 23, 1083, pages 4172-4174, XP002094544 EASTON US see compound 7a	1
X	MAHMOUD AL-TALIB ET AL.: "4(3H)-Quinazolinones from the reaction of N-aryltrilium salts with isocyanates" SYNTHESIS., 1992, pages 697-701, XP002094545 STUTTGART DE see compounds 4c-4d, 4g-4i	1

-/--



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

24 February 1999

Date of mailing of the international search report

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Alfaro Faus, I

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 98/05790

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 3 714 354 A (STAM) 1 October 1973 see column 4, line 7 - line 59 ---	1
X	US 5 610 301 A (MOHAM ET AL.) 11 March 1997 see example 5 ---	1
X	K. KISHOR ET AL.: "Some 2,3,6-trisubstitutedquinazolones" JOURNAL OF MEDICINAL CHEMISTRY., vol. 8, 1965, pages 550-551, XP002094546 WASHINGTON US see table I, lines 11 and 13 ---	1
X	H. KOHL ET AL.: "Cyclisierungreaktionen von o-acylamino-benzhydroxamsäure-O-alkylester n" JUSTUS LIEBIGS ANNALEN DER CHEMIE., vol. 766, 1972, pages 106-115, XP002094547 WEINHEIM DE see table 3, lines 8 - 10 -----	1

INTERNATIONAL SEARCH REPORT

International application No.

PCT/EP 98/05790

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
see FURTHER INFORMATION sheet PCT/ISA/210
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

The search revealed such a large number of particularly relevant documents, in particular with regard to novelty of claim 1 that the drafting of a comprehensive International Search Report is not feasible. The cited documents are considered as to form a representative sample of the revealed documents, duly taking into account their relevance with respect to the subject-matter as illustrated by the examples.

INTERNATIONAL SEARCH REPORT

information on patent family members

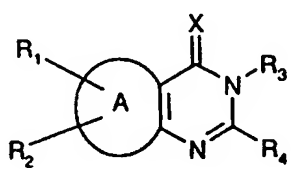
International Application No

PCT/EP 98/05790

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 360417	A	28-03-1990	AP 131 A	20-04-1991
			AU 4009589 A	19-07-1990
			DK 415189 A	25-02-1990
			JP 2149502 A	08-06-1990
			US 5093364 A	03-03-1992
WO 9702262	A	23-01-1997	AU 6478396 A	05-02-1997
			CN 1195345 A	07-10-1998
			EP 0836602 A	22-04-1998
			PL 324486 A	25-05-1998
WO 9426722	A	24-11-1994	AU 6944394 A	12-12-1994
			BR 9406662 A	06-02-1996
			CA 2162846 A	24-11-1994
			CN 1123028 A	22-05-1996
			CZ 9502803 A	13-03-1996
			EP 0698013 A	28-02-1996
			HU 72829 A	28-05-1996
			JP 8510243 T	29-10-1996
			LV 11464 A	20-08-1996
			LV 11464 B	20-02-1997
			NZ 267222 A	28-10-1996
			PL 311641 A	04-03-1996
			SI 9420029 A	31-10-1996
			SK 140295 A	04-09-1996
			US 5747497 A	05-05-1998
			ZA 9403112 A	06-11-1995
WO 9849899	A	12-11-1998	AU 7224998 A	27-11-1998
DE 2300050	A	19-07-1973	AR 197206 A	22-03-1974
			BE 793594 A	02-07-1973
			CA 989834 A	25-05-1976
			CH 550807 A	28-06-1974
			FR 2167642 A	24-08-1973
			GB 1406103 A	17-09-1975
			JP 48076879 A	16-10-1973
			NL 7300058 A	05-07-1973
			US 3793326 A	19-02-1974
US 3714354	A	30-01-1973	NONE	
US 5610301	A	11-03-1997	NONE	



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 495/04, A01N 43/90, 43/54, C07D 239/90, 239/91, 239/92, 471/04, 513/04, 487/04, C07F 7/10, C07D 239/86 // (C07D 495/04, 333:00, 239:00) (C07D 471/04, 239:00, 221:00) (C07D 513/04, 275:00, 239:00)	A3	(11) International Publication Number: WO 99/14202 (43) International Publication Date: 25 March 1999 (25.03.99)
(21) International Application Number: PCT/EP98/05790 (22) International Filing Date: 10 September 1998 (10.09.98) (30) Priority Data: 9719411.2 12 September 1997 (12.09.97) GB (71) Applicant (for all designated States except AT US): NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH). (71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT]; Brunner Strasse 59, A-1235 Vienna (AT). (72) Inventor; and (75) Inventor/Applicant (for US only): WALTER, Harald [DE/CH]; Chilchmattstrasse 12b, CH-4118 Rodersdorf (CH). (74) Agent: BECKER, Konrad; Novartis AG, Patent- und Markenabteilung, Lichtstrasse 35, CH-4002 Basel (CH).		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>With amended claims and statement.</i> (88) Date of publication of the international search report: 14 May 1999 (14.05.99) Date of publication of the amended claims and statement: 17 June 1999 (17.06.99)
(54) Title: NOVEL PYRIMIDIN-4-ONE AND PYRIMIDIN-4-THIONE AS FUNGICIDE		
<div style="text-align: center;">  (I) </div> <p>(57) Abstract</p> <p>Novel pyrimidin-4-one and pyrimidin-4-thione derivatives of formula (I) wherein A is phenyl, thienyl (including all 3 isomers), thiazolyl, pyridyl or pyridazinyl; X is oxygen or sulfur; R₁ is hydrogen, halogen or trimethylsilyl; R₂ is hydrogen, halogen or trimethylsilyl; at least one of R₁ and R₂ is not hydrogen; R₃ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₆alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl; R₄ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, cyano, C₁-C₆alkoxy or C₁-C₆haloalkoxy; C₁-C₄alkoxy-C₁-C₄alkoxy; C₁-C₄alkoxy-C₁-C₄alkylthio; nitro; -CO-C₁-C₆alkyl; C₃-C₆cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, cyano, nitro, amino, mono-C₁-C₆alkylamino, di-C₁-C₆alkylamino, C₁-C₆alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy or C₁-C₆haloalkoxy. The novel compounds have plant-protective properties and are suitable for protecting plants against infestation by phytopathogenic microorganisms, in particular fungi.</p>		

FOR THE PURPOSES OF INFORMATION ONLY

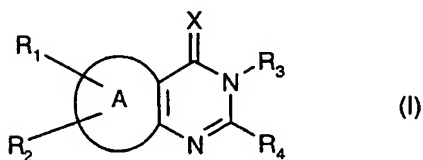
Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece	ML	Mali	TR	Turkey
BG	Bulgaria	HU	Hungary	MN	Mongolia	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MR	Mauritania	UA	Ukraine
BR	Brazil	IL	Israel	MW	Malawi	UG	Uganda
BY	Belarus	IS	Iceland	MX	Mexico	US	United States of America
CA	Canada	IT	Italy	NE	Niger	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NL	Netherlands	VN	Viet Nam
CG	Congo	KE	Kenya	NO	Norway	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NZ	New Zealand	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	PL	Poland		
CM	Cameroon	KR	Republic of Korea	PT	Portugal		
CN	China	KZ	Kazakhstan	RO	Romania		
CU	Cuba	LC	Saint Lucia	RU	Russian Federation		
CZ	Czech Republic	LI	Liechtenstein	SD	Sudan		
DE	Germany	LK	Sri Lanka	SE	Sweden		
DK	Denmark	LR	Liberia	SG	Singapore		
EE	Estonia						

AMENDED CLAIMS

[received by the International Bureau on 24 March 1999 (24.03.99);
original claim 1 amended; original claim 9 cancelled;
remaining claims unchanged (6 pages)]

1. A compound of formula I



wherein

A is thienyl (including all 3 isomers), thiazolyl, pyridyl or pyridazinyl;

X is oxygen or sulfur;

R₁ is hydrogen, halogen or trimethylsilyl;

R₂ is hydrogen, halogen or trimethylsilyl; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₆alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, cyano, C₁-C₆alkoxy or C₁-C₆haloalkoxy; C₁-C₄alkoxy-C₁-C₄alkoxy; C₁-C₄alkoxy-C₁-C₄alkylthio; nitro; -CO-C₁-C₆alkyl; C₃-C₆cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, cyano, nitro, amino, mono-C₁-C₆alkylamino, di-C₁-C₆alkylamino, C₁-C₆alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy or C₁-C₆haloalkoxy.

2. A compound of formula I according to claim 1, wherein

A is thienyl, including all 3 isomers.

3. A compounds of formula I according to claim 2 wherein

R₁ is hydrogen, fluorine, chlorine, bromine or iodine;

R₂ is hydrogen, fluorine, chlorine, bromine or iodine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

4. A compound of formula I according to claim 3, wherein

R₃ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₄cycloalkyl, fluorine, chlorine, bromine or C₁-C₄alkoxy; O-C₁-C₆alkyl; O-C₂-C₆alkenyl; O-C₂-C₆alkynyl; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl which are unsubstituted or mono to tri-substituted by C₃-C₄cycloalkyl, fluorine, chlorine, bromine or C₁-C₄alkoxy; or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

5. A compound of the formula I according to claim 4, wherein

A is thienyl[2.3-d],

X is oxygen,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

6. A compound of the formula I according to claim 4, wherein

A is thienyl[2.3-d],

X is sulfur,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

7. A compound of the formula I according to claim 4, wherein

A is thienyl[3.2-d],

X is oxygen,

R₁ is hydrogen, chlorine or bromine;

R₂ is hydrogen, chlorine or bromine; at least one of R₁ and R₂ is not hydrogen;

R₃ is C₃-C₅alkyl or O-C₁-C₄alkyl;

R₄ is C₂-C₅alkyl or phenyl which is unsubstituted or mono to tri-substituted by fluorine, chlorine, bromine, C₁-C₄alkyl or phenoxy and in which phenoxy is unsubstituted or mono to tri-substituted by fluorine, chlorine or bromine.

8. A compound of formula I according to claim 1, wherein

A is pyridyl.

10. A compound of formula I according to claim 1, wherein

A is thiazolyl.

11. A compound of formula I according to claim 1, wherein

A is pyridazinyl.

12. A composition for controlling and preventing pests, wherein the active ingredient is a compound as claimed in claim 1 together with a suitable carrier.

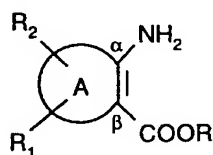
13. Use of a compound of formula I according to claim 1 for protecting plants against infestation by phytopathogenic microorganisms.

14. A method of controlling or preventing infestation of cultivated plants by phytopathogenic microorganisms by application of a compound of formula I as claimed in claim 1 to plants, to parts thereof or to the locus thereof.

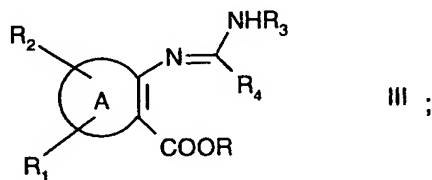
15. A method according to claim 14, wherein the phytopathogenic microorganism is a fungal organism.

16. A method for the preparation of a compound of formula I according to claim 1, which comprises

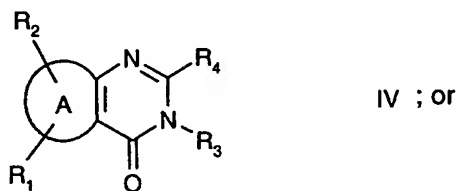
a) converting an α -amino- β -carboalkoxyheterocycle of formula II, wherein R_1 and R_2 have the meanings stated for formula I and R is hydrogen, C_1 - C_6 alkyl,



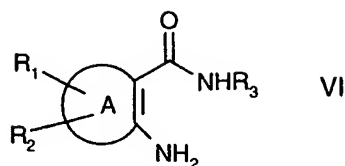
with $POCl_3$ in the presence of a solvent and R_4CONHR_3 into an amidine of formula III, wherein R_3 and R_4 have the meanings stated for formula I



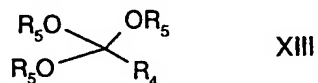
b) and treating the amidine, in the presence of a solvent and if necessary in the presence of a base, and obtaining, with ring closure, the pyrimidin-4-one derivative of formula IV



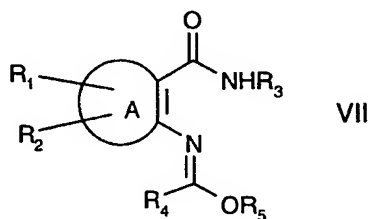
c) reacting an amino carboxylic acidamide of formula VI



wherein A, R₁, R₂ and R₃ have the meanings stated for formula I
with an orthoester of formula XIII

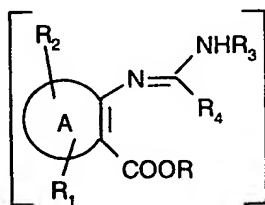


wherein R₄ has the meaning stated for formula I and R₅ is C₁-C₅alkyl,
in the presence or absence of a solvent, in the presence or absence of an acid catalyst at
20-200°C, and obtaining the pyrimidin-4-one derivative of formula IV; and
d) if the intermediate VII is formed



treating the compound VII in the presence of a solvent and if necessary in the presence of a
base, and obtaining with ring closure the pyrimidin-4-one derivative of formula IV.

17. A compound of formula III



wherein

A is thienyl[2.3-d] or thienyl[3.2-d];

R₁ is hydrogen, halogen or trimethylsilyl;

R₂ is hydrogen, halogen or trimethylsilyl; and at least one of R₁ and R₂ is not hydrogen;

R₃ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; O-C₁-C₆alkyl, O-C₂-C₆alkenyl, O-C₂-C₆alkynyl, which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen or C₁-C₆alkoxy; N-C₁-C₆alkyl; or N=CHC₁-C₆alkyl;

R₄ is C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkinyl which are unsubstituted or mono to tri-substituted by C₃-C₆cycloalkyl, halogen, cyano, C₁-C₆alkoxy or C₁-C₆haloalkoxy; C₁-C₄alkoxy-C₁-C₄alkoxy; C₁-C₄alkoxy-C₁-C₄alkylthio; nitro; -CO-C₁-C₆alkyl; C₃-C₆cycloalkyl; or phenyl, which is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, cyano, nitro, amino, mono-C₁-C₆alkylamino, di-C₁-C₆alkylamino, C₁-C₆alkylthio, phenyl or phenoxy and in which the phenyl part is unsubstituted or mono to tri-substituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy or C₁-C₆haloalkoxy; and

R is hydrogen or C₁-C₆alkyl.

STATEMENT UNDER ARTICLE 19

This amendment eliminates the compounds wherein A is phenyl about which the cited documents EP-360417, DE-2300050, J.Org.Chem. 48,4172(1983),US-3,714,354, US-5,610,301, J.Med.Chem. 8,550(1965), Justus Liebigs Ann.Chem. 766,106(1972) are concerned.

**This Page is Inserted by IFW Indexing and Scanning
Operations and is not part of the Official Record**

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:

☐ BLACK BORDERS

☐ IMAGE CUT OFF AT TOP, BOTTOM OR SIDES

☐ FADED TEXT OR DRAWING

☒ BLURRED OR ILLEGIBLE TEXT OR DRAWING

☐ SKEWED/SLANTED IMAGES

☒ COLOR OR BLACK AND WHITE PHOTOGRAPHS

☐ GRAY SCALE DOCUMENTS

☐ LINES OR MARKS ON ORIGINAL DOCUMENT

☐ REFERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY

☐ OTHER: _____

IMAGES ARE BEST AVAILABLE COPY.

As rescanning these documents will not correct the image problems checked, please do not report these problems to the IFW Image Problem Mailbox.